agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:55:51 ON 09 OCT 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:56:00 ON 09 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 OCT 2003 HIGHEST RN 600637-01-2
DICTIONARY FILE UPDATES: 7 OCT 2003 HIGHEST RN 600637-01-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10083008.str

L1 STRUCTURE UPLOADED

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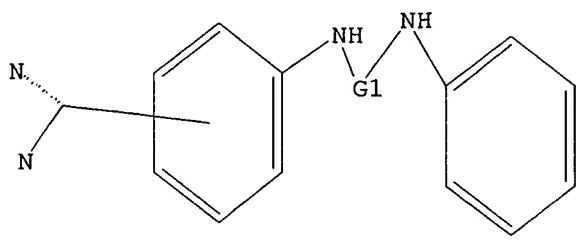
L1 HAS NO ANSWERS
L1 STR



G1 C,S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 08:56:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1068 TO ITERATE

 93.6% PROCESSED    1000 ITERATIONS                                 7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        19400 TO    23320
PROJECTED ANSWERS:               7 TO      313

L2             7 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 08:56:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  21344 TO ITERATE

100.0% PROCESSED   21344 ITERATIONS                             185 ANSWERS
SEARCH TIME: 00.00.01

L3           185 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              148.15     148.36

FILE 'CAPLUS' ENTERED AT 08:56:34 ON 09 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 9 Oct 2003  VOL 139 ISS 15
FILE LAST UPDATED: 8 Oct 2003  (20031008/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l3
L4           84 L3
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=> d ibib abs hitstr tot

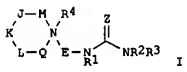
L4 ANSWER 1 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:622568 CAPLUS
DOCUMENT NUMBER: 139:164710
TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Co., USA
SOURCE: U.S., 145 pp., Cont.-in-part of U.S. Ser. No. 465,286, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6605623	B1	20030812	US 2000-598821	20000621
US 6331541	B1	20011218	US 1999-465288	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		

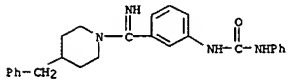
PRIORITY APPLN. INFO.:
US 1998-112717P P 19981218
US 1999-161243P P 19991022
US 1999-465286 B2 19991217
US 1999-161222P P 19991022
US 1999-465288 A3 19991217
US 2000-213051P P 20000621
US 2000-598821 A 20000621
OTHER SOURCE(S): MARPAT 139:164710
GI



I

AB [Title compds. I; M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CHR5, CHR13, CR13R13, CR5R13; K = CHR5, CR5R6; Z = O, S; E =

L4 ANSWER 1 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(CHR7)(CHR9)v(CR11R12); R1, R2 = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R2R3 = atoms to form a (substituted) 5-7 membered ring; R3, R5 = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R4 with R7, R9, or R11 = atoms to form a 5-7 membered ring; R6 = alkyl, alkenyl, alkynyl, etc.; R7, R9 = H; R4R7, R4R9 = (substituted) spirocyclyl; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepd. as modulators of chemokine activity (no data) for preventing asthma and other allergic diseases. Thus, 4-benzyl-1-(3-aminopropyl)piperidine (prepn. given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. A pharmaceutical compn. comprising the compd. I was claimed.
IT 275810-52-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)
RN 275810-52-1 CAPLUS
CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:492708 CAPLUS
DOCUMENT NUMBER: 139:69058
TITLE: Preparation of N-amidinophenyl-N'-sulfamoylphenylureas and related compounds for the treatment of protozoal diseases and as inhibitors of intracellular protein degradation pathways
INVENTOR(S): Aschenbrenner, Andrea; Fuchs, Katharina Aulinger; Dormeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Jurgen; Krauss, Rolf; Leban, Johan; Pegoraro, Stefano; Saeb, Wael; Wolf, Kristina
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 20,683.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003119876	A1	20030626	US 2002-83008	20020226
DE 10109204	A1	20020919	DE 2001-10109204	20010226
US 2002165236	A1	20021107	US 2001-20683	20011212

PRIORITY APPLN. INFO.:
DE 2001-10109204 A 20010226
US 2001-20683 A2 20011212
OTHER SOURCE(S): MARPAT 139:69058
AB R1R2ANHYNHBR3R4R5R6 [Y = CO, CS, C:NH, CO2, SO2; A, B = aryl optionally contg. .gtoreq.1 S, O, N, wherein the N is optionally substituted with R', and/or the heteroatom S is optionally bonded to :O, :O2; R' = H, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl (unsatd.) cyclopentyl, cyclohexyl, (hetero)aryl; R1 = C(NRaRb)NRcRd; Ra, Rc = H, O2CR' OH, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl, (unsatd.) cyclopentyl, cyclohexyl, aryl, heteroaryl; Rb = null, Ra, Rc; Rd = H, CORe (CH2)nRf; Re = H, alkoxy, alkylthio, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyalkylamino, alkyl, (hetero)aryl, amino, aminoalkyl, alkylamino; Rf = H, hydroxyalkyl, alkyl, allyl, amino, alkylamino, morpholino, 2-tetrahydrofuryl, N-pyrrolidino, 3-pyridyl, Ph, PhCH2, biphenyl, heterocyclyl, NRaRb; n = 0-3; RaRd = 5-6 membered (unsatd.) heterocyclyl contg. 0-3 N"; R" = H, alkoxy, alkylthio, aminoalkyl, halo, CO2R', CR'O, haloalkyl, haloalkoxy, NO2, CN, hydroxyalkyl, alkyl, (hetero)aryl, amino, alkylamino, aminoalkyl, O; R2 = H, halo, alkoxy, alkylthio, CO2R', CR'O, haloalkyl, haloalkyloxy, NO2, CN, OH, hydroxyalkyl, alkyl, aryl, amino, alkylamino, aminoalkyl; R3 = H, halo, haloalkyl, NO2, CN, alkyl, aryl; R4 = H, group capable of hydrogen bond formation except for R1; R5 = H, R4; R6 = H, R2], were prepd. Thus, 1,1-thiocarbonyldiimidazole in MeNO2 at 4.degree. was treated dropwise with Me triflate; the reaction was stirred for 30 min at 4.degree. then 4-amino-N-benzylbenzenesulfonamide in DMA was added dropwise. The reaction was stirred for 2.5 h at rt, then 3-aminobenzamidine dihydrochloride and DIEA in DMA were added followed by stirring for 16 h at rt to give 15% 3-[3-(4-benzylsulfamoylphenyl)thioureido]benzamidine. Several title compds. showed activity against Plasmodium falciparum Dd2 with IC50<1 .mu.M.
IT 455899-89-5P 455899-90-8P 455899-91-9P
455899-92-0P 455899-93-1P 455899-95-3P
455899-96-4P 455899-97-5P 455899-98-6P
455899-99-7P 455900-00-2P 455900-01-3P
455900-02-4P 455900-03-5P 455900-08-0P

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
455900-09-1P 455900-10-4P 455900-11-5P
455900-12-6P 455900-13-7P 455900-14-8P
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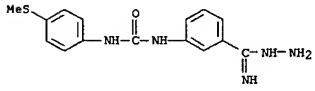
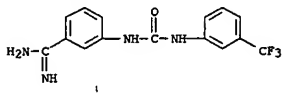
455900-97-7P 455900-98-8P 455900-99-9P
455901-01-6P 548783-59-1P 548783-60-4P
548783-61-5P 548784-24-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amidinophenylsulfamoylphenylureas and related compds. for the treatment of protozoal diseases and as inhibitors of intracellular protein degrdn. pathways)
RN 455899-89-5 CAPLUS
CN Benzenecarboximidic acid, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]-, hydrazide (9CI) (CA INDEX NAME)

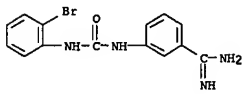


RN 455899-90-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

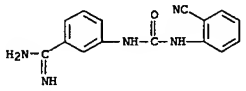
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455899-91-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-bromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-92-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-cyanophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-93-1 CAPLUS
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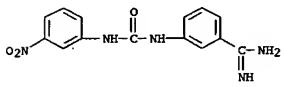
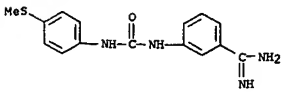
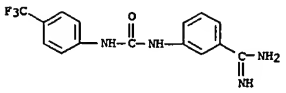


RN 455899-95-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

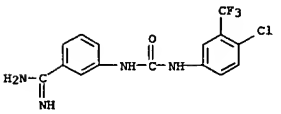
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



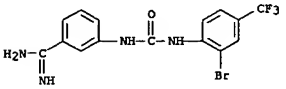
RN 455899-96-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-97-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

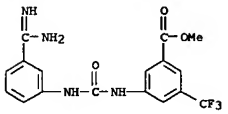


RN 455899-98-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[2-bromo-4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

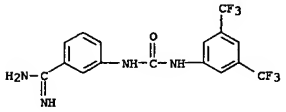


RN 455899-99-7 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

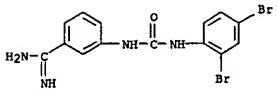
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



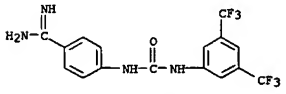
RN 455900-00-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-01-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2,4-dibromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

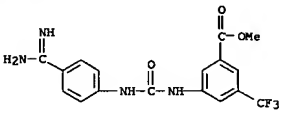


RN 455900-02-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

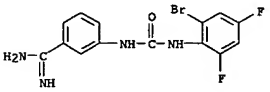


RN 455900-03-5 CAPLUS
CN Benzoic acid, 3-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

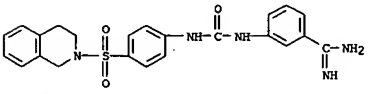
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-08-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-bromo-4,6-difluorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-09-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



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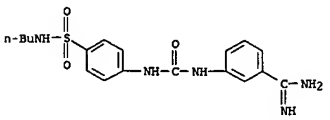
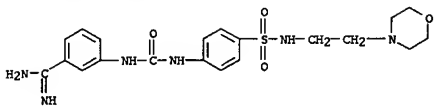
CN Benzenecarboximidamide, 3-[[[[4-[(butylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

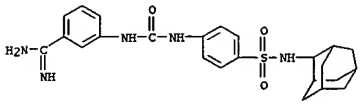


RN 455900-11-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[2-(4-morpholinyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

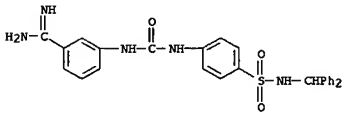
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



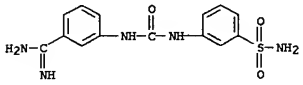
RN 455900-12-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(tricyclo[3.3.1.13,7]dec-2-ylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-13-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(diphenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



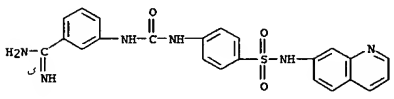
RN 455900-14-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(aminosulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



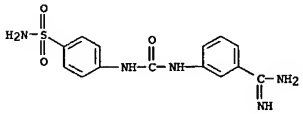
RN 455900-15-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 455900-19-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(7-quinolinylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-20-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-21-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

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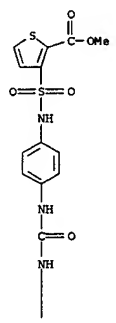
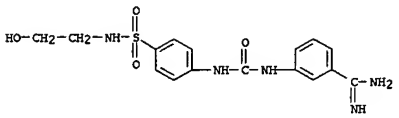
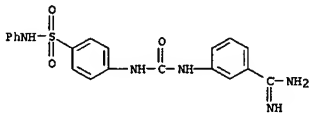


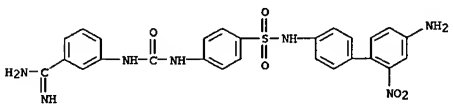
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



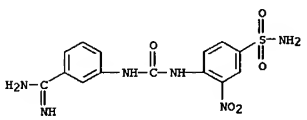
RN 455900-16-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(phenylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-17-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(4'-amino-2'-nitro[1,1'-biphenyl]-4-yl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-18-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)-2-nitrophenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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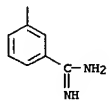
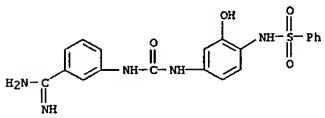
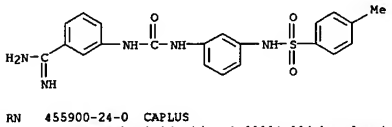


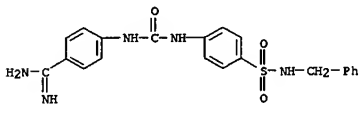
RN 455900-22-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-hydroxy-4-[(phenylsulfonyl)amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-23-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

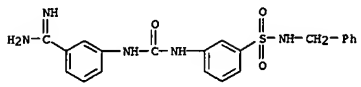


RN 455900-24-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

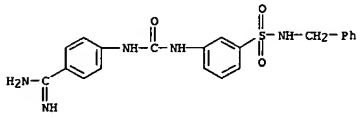


RN 455900-25-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

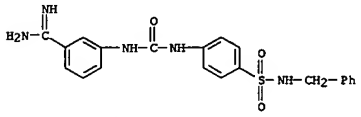
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



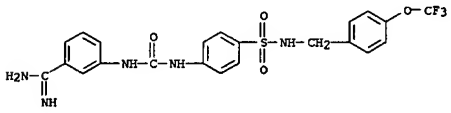
RN 455900-26-2 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



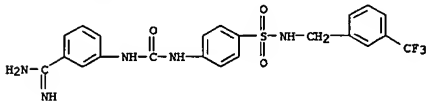
RN 455900-27-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



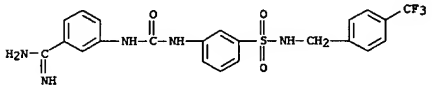
RN 455900-28-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[4-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



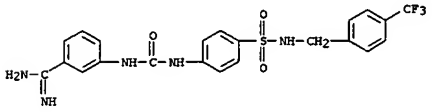
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



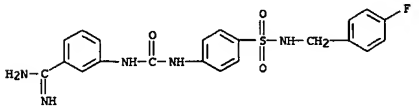
RN 455900-33-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-34-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-35-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-36-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

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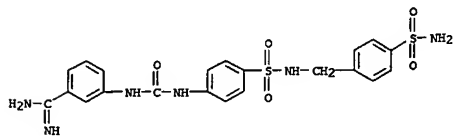
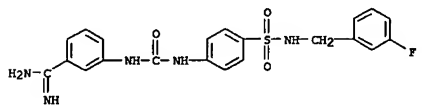
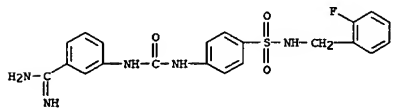
RN 455900-29-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-30-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-31-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-32-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

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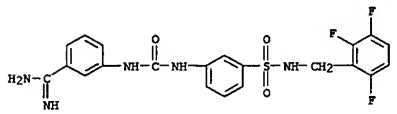
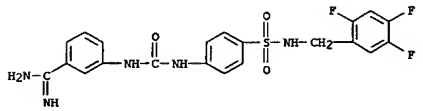
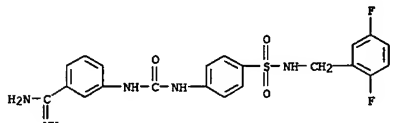


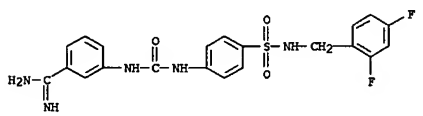
RN 455900-37-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-38-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,5-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

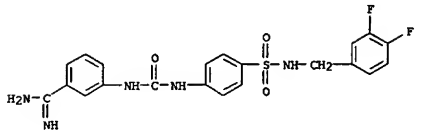


RN 455900-39-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

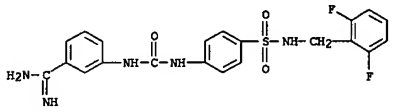


RN 455900-40-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4-difluorophenyl)methyl]amino]sulfon

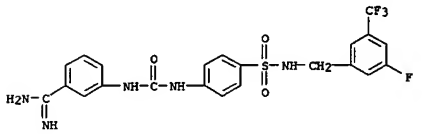
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
yl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-41-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-42-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-43-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

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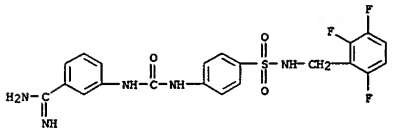
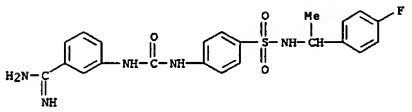
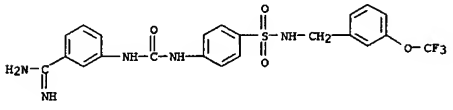


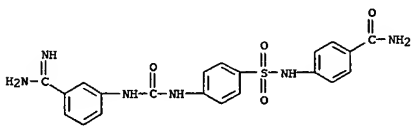
RN 455900-47-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[1-(4-fluorophenyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-48-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

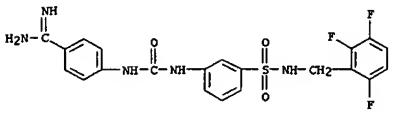


RN 455900-50-2 CAPLUS
CN Benzamide, 4-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

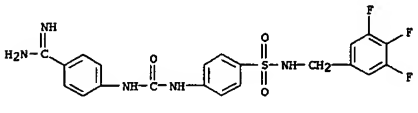


RN 455900-51-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]s

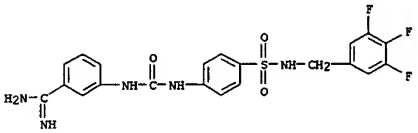
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-44-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

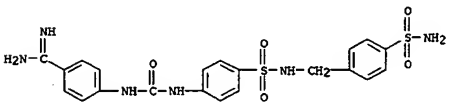


RN 455900-45-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

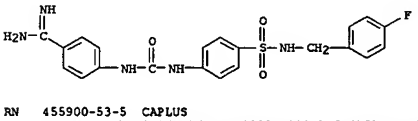


RN 455900-46-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

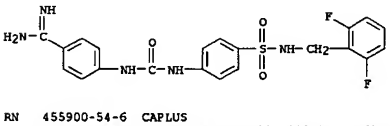
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
ulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



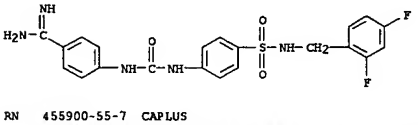
RN 455900-52-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-53-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

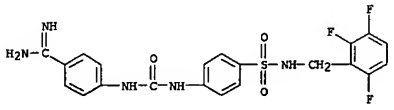


RN 455900-54-6 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

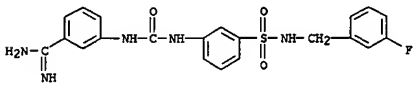


RN 455900-55-7 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

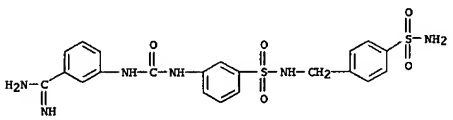
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



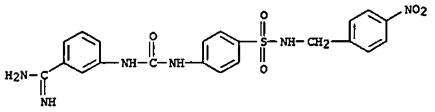
RN 455900-57-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-58-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



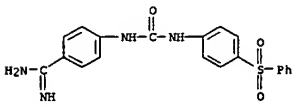
RN 455900-59-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[(4-nitrophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



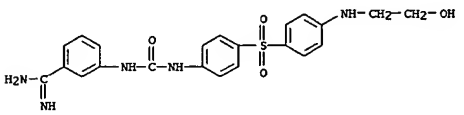
RN 455900-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[[4-[[[[3-

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

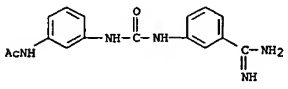
RN 455900-64-8 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



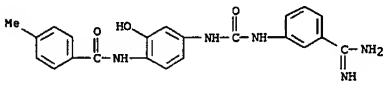
RN 455900-65-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-66-0 CAPLUS
CN Acetamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

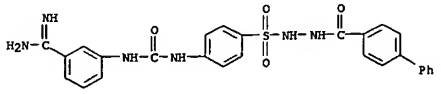


RN 455900-67-1 CAPLUS
CN Benzamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-2-hydroxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)

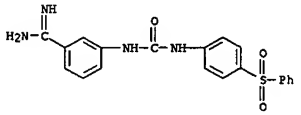


RN 455900-68-2 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)

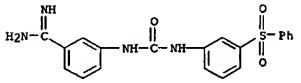
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



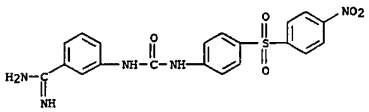
RN 455900-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



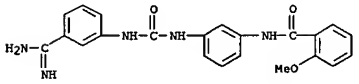
RN 455900-62-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



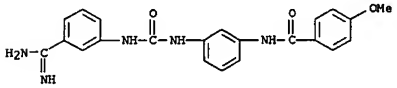
RN 455900-63-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



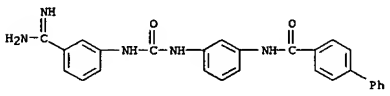
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-69-3 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 455900-70-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 455900-71-7 CAPLUS
CN [3,5'-Biisoxazole]-4'-carboxamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-3',5-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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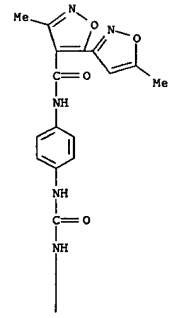

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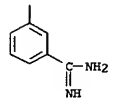
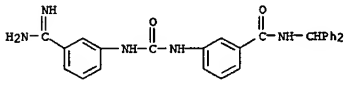
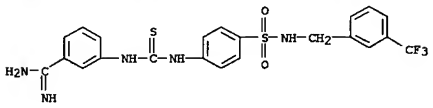


RN 455900-72-8 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)

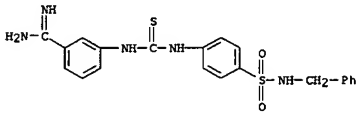


RN 455900-73-9 CAPLUS
CN Benzamide, 4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-[[4-

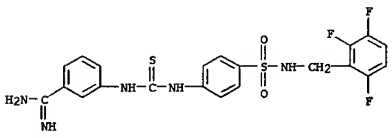
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



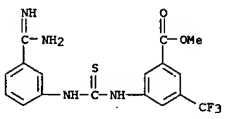
RN 455900-78-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-79-5 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

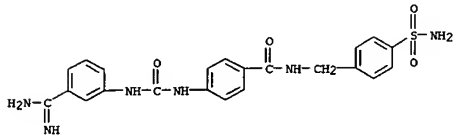


RN 455900-80-8 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

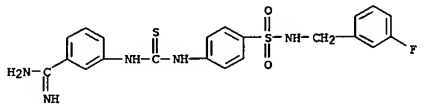


RN 455900-81-9 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-N,N-

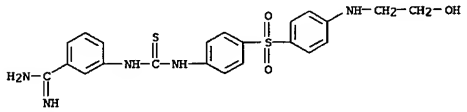
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(aminosulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 455900-74-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

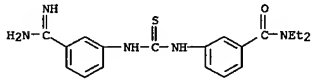


RN 455900-76-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

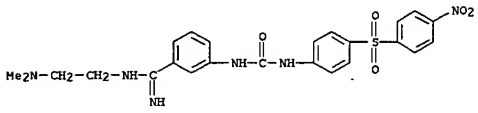


RN 455900-77-3 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

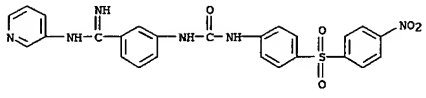
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
diethyl- (9CI) (CA INDEX NAME)



RN 455900-82-0 CAPLUS
CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

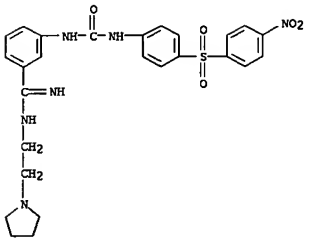


RN 455900-83-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

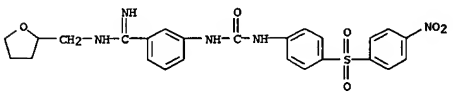


RN 455900-84-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

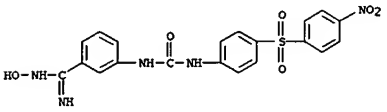
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-85-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



RN 455900-86-4 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-87-5 CAPLUS
CN Benzoic acid, 3-[[[[3-[imino(3-pyridinylamino)methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

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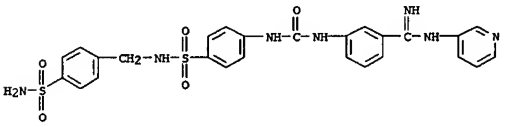
RN 455900-90-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 455900-91-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

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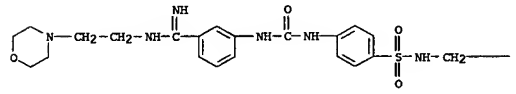

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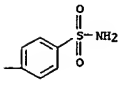
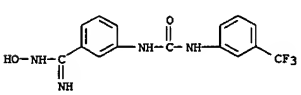
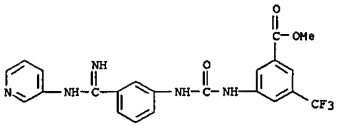


RN 455900-93-3 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

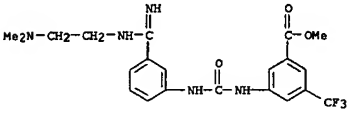


RN 455900-94-4 CAPLUS
CN Benzenecarboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

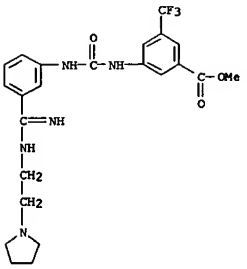
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



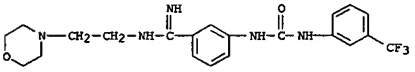
RN 455900-88-6 CAPLUS
CN Benzoic acid, 3-[[[[3-[[[[2-(dimethylamino)ethyl]amino]iminomethyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



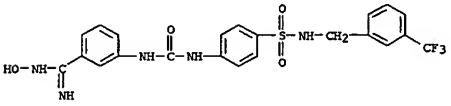
RN 455900-89-7 CAPLUS
CN Benzoic acid, 3-[[[[3-[imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



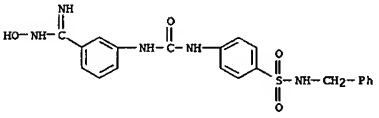
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



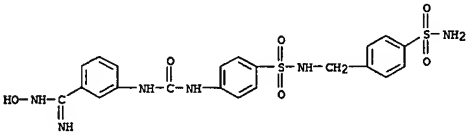
RN 455900-95-5 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-96-6 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

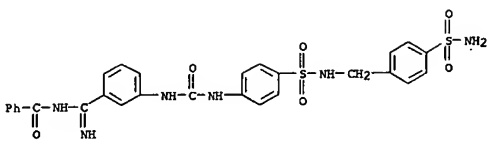


RN 455900-97-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

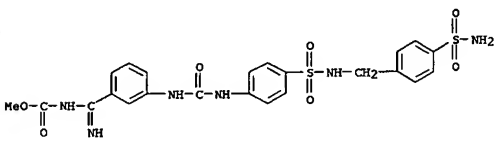


RN 455900-98-8 CAPLUS
CN Benzamide, N-[[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]- (9CI) (CA INDEX NAME)

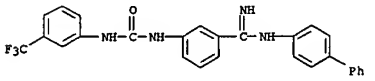
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-99-9 CAPLUS
CN Carbamic acid, [[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

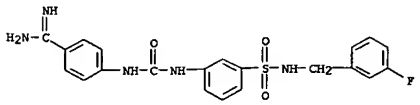


RN 455901-01-6 CAPLUS
CN Benzenecarboximidamide, N-[1,1'-biphenyl]-4-yl-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

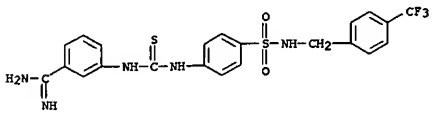


RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

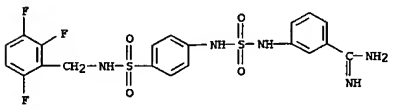
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



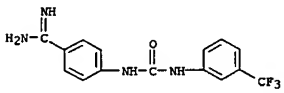
RN 548783-60-4 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)



RN 548783-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 548784-24-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



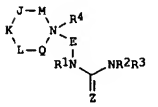
L4 ANSWER 3 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:150534 CAPLUS
DOCUMENT NUMBER: 138:204946
TITLE: Preparation of N-ureidoalkylpiperidines as modulators of CCR3 chemokine receptor activity for the prevention of asthma and other allergic diseases
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Co., USA
SOURCE: U.S., 126 pp., Cont.-in-part of U.S. Ser. No. 466,442.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525069	B1	20030225	US 2000-597400	20000621
US 6331541	B1	20011218	US 1999-465288	19991217
US 6444686	B1	20020903	US 1999-466442	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
WO 2001098270	A2	20011227	WO 2001-US19752	20010620
WO 2001098270	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1294690	A2	20030326	EP 2001-950360	20010620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
US 2003114489	A1	20030619	US 2002-180869	20020626

PRIORITY APPLN. INFO.:
US 1998-112717P P 19981218
US 1999-161221P P 19991022
US 1999-466442 A2 19991217
US 1999-161222P P 19991022
US 1999-465288 A3 19991217
US 2000-213208P P 20000621
US 2000-597400 A 20000621
WO 2001-US19752 W 20010620

OTHER SOURCE(S): MARPAT 138:204946
GI



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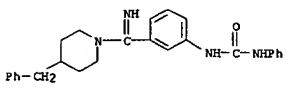
L4 ANSWER 3 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Title compds. [I; M, Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, K, L = CH2, CHR5, CHR6, CR6R6, CR5R6; .gtoreq.1 of J, K, L contains R5; Z = O, S, NR1a, CHCN, CHNO2, C(CN)2; R1a = H, alkyl, cycloalkyl, CN, NO2, etc.; E = (substituted) C3-6 carbocyclyl, methylenecarbocyclyl, ethylenecarbocyclyl, etc.; R1, R2 = H, alkyl, alkenyl, alkynyl; R3 = (substituted) alkyl, alkenyl, alkynyl; R4 = null, N-oxide, alkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.; R5 = (substituted) alkylenecarbocyclyl, alkyleneheterocyclyl; R6 = alkyl, alkenyl, alkynyl, alkylcycloalkyl, perfluoroalkyl, hydroxyalkyl, mercaptoalkyl, aminoalkyl, CN, etc.; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, aminoalkyl, hydroxyalkyl, carboxyalkyl, mercaptoalkyl, acylaminoalkyl, (substituted) phenylalkyl, etc.], were prepd. as CCR3 modulators (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (prepn. given) and 3-cyanophenyl isocyanate were stirred 30 min. in THF to give N-3-cyanophenyl-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea.

IT **275810-52-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkylpiperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS
CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

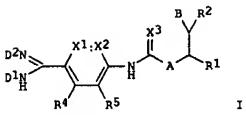


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:4857 CAPLUS
DOCUMENT NUMBER: 138:55748
TITLE: Preparation of ureidobenzamidines as Factor VIIa inhibitors.
INVENTOR(S): Schudok, Manfred; Klingler, Otmar; Nestler, Hans-Peter; Matter, Hans; Schreuder, Herman; Szillat, Hauke
PATENT ASSIGNEE(S): Aventis Pharma Deutschland Gmbh, Germany
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1270551	A1	20030102	EP 2001-115353	20010626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2003002524	A2	20030109	WO 2002-EP6422	20020612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003176439	A1	20030918	US 2002-183848	20020626

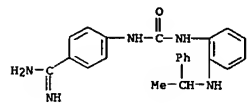
PRIORITY APPLN. INFO.: EP 2001-115353 A 20010626
OTHER SOURCE(S): MARPAT 138:55748
GI



I

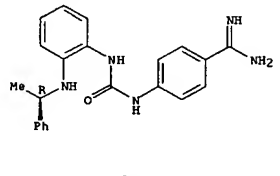
AB Title compds. I; D1, D2 = H, alkylcarbonyl, arylcarbonyl, amino, etc.; or D1 = H, D2 = OH, alkylcarbonyloxy, arylcarbonyloxy, amino, etc.; or D2 = H, D2 = OH, alkylcarbonyloxy, arylcarbonyloxy, amino, etc.; D1D2 = atoms to form specified azolyl rings; R1R2 = atoms to form (substituted) aryl, heteroaryl; X1, X2 = CR4, N; R4, R5 = H, alkyl, OH, alkoxy, halo, amino, NO2; X3 = O, S, NH; A = bond, CH2, CH(OH), CHNH2, CHCO2H, OCH2, O, etc.; B = substituted aryl, heteroaryl;. Thus, 1,2-phenylenediamine, K2CO3, and 1-bromo-1-phenylethane were stirred 8h at rt in DMF; KBr was filtered off,

L4 ANSWER 4 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
the solvent removed, and the mixt. in THF was treated with 4-cyanophenyl isocyanate followed by stirring for 50 h at rt to give 98% 1-(4-cyanophenyl)-3-[-(1-phenylethylamino)phenyl]urea. The latter was stirred 10 h with HCl in MeOH and the resulting iminoester was stirred 16 h with NH4OAc in MeOH to give 4-[3-[2-(1-phenylethylamino)phenyl]ureido]benzamidine. The latter inhibited FVIIa with Ki = 0.7 .mu.M.
IT **479355-49-2P 479355-55-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureidobenzamidines as Factor VIIa inhibitors)
RN 479355-49-2 CAPLUS
CN Benzenecarboximidamide, 4-[[[[2-[(1-phenylethyl)amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 479355-55-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[[2-[[(1R)-1-phenylethyl]amino]phenyl]amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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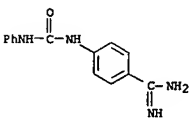
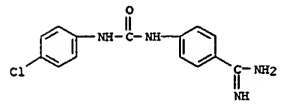
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:939177 CAPLUS
DOCUMENT NUMBER: 138:233863
TITLE: Inhibition of arginine gingipains (RgpB and HRgpA) with benzamidine inhibitors: zinc increases inhibitory potency
AUTHOR(S): Krauser, Joel A.; Potempa, Jan; Travis, James; Powers, James C.
CORPORATE SOURCE: School of Chemistry and Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30093-0400, USA
SOURCE: Biological Chemistry (2002), 383(7/8), 1193-1198
CODEN: BICHF3; ISSN: 1431-6730
PUBLISHER: Walter de Gruyter GmbH & Co. KG
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We assayed several benzamidine derivs. for inhibition potency with HRgpA and RgpB gingipains, enzymes which are involved in the pathogenesis of gingivitis and periodontal disease. The benzamidine derivs. proved to be effective inhibitors of HRgpA and RgpB, with the best inhibitor being a bis-benzamidine with a urea linker (Ki = 30 .mu.M). The inhibition potency was increased 2-3 fold in the presence of low concns. of zinc with the benzamidines contg. a urea moiety linking the two arom. rings. We propose an inhibition model involving a tetrahedral zinc atom coordinated with the active site Cys and His of gingipain and the urea linker in the benzamidine inhibitor. In summary, we have discovered a new series of effective inhibitors for the gingipains and found a novel way to increase inhibitor potency with the HRgpA and RgpB gingipains using zinc.
IT **162020-99-7 162021-00-3 162021-02-5**
162021-03-6 162021-04-7 501953-21-5
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibition model for gingipains RgpB and HRgpA suggests Zn2+ coordinates with Cys and His active site residues and urea linker in benzamidine inhibitor)
RN 162020-99-7 CAPLUS
CN Benzenecarboximidamide, 4-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

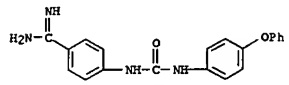


RN 162021-00-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

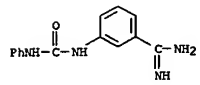
L4 ANSWER 5 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



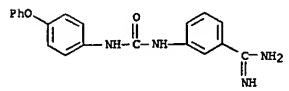
RN 162021-02-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 162021-03-6 CAPLUS
CN Benzenecarboximidamide, 3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

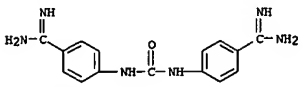


RN 162021-04-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 501953-21-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:695938 CAPLUS
DOCUMENT NUMBER: 137:216781
TITLE: Derivatives of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments
INVENTOR(S): Aschenbrenner, Andrea; Aulinger Fuchs, Katharina; Dormeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Juergen; Krauss, Rolf; Leban, Johan; Pegoraro, Stefano; Saeb, Wael; Wolf, Kristina
PATENT ASSIGNEE(S): 4SC A.-G., Germany
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070467	A1	20020912	WO 2002-EP2040	20020226
WO 2002070467	B1	20030116		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10109204	A1	20020919	DE 2001-10109204	20010226
US 2002165236	A1	20021107	US 2001-20683	20011212

PRIORITY APPLN. INFO.: DE 2001-10109204 A 20010226
US 2001-20683 A 20011212
OTHER SOURCE(S): MARPAT 137:216781
AB Title compds. were prepd. for use in the treatment of protozoal diseases and of diseases where the inhibition of intracellular protein-degrdn. pathways is of benefit. Thus, 3-NCC6H4NCO was treated with 4-O2NC6H4SO2C6H4NH2-4 to give 3-NCC6H4NHCONHC6H4(SO2C6H4NO2-4)-4 which was subjected to methanolysis and treated with NH3-MeOH to give 3-H2NC(:NH)NHC6H4NHCONHC6H4(SO2C6H4NO2-4)-4 (I). I had IC50 <1 .mu.M against Plasmodium falciparum Dd2 and caused 75-90% inhibition of human 20S proteasome at 5 .mu.M.
IT 455899-89-5P 455899-90-8P 455899-91-9P
455899-92-0P 455899-93-1P 455899-95-3P
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L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
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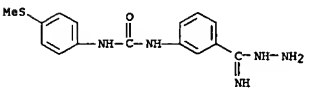
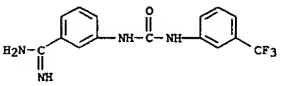
455900-87-5P 455900-88-6P 455900-89-7P
455900-90-0P 455900-91-1P 455900-94-4P
455900-97-7P 455901-01-6P 548783-59-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)

RN 455899-89-5 CAPLUS
CN Benzenecarboximidic acid, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]-, hydrazide (9CI) (CA INDEX NAME)

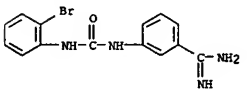


RN 455899-90-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

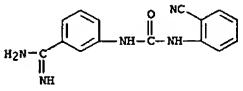


RN 455899-91-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-bromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

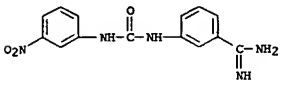
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



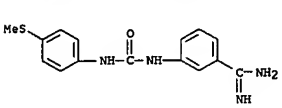
RN 455899-92-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-cyanophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-93-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[(3-nitrophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

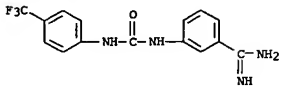


RN 455899-95-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

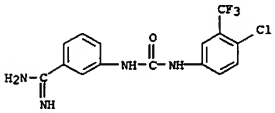


RN 455899-96-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

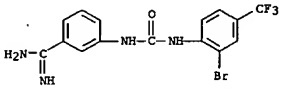
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



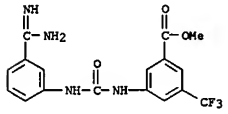
RN 455899-97-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-98-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[2-bromo-4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

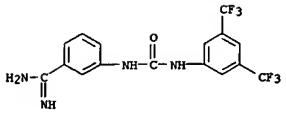


RN 455899-99-7 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

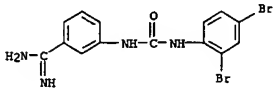


RN 455900-00-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

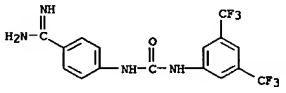
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



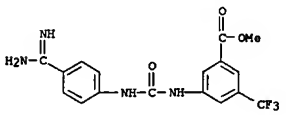
RN 455900-01-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2,4-dibromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-02-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

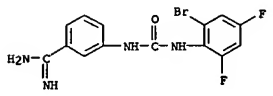


RN 455900-03-5 CAPLUS
CN Benzoic acid, 3-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

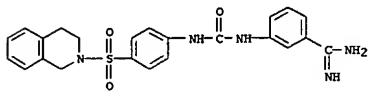


RN 455900-08-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-bromo-4,6-difluorophenyl)amino]carbonyl]am

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
ino]- (9CI) (CA INDEX NAME)



RN 455900-09-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



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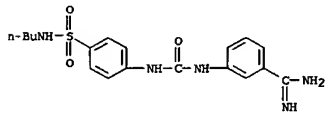
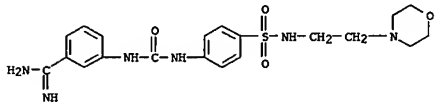
CN Benzenecarboximidamide, 3-[[[[4-[(butylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

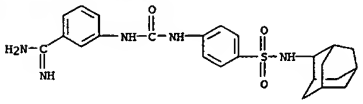


RN 455900-11-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[2-(4-morpholinyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

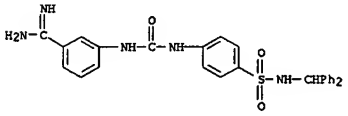


RN 455900-12-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(tricyclo[3.3.1.13,7]dec-2-ylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

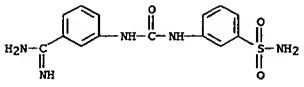
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



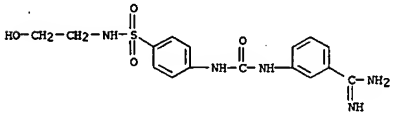
RN 455900-13-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(diphenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-14-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(aminosulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

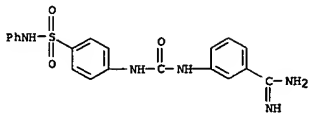


RN 455900-15-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

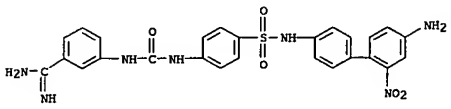


RN 455900-16-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(phenylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

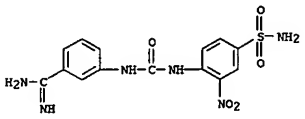
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



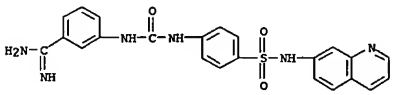
RN 455900-17-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(4'-amino-2'-nitro[1,1'-biphenyl]-4-yl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-18-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)-2-nitrophenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

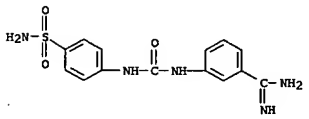


RN 455900-19-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(7-quinolinylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



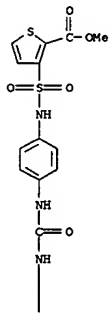
RN 455900-20-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)phenyl]amino]carbonyl]amino

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
]- (9CI) (CA INDEX NAME)



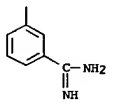
RN 455900-21-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

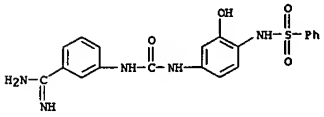


L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

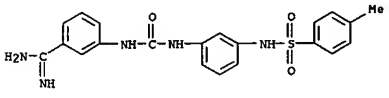
PAGE 2-A



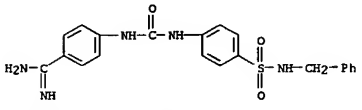
RN 455900-22-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-hydroxy-4-[(phenylsulfonyl)amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-23-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-24-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-25-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

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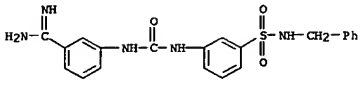
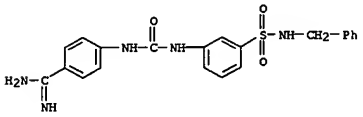
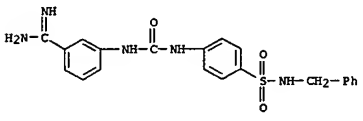


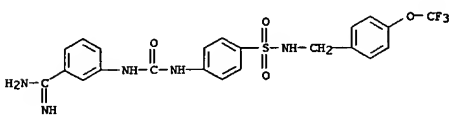
RN 455900-26-2 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-27-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

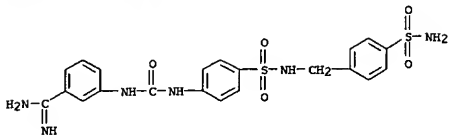


RN 455900-28-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

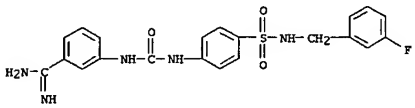


RN 455900-29-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

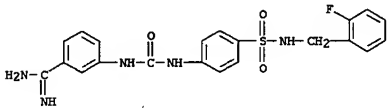
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



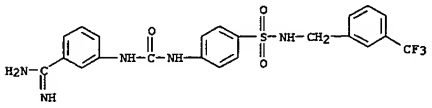
RN 455900-30-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



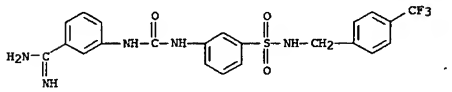
RN 455900-31-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



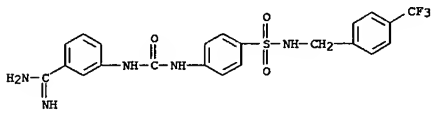
RN 455900-32-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



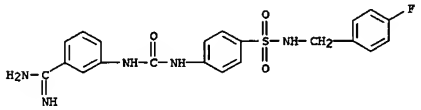
RN 455900-33-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-34-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-35-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-36-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

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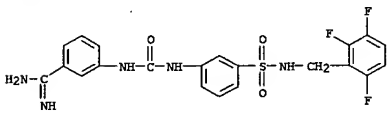
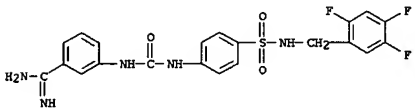
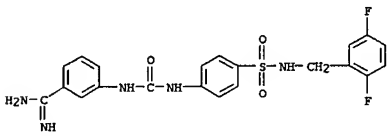


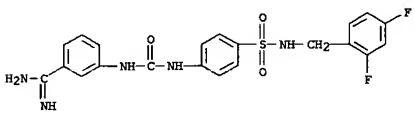
RN 455900-37-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-38-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,5-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

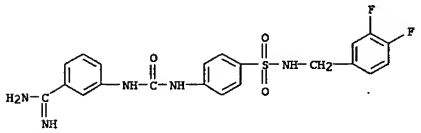


RN 455900-39-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

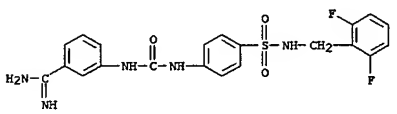


RN 455900-40-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4-difluorophenyl)methyl]amino]sulfon

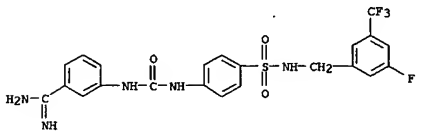
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
yl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-41-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-42-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-43-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

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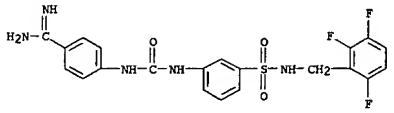
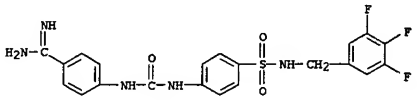
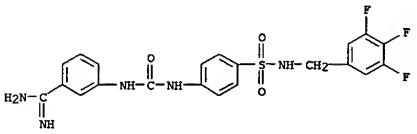


RN 455900-44-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

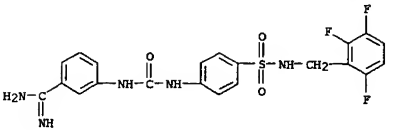


RN 455900-45-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

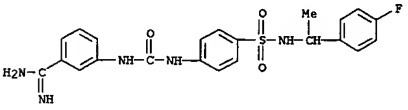


RN 455900-46-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

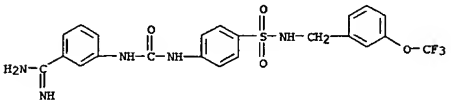
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-47-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[1-(4-fluorophenyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

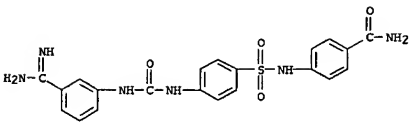


RN 455900-48-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

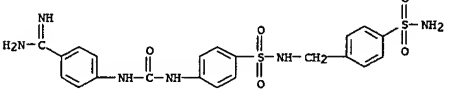


RN 455900-50-2 CAPLUS
CN Benzamide, 4-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

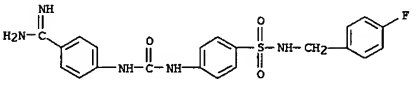
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



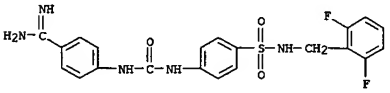
RN 455900-51-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-52-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

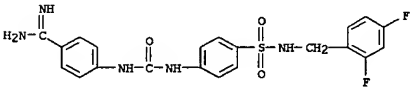


RN 455900-53-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

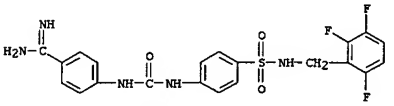


RN 455900-54-6 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

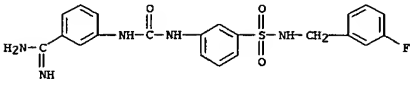
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



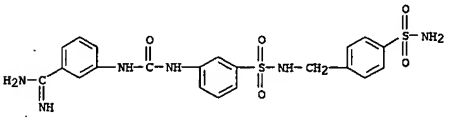
RN 455900-55-7 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-57-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

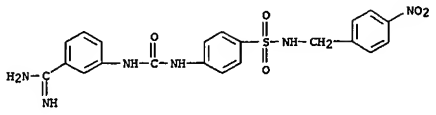


RN 455900-58-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

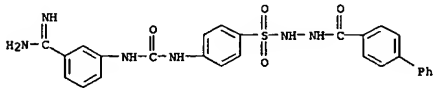


RN 455900-59-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(4-nitrophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

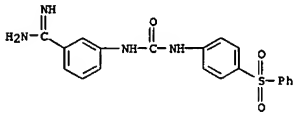
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



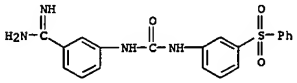
RN 455900-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 455900-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-62-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-63-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carb

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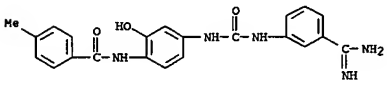
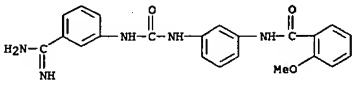
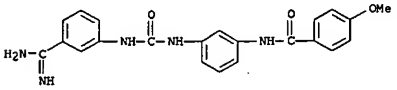
RN 455900-67-1 CAPLUS
CN Benzamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-2-hydroxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)



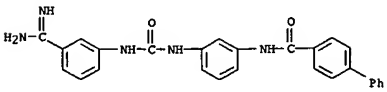
RN 455900-68-2 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 455900-69-3 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

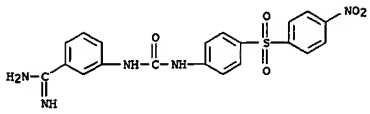


RN 455900-70-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)

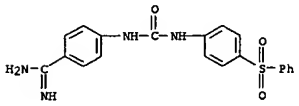


RN 455900-71-7 CAPLUS
CN [3,5'-Biisoxazole]-4'-carboxamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-3',5-dimethyl- (9CI) (CA INDEX NAME)

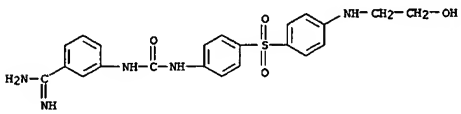
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
onyl]amino]- (9CI) (CA INDEX NAME)



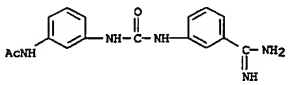
RN 455900-64-8 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-65-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-66-0 CAPLUS
CN Acetamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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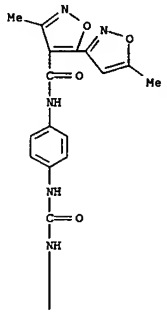

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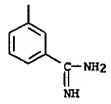
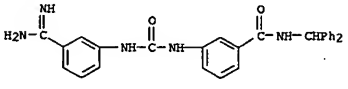
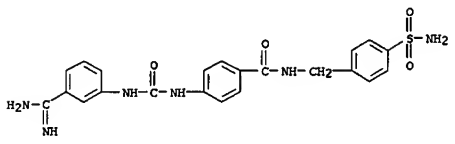


RN 455900-72-8 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)

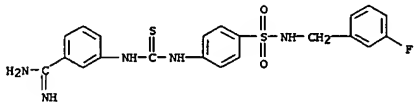


RN 455900-73-9 CAPLUS
CN Benzamide, 4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-[[4-(aminosulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

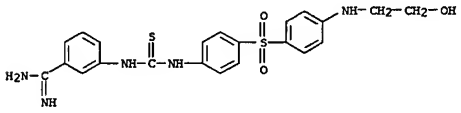
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



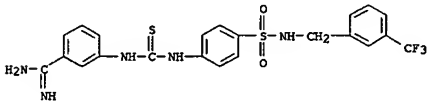
RN 455900-74-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)



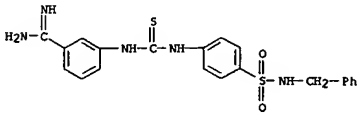
RN 455900-76-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)



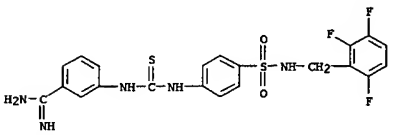
RN 455900-77-3 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)



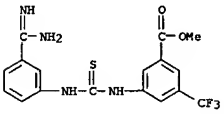
RN 455900-78-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-79-5 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

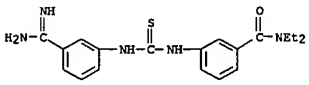


RN 455900-80-8 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

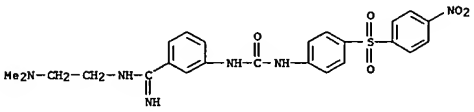


RN 455900-81-9 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

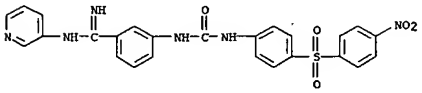
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-82-0 CAPLUS
CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

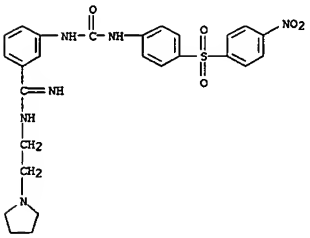


RN 455900-83-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

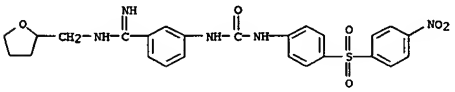


RN 455900-84-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

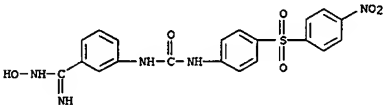
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-85-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

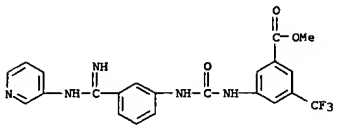


RN 455900-86-4 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

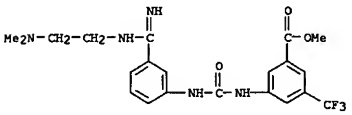


RN 455900-87-5 CAPLUS
CN Benzoic acid, 3-[[[[3-(imino(3-pyridinylamino)methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

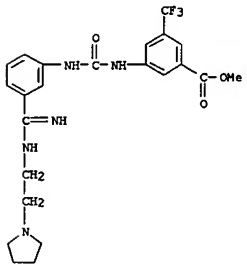
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



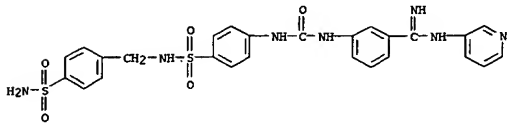
RN 455900-88-6 CAPLUS
CN Benzoic acid, 3-[[[[3-[[[2-(dimethylamino)ethyl]amino]iminomethyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 455900-89-7 CAPLUS
CN Benzoic acid, 3-[[[[3-[imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-90-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 455900-91-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

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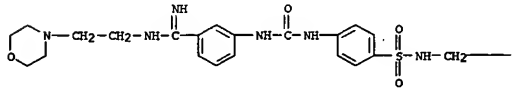

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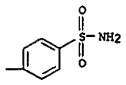
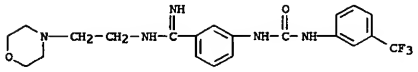
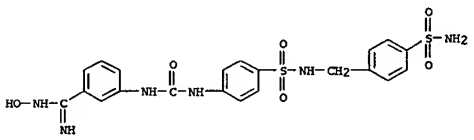


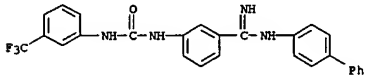
RN 455900-94-4 CAPLUS
CN Benzenecarboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



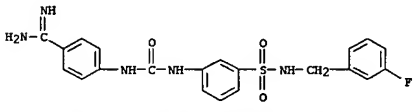
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-97-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 455901-01-6 CAPLUS
CN Benzenecarboximidamide, N-[1,1'-biphenyl]-4-yl-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



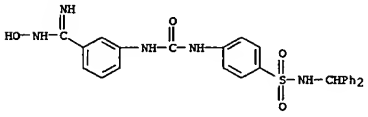
RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



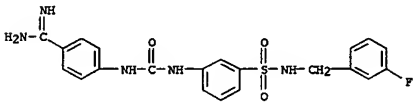
IT 455901-19-6P 548783-59-1P 548783-60-4P
548783-61-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)

RN 455901-19-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(diphenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

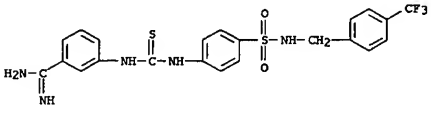
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



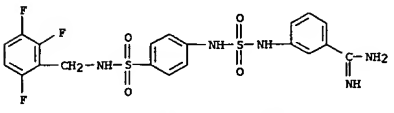
RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 548783-60-4 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

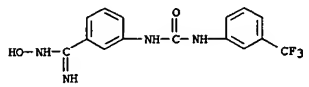


RN 548783-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]sulfonyl]amino]- (9CI) (CA INDEX NAME)

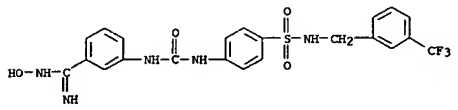


IT 455900-93-3P 455900-95-5P 455900-96-6P
455900-98-8P 455900-99-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of diphenylurea, diphenyloxalic acid diamide and

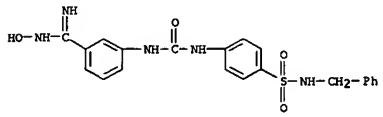
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
diphenylsulfuric acid diamide and their use as medicaments)
RN 455900-93-3 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-95-5 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

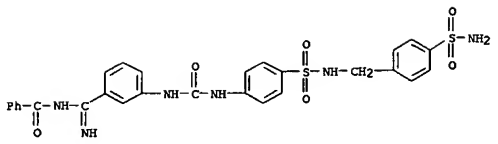


RN 455900-96-6 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

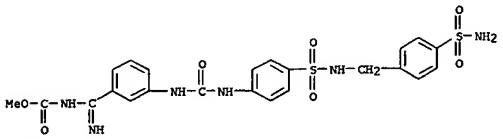


RN 455900-98-8 CAPLUS
CN Benzamide, N-[[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-99-9 CAPLUS
CN Carbamic acid, [[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

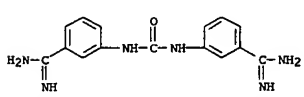


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:574927 CAPLUS
DOCUMENT NUMBER: 137:119655
TITLE: Combinations of drugs (e.g., a benzimidazole and pentamidine) for the treatment of neoplastic disorders
INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.
PATENT ASSIGNEE(S): Combinatorx Incorporated, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058697	A1	20020801	WO 2002-US1707	20020122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002165261	A1	20021107	US 2001-768870	20010124

PRIORITY APPLN. INFO.: US 2001-768870 A1 20010124
OTHER SOURCE(S): MARPAT 137:119655
AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (1) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.
IT 3459-96-9, Amicarbalide
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug combinations for treatment of neoplastic disorders)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

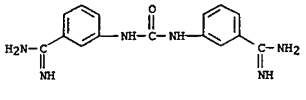


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:574914 CAPLUS
DOCUMENT NUMBER: 137:119653
TITLE: Combinations of drugs (e.g., chlorpromazine and pentamidine) for the treatment of neoplastic disorders
INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.
PATENT ASSIGNEE(S): Combinatorx Incorporated, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058684	A2	20020801	WO 2001-US47959	20011030
WO 2002058684	A3	20030417		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6569853	B1	20030527	US 2000-706929	20001106
EE 200300212	A	20030815	EE 2003-212	20011030
EP 1339399	A2	20030903	EP 2001-994213	20011030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003166642	A1	20030904	US 2003-347714	20030121
NO 2003002036	A	20030704	NO 2003-2036	20030506

PRIORITY APPLN. INFO.: US 2000-706929 A1 20001106
WO 2001-US47959 W 20011030
OTHER SOURCE(S): MARPAT 137:119653
AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) chlorpromazine or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.
IT 3459-96-9, Amicarbalide
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug combinations for treatment of neoplastic disorders)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 9 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:935575 CAPLUS
DOCUMENT NUMBER: 136:69739
TITLE: Preparation of piperidinoalkylureas as chemokine receptor modulators
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098270	A2	20011227	WO 2001-US19752	20010620
WO 2001098270	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6525069	B1	20030225	US 2000-597400	20000621
EP 1294690	A2	20030326	EP 2001-950360	20010620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.:
US 2000-213208P P 20000621
US 2000-597400 A 20000621
US 1998-112717P P 19981218
US 1999-161221P P 19991022
US 1999-466442 A2 19991217
WO 2001-US19752 W 20010620

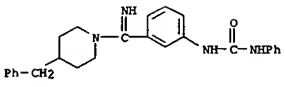
OTHER SOURCE(S): MARPAT 136:69739

AB The title compds. were prepd. as chemokine receptor modulators (no data). Thus, PhCH2Z(CH2)3NHR (Z = piperidine-4,1-diyl)(I; R = H)(prepn. given) was amidated by 3-(NC)C6H4NCO to give I [R = CONHC6H4(CN)-3].

IT **275810-52-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinoalkylureas as chemokine receptor modulators)

RN 275810-52-1 CAPLUS
CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 10 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:935574 CAPLUS
DOCUMENT NUMBER: 136:69738
TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA; Bristol-Myers Squibb Pharmaceutical Co.
SOURCE: PCT Int. Appl., 446 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6605623	B1	20030812	US 2000-598821	20000621

PRIORITY APPLN. INFO.:
US 2000-213051P P 20000621
US 2000-598821 A 20000621
US 1998-112717P P 19981218
US 1999-161243P P 19991022
US 1999-465286 B2 19991217

OTHER SOURCE(S): MARPAT 136:69738

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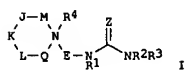

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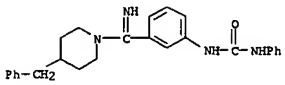
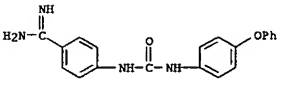
AB [Title compds. I; M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CHR5, CHR13, CR13R13, CR5R13; K = CHR5, CR5R6; Z = O, S; E = (CHR7)(CHR9)v(CR11R12); R1, R2 = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R2R3 = atoms to form a (substituted) 5-7 membered ring; R3, R5 = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R4 with R7, R9, or R11 = atoms to form a 5-7 membered ring; R7, R9 = H; R4R7, R4R9 = (substituted) spirocyclyl; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepd. as modulators of chemokine activity (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (prepn. given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-

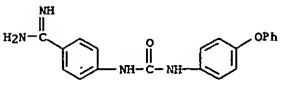
L4 ANSWER 10 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1-piperidinyl]propyl]urea.
IT **275810-52-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)
RN 275810-52-1 CAPLUS
CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(mol. modeling of)
RN 162021-02-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

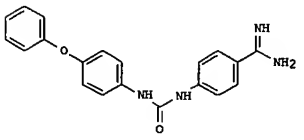


IT **162021-02-5**, WR 268961
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new class of small nonpeptidyl compds. blocks Plasmodium falciparum development in vitro by inhibiting plasmepsins)
RN 162021-02-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:623551 CAPLUS
DOCUMENT NUMBER: 135:327005
TITLE: New class of small nonpeptidyl compounds blocks Plasmodium falciparum development in vitro by inhibiting plasmepsins
AUTHOR(S): Jiang, Suping; Prigge, Sean T.; Wei, Lan; Gao, Yu-E.; Hudson, Thomas H.; Gerena, Lucia; Dame, John B.; Kyle, Dennis E.
CORPORATE SOURCE: Department of Parasitology, Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910-7500, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(9), 2577-2584
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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AB Malarial parasites rely on aspartic proteases called plasmepsins to digest Hb during the intraerythrocytic stage. Plasmepsins from Plasmodium falciparum and Plasmodium vivax have been cloned and expressed for a variety of structural and enzymic studies. Recombinant plasmepsins possess kinetic similarity to the native enzymes, indicating their suitability for target-based antimalarial drug development. We developed an automated assay of P. falciparum plasmepsin II and P. vivax plasmepsin to quickly screen compds. in the Walter Reed chem. database. A low-mol.-mass (346 Da) diphenylurea deriv. [WR268961 (I)] was found to inhibit plasmepsins with a Ki of 1 to 6 .mu.M. This compd. appears to be selective for plasmepsin, since it is a poor inhibitor of the human aspartic protease cathepsin D (Ki greater than 280 .mu.M). I inhibited the growth of P. falciparum strains W2 and D6, with 50% inhibitory concns. ranging from 0.03 to 0.16 .mu.g/mL, but was much less toxic to mammalian cells. The Walter Reed chem. database contains over 1,500 compds. with a diphenylurea core structure, 9 of which inhibit the plasmepsins, with Ki values ranging from 0.05 to 0.68 .mu.M. These nine compds. show specificity for the plasmepsins over human cathepsin D, but they are poor inhibitors of P. falciparum growth in vitro. Computational docking expts. indicate how diphenylurea compds. bind to the plasmepsin active site and inhibit the enzyme.
IT **162021-02-5D**, plasmepsin complexes

L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:565039 CAPLUS
DOCUMENT NUMBER: 135:153111
TITLE: Preparation of aryl-amidines and derivatives, and prodrugs thereof as factor Xa inhibitors
INVENTOR(S): Kang, Myung-Gyun; Park, Doo-Hee; Kwon, Oh-Hwan; Kim, Eunice Eun-Kyeong; Hwang, Kwang-Yeon; Heo, Yong-Seok; Park, Tae-Kyo; Lee, Tae-Hee; Moon, Kwang-Yul; Park, Jong-Woo; Chang, Hye-Kyung; Lee, Sang-Koo; Lee, Sun-Hwa; Park, Su-Kyung; Lee, Sung-Hack; Park, Hee-Dong
PATENT ASSIGNEE(S): LG Chem Investment Ltd., S. Korea
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055146	A1	20010802	WO 2001-KR13	20010104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1254136	A1	20021106	EP 2001-901571	20010104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003523356	T2	20030805	JP 2001-561005	20010104
US 2003065176	A1	20030403	US 2002-181975	20020724

PRIORITY APPLN. INFO.: KR 2000-4458 A 20000129
KR 2000-6354 A 20000211
KR 2000-7487 A 20000217
KR 2000-7489 A 20000217
WO 2001-KR13 W 20010104
OTHER SOURCE(S): MARPAT 135:153111
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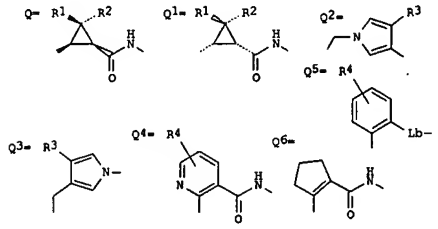
L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



AB The aryl-amidines, particularly amidinoaryl-cyclopropanes, amidinoarylmethyl-pyrroles, amidinoaryl-benzenes, amidinoaryl-pyridines, or amindonoaryl-alanines, represented by formula G-A(D)-A-L-P[(X)n]-Q(Y)Z [wherein Ar = benzene, pyridine, thiophene, naphthalene, isoquinoline; G = R, F, Cl, Br, iodo, cyano, OR, O2CR, CO2R, CONR2 (wherein R = H, linear, branched, cyclic or branched cyclic C1-10 alkyl); A = Q-Q6, CH2 CHR5CONH, CH2CHR5CH2O, CH2CHR6NHCO [wherein R1, R2 = F, Cl, Br, iodo, R, CH2O R, CH2O2CR, CO2R, CONR2, CON(CH2)m (m = 2-7), CO-morpholine, etc.; R3 = group listed in R2, CONH(amino acid or its ester or amide), etc.; R4 = F, Cl, Br, iodo, cyano, OR, R; R5 = NR2, NR(COR), NR (CH2)m1 CO2R (m1 = 0-3), etc.; R6 = CO2R, CONR2, CH2OR); Lb= CONH, CONHCH2, CH2NHCO, NHCONH, etc.; D = NH2, CH2NH2, C(:NR7)NH2 (wherein R7 = H, OH, CO2R8, OR8, O2COR8; wherein R8 = Ph, CH2Ph, linear, branched, cyclic or branched cyclic C1-10 alkyl); L = (CH2)m2 (m2 = 0,1); P = benzene, pyridine, pyrrole, furan, thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole, isothiazole, pyridazine, pyridazine, pyrimidine, pyrazine, naphthalene, etc.; n = 0-2; Q = H, benzene, pyridine, pyridine, pyrrole, furan, thiophene, oxazole, isoxazole, imidazole, 1,2-diazole, thiazole, isothiazole, etc.; Y, Z = R, F, Cl, Br, iodo, cyano, OR, CO2R, COR, CONR2, NR2, NR(COR), N(COR)2, CF3, OCF3, etc.], pharmaceutically acceptable salts, prodrugs, hydrates, solvates or isomers thereof are prepd. These compds. are inhibitors of coagulation enzyme, factor Xa (FXa). The present invention also relates to a pharmaceutical compn. contg. the above compd., and a method of using the same as an anticoagulant agent for treatment and prevention of thrombosis disorders. N-[4-(2-aminosulfonylphenyl)phenyl]-cis-2-(3-aminoiminomethylphenyl)cyclopropane-1-carboxamide monotrifluoroacetate, 4-(4-aminoiminomethylbenzyl)-1-(3-aminoiminomethylbenzyl)pyrrole-3-carboxamide bis(trifluoroacetate), 3-aminoiminomethylbenzyl 2-(3-aminoiminomethylphenyl)benzyl ether bis(trifluoroacetate), and (S)-N-{4-(2-aminosulfonylphenyl)benzoyl}-3-(3-aminoiminomethylphenyl)alanine Et ester trifluoroacetate in vitro inhibited FXa with Ki of 0.5, 0.12, 0.44, and 2 nM, resp., and thrombin with Ki of 2,900, 2.1, 5, and 620, resp., and exhibited the thrombin/FXa selectivity of 5,800, 18, 11, and 310, resp.

IT **352619-40-0P 352619-42-2P 352619-44-4P**

L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

352619-46-6P 352621-51-3P

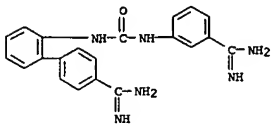
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl-amidines and derivs., and prodrugs thereof as factor Xa inhibitors and anticoagulants for treatment of thrombosis disorders)

RN 352619-40-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboximidamide, 2'-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352619-39-7
CMF C21 H20 N6 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

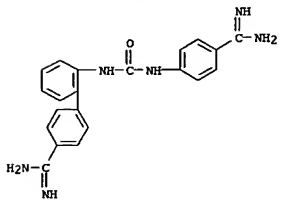
RN 352619-42-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboximidamide, 2'-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352619-41-1
CMF C21 H20 N6 O

L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

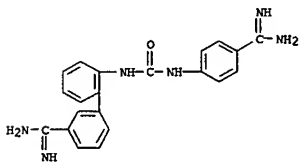
CRN 76-05-1
CMF C2 H F3 O2

RN 352619-44-4 CAPLUS

CN [1,1'-Biphenyl]-3-carboximidamide, 2'-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352619-43-3
CMF C21 H20 N6 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

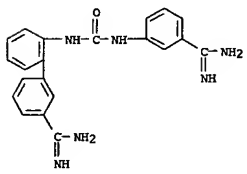
L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 352619-46-6 CAPLUS

CN [1,1'-Biphenyl]-3-carboximidamide, 2'-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352619-45-5
CMF C21 H20 N6 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

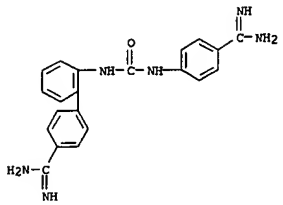
RN 352621-51-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboximidamide, 2'-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 352619-41-1
CMF C21 H20 N6 O

L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

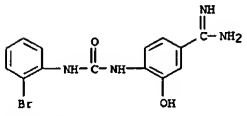
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
binding at about the same level.

IT 210358-38-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N,N'-diphenyl ureas as IL-8 receptor antagonists)

RN 210358-38-6 CAPLUS

CN Benzenecarboximidamide, 4-[[[(2-bromophenyl)amino]carbonyl]amino]-3-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

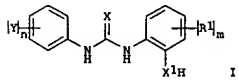
ACCESSION NUMBER: 2001:521916 CAPLUS
DOCUMENT NUMBER: 135:107152
TITLE: Preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists
INVENTOR(S): Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: U.S., 51 pp., Cont.-in-part of U.S. 58,86,044.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6262113	B1	20010717	US 1998-125279	19980814
US 5886044	A	19990323	US 1996-641990	19960320
WO 9729743	A1	19970821	WO 1996-US13632	19960821
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 2002128321	A1	20020912	US 2001-871076	20010531

PRIORITY APPLN. INFO.: US 1996-641990 A2 19960320
WO 1996-US13632 W 19960821
US 1995-390260 B2 19950217
WO 1996-US2260 A 19960216
US 1998-125279 A3 19980814

OTHER SOURCE(S): MARPAT 135:107152

GI



AB The title compds. [I; X = O; X1 = O, S; R1 = H, halo, NO2, etc.; two R1 moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; s = 1-3; Y = H, halo, NO2, etc.; two Y moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; n, m = 1-3], useful for treating a chemokine mediated disease, wherein the chemokine is one which binds to an IL-8 .alpha. or .beta. receptor, were prepd. Thus, reacting Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [X = O; R = OH; R1 = 4-CO2Me; m = 1; Y = H]. All of the exemplified compds. I showed an IC50 from about 45 to about < 1 .mu.g/mL against IL-8 receptor binding. All of these compds. were also found to be inhibitors of Gro-.alpha.

L4 ANSWER 14 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:420964 CAPLUS
DOCUMENT NUMBER: 133:43445
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Duncia, John V. K.; Santella, Joseph B., III; Wacker, Dean A.; Kim, Ui Tae
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 351 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035454	A1	20000622	WO 1999-US30336	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140087	A1	20011010	EP 1999-965322	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
US 6492400	B1	20021210	US 1999-465287	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		

PRIORITY APPLN. INFO.: US 1998-112717P P 19981218
US 1999-161184P P 19991022
US 1999-161222P P 19991022
US 1999-465288 A3 19991217
WO 1999-US30336 W 19991217

OTHER SOURCE(S): MARPAT 133:43445

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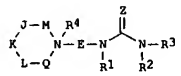
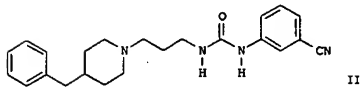




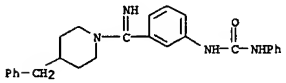
L4 ANSWER 14 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CHR5, etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/da (oral dosage).

IT 275810-52-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:420963 CAPLUS
DOCUMENT NUMBER: 133:43444
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo; Clark, Cheryl Mcardle; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035453	A1	20000622	WO 1999-US30335	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1158980	A1	20011205	EP 1999-965321	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
US 6486180	B1	20021126	US 1999-465948	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		

PRIORITY APPLN. INFO.: US 1998-112717P P 19981218
US 1999-161137P P 19991022
US 1999-161222P P 19991022
US 1999-465288 A3 19991217
WO 1999-US30335 W 19991217

OTHER SOURCE(S): MARPAT 133:43444
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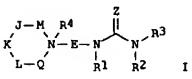
L4 ANSWER 15 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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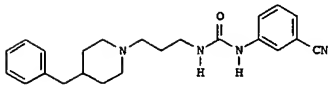


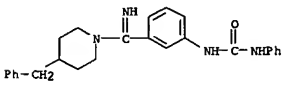
II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:420962 CAPLUS
DOCUMENT NUMBER: 133:43443
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Santella, Joseph B. Iii; Wacker, Dean A. K.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 388 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035452	A1	20000622	WO 1999-US30334	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1161240	A1	20011212	EP 1999-963107	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
BR 9917038	A	20020402	BR 1999-17038	19991217
JP 2002532427	T2	20021002	JP 2000-587772	19991217
NZ 511394	A	20030725	NZ 1999-511394	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
NO 2001002977	A	20010820	NO 2001-2977	20010615
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		

PRIORITY APPLN. INFO.: US 1998-112717P P 19981218
US 1999-161221P P 19991022
US 1999-161222P P 19991022
US 1999-465288 A3 19991217
WO 1999-US30334 W 19991217

OTHER SOURCE(S): MARPAT 133:43443
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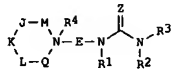

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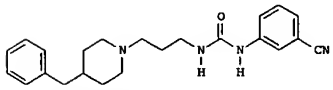


II

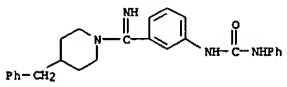
L4 ANSWER 16 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

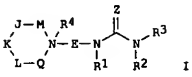
L4 ANSWER 17 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:420961 CAPLUS
DOCUMENT NUMBER: 133:43442
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.; Watson, Paul S.; Varnes, Jeffrey G.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 394 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035451	A1	20000622	WO 1999-US30332	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140086	A1	20011010	EP 1999-964297	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		

PRIORITY APPLN. INFO.: US 1998-112717P P 19981218
US 1999-161243P P 19991022
US 1999-161222P P 19991022
US 1999-465288 A3 19991217
WO 1999-US30332 W 19991217

OTHER SOURCE(S): MARPAT 133:43442
GI

L4 ANSWER 17 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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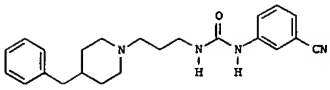


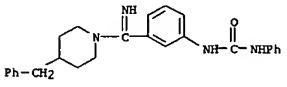
II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



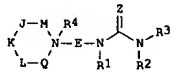
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:420959 CAPLUS
DOCUMENT NUMBER: 133:43441
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Gardner, Daniel S.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035449	A1	20000622	WO 1999-US30292	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1156807	A1	20011128	EP 1999-968144	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		

PRIORITY APPLN. INFO.: US 1998-112717P P 19981218
US 1999-161221P P 19991022
US 1999-161222P P 19991022
US 1999-465288 A3 19991217
WO 1999-US30292 W 19991217

OTHER SOURCE(S): MARPAT 133:43441
GI



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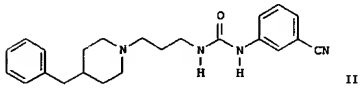


II

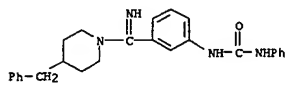
AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc.; Q = CH2, CHR5,

L4 ANSWER 18 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT **275810-52-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

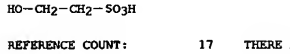
CN Piperidine, 1-[imino[3-[[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 107-36-8
CMF C2 H6 O4 S



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:112066 CAPLUS
DOCUMENT NUMBER: 132:273766
TITLE: Determination by capillary zone electrophoresis of berenil, phenamidine, diampron and dibromopropamidine in serum and urine
AUTHOR(S): Rabanal, B.; de Paz, , E.; Merino, G.; Negro, A.
CORPORATE SOURCE: Analytical Chemistry, Department of Biochemistry and Molecular Biology, University of Leon, Leon, E-24071, Spain
SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (2000), 738(2), 293-303
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A quick, simple and reliable anal. method has been developed in order to det. berenil, phenamidine, diampron and dibromopropamidine by capillary zone electrophoresis in samples of serum and urine. In order to define the operation parameters in CZE, we have carried out a study on how the apparent electrophoretic mobility (.mu.app) varies when pH, buffer concn., voltage and temp. are modified. Ohm's law plot has been studied, too. With the data obtained from this study we have detd. the optimum work conditions, which are: citrate buffer 25 mM, pH=3.70, 14 kV, 30.degree.C, wavelength of the UV detector: 200 nm, capillary tube: 570 mm.times.75 .mu.m. Under these conditions, all the products appear in times between: 7.6 min phenamidine and 8.8 min dibromopropamidine, limits of detection being: berenil: 0.50, phenamidine: 0.25, diampron: 0.40 and dibromopropamidine: 0.80 .mu.g ml-1. We have carried out a recovery study with three kinds of extn. cartridges: Sep-pak C-18 plus, Sep-pak C-8 plus and Oasis HBL for each one of the products in blood and urine.

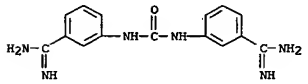
IT **3671-72-5**, Diampron
RL: ANT (Analyte); ANST (Analytical study)
(detn. of berenil, phenamidine, diampron and dibromopropamidine in serum and urine by CZE)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

L4 ANSWER 20 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

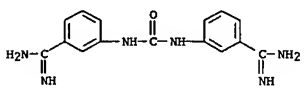
ACCESSION NUMBER: 2000:98347 CAPLUS
DOCUMENT NUMBER: 133:37773
TITLE: Polyamines: agents with macrofilaricidal activity
AUTHOR(S): Kinnamon, K. E.; Engle, R. R.; Poon, B. T.; Ellis, W. Y.; Mccall, J. W.; Dzimianski, M. T.
CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Washington, DC, 20307-5100, USA
SOURCE: Annals of Tropical Medicine & Parasitology (1999), 93(8), 851-858
CODEN: ATMPA2; ISSN: 0003-4983
PUBLISHER: Carfax Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English

AB There is a need for effective macrofilaricidal drugs. The polyamine metab. of filarial worms has been recognized as a possible target for effective drug action. In an attempt to identify agents that might provide leads in developing an effective macrofilaricide, 78 polyamine compds. were selected from among > 250 000 structures that have been amassed by the Walter Reed Army Institute of Research, in the U.S.A. These thousands of agents have been chosen principally for drug-development programs for other parasitic diseases. The 78 prospective drugs selected were evaluated for their macrofilaricidal activity against Brugia pahangi and Acanthocheilonema viteae, in male Mongolian jirds (Meriones unguiculatus). The animal models using these two parasites were designed to mimic, in so far as possible, human lymphatic filariasis and onchocerciasis, resp. Thirteen of the compds. were found to be active although none of these has been previously reported to be macrofilaricidal. Two were suppressive for B. pahangi and 11 for A. viteae. These active agents may represent a nucleus around which highly effective drugs can be synthesized.

IT **3459-96-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyamines: agents with macrofilaricidal activity)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



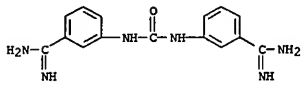
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:306124 CAPLUS
DOCUMENT NUMBER: 131:124978
TITLE: Leishmania infantum promastigotes: effects of diamidines on DNA synthesis and non-protein thiol contents
AUTHOR(S): Azas, N.; Di Giorgio, C.; Gasquet, M.; Delmas, F.; Timon-David, P.
CORPORATE SOURCE: Laboratoire de Parasitologie, Faculte de Pharmacie, Marsellie, 13385, Fr.
SOURCE: Medical Science Research (1999), 27(3), 149-152
CODEN: MSCREJ; ISSN: 0269-8951
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We have compared the antiproliferative activity of eight diamidines and two inhibitors of polyamine synthesis on Leishmania infantum promastigotes to their action on the cell cycle and non-protein thiol contents. As expected, both diamidines and polyamine synthesis inhibitors induced an exponential dose-related decrease in growth, a concomitant fall in non-protein thiol contents and a significant inhibition of DNA synthesis. However, in contrast to the inhibitors of polyamine synthesis, which reduced the percentages of cells in the S phase of the cell cycle only at high concns., diamidines inhibited DNA synthesis at infinitesimal concns. There was also a strong correlation between the S-phase decline and inhibition of growth. This suggests that DNA synthesis inhibition due to diamidine treatment could not be considered as a side-effect resulting from polyamine depletion, but may be the principal mechanism of diamidine antiproliferative activity in Leishmania promastigotes.

IT **3459-96-9**, Amicarbalide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of antileishmanial diamidines on DNA synthesis and non-protein thiol contents)

RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



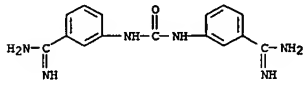
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:800403 CAPLUS
DOCUMENT NUMBER: 130:177122
TITLE: Novel GABAA receptor blockers: an attempt to find more potent clozapine-like selective GABA antagonists
AUTHOR(S): Squires, Richard F.; Saederup, Else
CORPORATE SOURCE: Nathan S. Kline Psychiatric Research, Orangeburg, NY, 10962, USA
SOURCE: Voprosy Meditsinskoi Khimii (1997), 43(6), 576-583
CODEN: VMDKAM; ISSN: 0042-8809
PUBLISHER: NII Biomeditsinskoi Khimii
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Because clozapine and a no. of other antipsychotic, as well as antidepressant drugs selectively block subsets of GABAA receptors, we have routinely screened 1100 compds. since 1983 for GABA antagonists effects on 35S-TBPS binding, with a view to finding more potent clozapine-like selective GABAA receptor blockers. About 225 GABA antagonists were identified. Among compds. not previously published, four groups of tricyclic compds. (phenothiazines, phenoxazines, acridines and phenazines) contained GABAA receptor blockers, with acridines and oxidized phenothiazines in general being the most potent. Other active groups include cocaine derivs., xanthines, indoles and phenethylamine derivs. A large group of misc. structures includes all known GABAA receptor blockers, as well as some antihistamines, antitussives, antimalarial/antiprotozoals, potential antidepressant, and a large non-therapeutic category consisting of diverse chem. structures. The amidino steroid R5135 remains the most potent GABAA receptor blocker by far (EC50 = 5.7 nM, .DELTA.Bopt = 130%), and is non-arom. Pitrazepin, the next-most potent GABAA receptor blocker (EC50 = 360 nM), also fully reverses the inhibitory effect of 1 .mu.M GABA on 35S-TBPS binding, but is 63-fold less potent than R5135. Appropriately positioned amidino groups, ring (arom.) nitrogen, ether and keto groups can contribute to the potency of GABAA receptor blockade. Clozapine-like selective GABAA receptor blockers with EC50 values in the low nanomolar range remain to be identified. Such compds. may have potent antipsychotic effects.

IT **3459-96-9**, Amicarbalide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(novel GABAA receptor blockers: an attempt to find more potent clozapine-like selective GABA antagonists)

RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

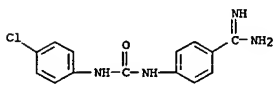


L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:721912 CAPLUS
DOCUMENT NUMBER: 130:77828
TITLE: Oxyanion-Mediated Inhibition of Serine Proteases
AUTHOR(S): Presnell, Steven R.; Patil, Girish S.; Mura, Cameron; Jude, Kevin M.; Conley, Jennifer M.; Bertrand, Jay A.; Kam, Chih-Min; Powers, James C.; Williams, Loren Dean
CORPORATE SOURCE: School of Chemistry Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30332-0400, USA
SOURCE: Biochemistry (1998), 37(48), 17068-17081
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel acyl derivs. of benzamidine were synthesized and tested for their inhibitory potency against bovine trypsin, rat skin tryptase, human recombinant granzyme A, human thrombin, and human plasma kallikrein. All compds. show competitive inhibition against these proteases with Ki values in the micromolar range. X-ray structures were detd. to 1.8 .ANG. resoln. for trypsin complexed with two of the para-substituted benzamidine derivs., 1-(4-amidinophenyl)-3-(4-chlorophenyl)urea (ACPU) and 1-(4-amidinophenyl)-3-(4-phenoxyphenyl)urea (APPU). Although the inhibitors do not engage in direct and specific interactions outside the S1 pocket, they do form intimate indirect contacts with the active site of trypsin. The inhibitors are linked to the enzyme by a sulfate ion that forms an intricate network of three-centered hydrogen bonds. Comparison of these structures with other serine protease structures with non-covalently bound oxyanions reveals a pair of highly conserved oxyanion-binding sites in the active site. The positions of non-covalently bound oxyanions, such as the oxygen atoms of sulfate, are distinct from the positions of covalent oxyanions of tetrahedral intermediates. Non-covalent oxyanion positions are outside the oxyanion hole. Kinetics data suggest that protonation stabilizes the ternary inhibitor/oxyanion/protease complex. In sum, both cations and anions can mediate Ki. Cation mediation of potency of competitive inhibitors of serine proteases was previously reported by Stroud and co-workers [Katz, B. A., Clark, J. M., Finer-Moore, J. S., Jenkins, T. E., Johnson, C. R., Ross, M. J., Luong, C., Moore, W. R., and Stroud, R. M. (1998) Nature 391, 608-612].

IT **218967-55-6D**, trypsin complexes **218967-57-8D**, trypsin complexes
RL: PRP (Properties)
(crystal structure; prepn. of and oxyanion-mediated inhibition of serine proteinases by benzamidine derivs.)

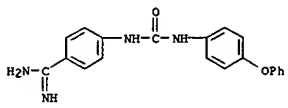
RN 218967-55-6 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-chlorophenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

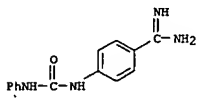
RN 218967-57-8 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

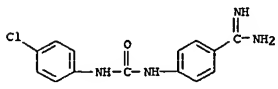
IT **218967-54-5P 218967-55-6P 218967-57-8P 218967-58-9P 218967-59-0P 218967-61-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of and oxyanion-mediated inhibition of serine proteinases by benzamidine derivs.)

RN 218967-54-5 CAPLUS
CN Benzenecarboximidamide, 4-[[(phenylamino)carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

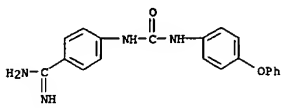
RN 218967-55-6 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-chlorophenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

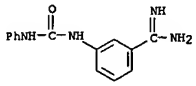
L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 218967-57-8 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



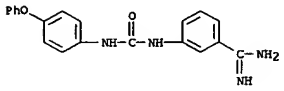
● HCl

RN 218967-58-9 CAPLUS
CN Benzenecarboximidamide, 3-[[(phenylamino)carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

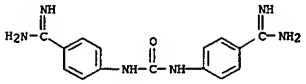
RN 218967-59-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 218967-61-4 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonyldiimino)bis-, dihydrochloride (9CI)

L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(CA INDEX NAME)



●2 HCl

REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

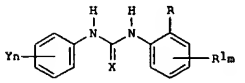
L4 ANSWER 24 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:479029 CAPLUS
DOCUMENT NUMBER: 129:122458
TITLE: Preparation of N,N'-diphenylurea derivatives as interleukin-8 receptor antagonists
INVENTOR(S): Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 641,990.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780483	A	19980714	US 1996-701299	19960821
US 5886044	A	19990323	US 1996-641990	19960320
US 6211373	B1	20010403	US 1998-111663	19980708

PRIORITY APPLN. INFO.: US 1995-390260 B2 19950217
US 1996-641990 A2 19960320
WO 1996-US2260 W 19960216
US 1996-701299 A3 19960821

OTHER SOURCE(S): MARPAT 129:122458
GI



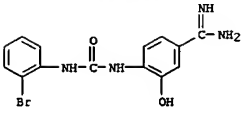
I

AB The title compds. [I; X = O, S; R = any functional moiety having an ionizable H and a pKa of .ltoreq.10 (sic); R1, Y = H, halo, NO2, cyano, (halo)alkyl, alkenyl, (halo)alkoxy, N3, HO, hydroxyalkyl, aryl, arylalkyl, aryloxy, arylalkoxy, heteroaryl, heteroarylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylalkoxy, arylalkenyl, heteroarylalkenyl, (un)substituted NH2, CONH2, or SO3H, etc.; m, n = 1-3], which are useful for the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8) (no data), are prepd. Thus, Me 4-amino-3-hydroxybenzoate was added to a soln. of Ph isocyanate in PhMe and the resulting mixt. was stirred at .apprx.80.degree. for 24-48 h to give 90% N-[2-hydroxy-4-(methoxycarbonyl)phenyl]-N'-phenylurea.

IT 210358-38-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)

RN 210358-38-6 CAPLUS
CN Benzenecarboximidamide, 4-[[[(2-bromophenyl)amino]carbonyl]amino]-3-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



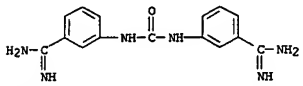
REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:75630 CAPLUS
DOCUMENT NUMBER: 128:215441
TITLE: QacA multidrug efflux pump from Staphylococcus aureus: comparative analysis of resistance to diamidines, biguanidines, and guanylhydrazones
AUTHOR(S): Mitchell, Bernadette A.; Brown, Melissa H.; Skurray, Ronald A.
CORPORATE SOURCE: School of Biological Sciences, University of Sydney, New South Wales, 2006, Australia
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(2), 475-477
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The staphylococcal multidrug efflux pump QacA mediates resistance to a broad spectrum of monovalent and divalent antimicrobial cations. Resistance toward various classes of these compds. identified features of the substrate that may be important for interaction with QacA. Anal. of combinations of two substrates suggested that the same mechanism is used for the extrusion of different classes of compds.

IT **3459-96-9**, Amicarbalide
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(comparative anal. of QacA multidrug efflux pump-mediated resistance to diamidines, biguanidines, and guanylhydrazones in Staphylococcus aureus)

RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



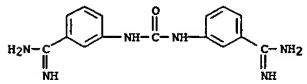
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:292798 CAPLUS
DOCUMENT NUMBER: 126:324972
TITLE: Therapeutic efficacy of atovaquone against the bovine intraerythrocytic parasite, Babesia divergens
AUTHOR(S): Pudney, Mary; Gray, Jeremy S.
CORPORATE SOURCE: Department of Molecular Sciences, Wellcome Foundation Limited, Kent, BR3 3BS, UK
SOURCE: Journal of Parasitology (1997), 83(2), 307-310
CODEN: JOPAA2; ISSN: 0022-3395
PUBLISHER: American Society of Parasitologists
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study demonstrates the activity of the hydroxynaphthoquinone (HNQ), atovaquone, against Babesia divergens, the cause of a rare but lethal form of human babesiosis. In vitro studies showed that unlike other anti-malarial drugs, the HNQs studied have a high level of anti-babesial activity and atovaquone was more active than imidocarb, the most effective compd. used so far for human B. divergens babesiosis and also used routinely for the treatment of bovine babesiosis. Atovaquone also proved to be extremely active against B. divergens in gerbils (Meriones unguiculatus). Acute fulminating infections were effectively treated with as little as 1.0 mg/kg with increasing effectiveness up to 10 mg/kg, which compares well with the activity of imidocarb. Although immunosuppression with dexamethasone slowed the decline of parasitemias after treatment with atovaquone, gerbil survival was unaffected. Pretreatment of gerbils with 4 daily low doses of atovaquone did not have any effect on the development of subsequent infections. However, if treatment was continued after infection, daily doses as low as 0.5 mg/kg effectively suppressed the parasites.

IT **3459-96-9**, Amicarbalide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic efficacy of atovaquone and other drugs against bovine intraerythrocytic parasite Babesia divergens)

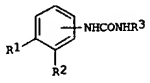
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



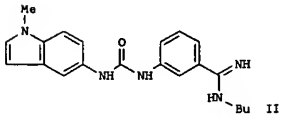
L4 ANSWER 27 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:107406 CAPLUS
DOCUMENT NUMBER: 126:117864
TITLE: Preparation of N-heterocyclyl-ureas as 5-HT antagonists
INVENTOR(S): Ito, Kiyotaka; Spears, Glen W.; Yamanaka, Toshio; Harada, Keiko; Hotta, Yuka; Kato, Masayuki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Ito, Kiyotaka; Spears, Glen, W.; Yamanaka, Toshio; Harada, Keiko; Hotta, Yuka; Kato, Masayuki
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639382	A1	19961212	WO 1996-JP1500	19960604
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 11506468	T2	19990608	JP 1996-500302	19960604

PRIORITY APPLN. INFO.: GB 1995-11355 19950606
WO 1996-JP1500 19960604
OTHER SOURCE(S): MARPAT 126:117864
GI



I



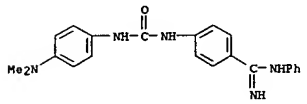
II

AB The title compds. [I; R1 = CN, thiocarbamoyl, -(A1NH)mC(:NH)(NH)nR4 (whereas R4 = H, optionally substituted lower alkyl, aryl, etc.; A1 = lower alkylene; m, n = 0-1), -A2R5 (whereas R5 = morpholino, piperidino, etc.; A2 = lower alkylene), -A3N(R6)R7 (whereas R6, R7 = H, optionally substituted aryl, acyl, etc.; A3 = lower alkylene); R2 = H; R1R2 = -(CH2)3CH(R8)-, (CH2)2N(R9)CH2-, -(CH2)3N(R9)- (whereas R8 = NH2, acylamino; R9 = H, acyl, lower alkyl, etc.); R3 = 1-lower alkylindolyl, benzofuranyl, diydrobenzofuranyl, optionally substituted aryl], useful as a medicament for prophylactic and therapeutic treatment of 5-HT mediated diseases, were prepd. Thus, reaction of N-(1-methyl-1H-indol-5-yl)-N'-{3-[methylthio(imino)methyl]phenyl}urea with BuNH2 in the presence of AcOH in

L4 ANSWER 27 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
MeOH afforded II.HI which showed 77% inhibition against [3H]-mesulergine binding in the rat prefrontal cortex.

IT **186128-56-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-heterocyclyl-ureas as 5-HT antagonists)

RN 186128-56-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-(dimethylamino)phenyl]amino]carbonyl]amino]-N-phenyl-, monohydriodide (9CI) (CA INDEX NAME)



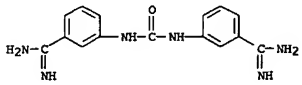
● HI

L4 ANSWER 28 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:8755 CAPLUS
DOCUMENT NUMBER: 126:180830
TITLE: Structural determinants of putrescine uptake inhibition produced by cationic diamidines in model of Trypanosomatidae Crithidia fasciculata
AUTHOR(S): Navas, Isabel M.; Garcia-Fernandez, Antonio J.; Johnson, Raoul A.; Reguera, Rosa M.; Balana-Fouce, Rafael; Ordonez, David
CORPORATE SOURCE: Facultad Veterinaria, Universidad Murcia, Murcia, E-30071, Spain
SOURCE: Biological Chemistry (1996), 377(12), 833-836
CODEN: BICHF3; ISSN: 1431-6730
PUBLISHER: de Gruyter
DOCUMENT TYPE: Journal
LANGUAGE: English

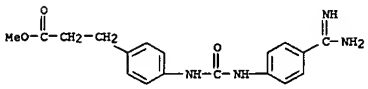
AB The effect of a heterologous series of cationic diamidines was tested on cell growth and polyamine uptake on the model of Trypanosomatidae C. fasciculata. The max. inhibitory effect on both parameters was found for pentamidine and dibromopropamidine, which exhibit a longer distance between amino and imino substituents. A min. inhibitory effect was found with amicarbalide. A good relationship was obtained when the distance between amino moieties was plotted vs. the inhibitory effect on putrescine uptake, suggesting a role of this structural property on polyamine transport in C. fasciculata. In addn., a similar correlation was obtained for another Trypanosomatidae parasite, Leishmania infantum.

IT **3459-96-9**, Amicarbalide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(structural determinants of putrescine uptake inhibition by cationic diamidines in Crithidia fasciculata)

RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 29 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:214772 CAPLUS
DOCUMENT NUMBER: 124:260854
TITLE: Preparation of sulfamides, ureas, and analogs as bioadhesion inhibitors
INVENTOR(S): Himmelsbach, Frank; Austel, Volkhard; Pieper, Helmut; Linz, Guenter; Weisenberger, Johannes; Guth, Brian
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4424974	A1	19960118	DE 1994-4424974	19940715
WO 9602514	A1	19960201	WO 1995-EP2669	19950710

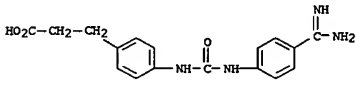
W: CA, JP, MX, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: DE 1994-4424974 19940715
OTHER SOURCE(S): MARPAT 124:260854

AB R1R2NZR3 [R1 = amidinophenyl, amidinobiphenylyl, carboxyalkyl, etc.; R2 = H, alkyl; R3 = OR1, NR1R6, etc.; R6 = H, alkyl] were prepd. Thus, 2-(HO)C6H4OSO3H was amidated by H2NCH2CH2CO2Et and the product amidated by 4-(H2N)C6H4C6H4(CN)-4 to give 4-(NC)C6H4C6H4(NHSO2NHCH2CH2CO2R)-4 (I; R = Et). I (R = Me) was converted in 3 steps to 4-{H2N(HN:)C]C6H4Z1NHZNHCH2CH2CO2H (II; Z = SO2, Z1 = 1,4-phenylene). II (Z = CO, Z1 = bond) had IC50 of 450nM against BIBU 52 binding to human thrombocytes in vitro.

IT **175213-47-5P 175213-52-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfamides, ureas, and analogs as bioadhesion inhibitors)

RN 175213-47-5 CAPLUS
CN Benzenepropanoic acid, 4-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



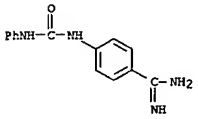
RN 175213-52-2 CAPLUS
CN Benzenepropanoic acid, 4-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:366994 CAPLUS
DOCUMENT NUMBER: 122:234109
TITLE: Mammalian tissue trypsin-like enzymes: substrate specificity and inhibitory potency of substituted isocoumarin mechanism-based inhibitors, benzamidine derivatives, and arginine fluoroalkyl ketone transition-state inhibitors
AUTHOR(S): Kam, Chih-Min; Hernandez, Maria A.; Patil, Girish S.; Ueda, Toshihisa; Simmons, William H.; Braganza, Vincent J.; Powers, James C.
CORPORATE SOURCE: Sch. Chem. Biochem., Georgia Inst. Technology, Atlanta, GA, 30332-0400, USA
SOURCE: Archives of Biochemistry and Biophysics (1995), 316(2), 808-14
CODEN: ABBIA4; ISSN: 0003-9861
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Amino acid and peptide thioesters which contained Arg or Lys in the P1 position were tested as substrates for rat skin tryptase, and the kinetic consts. kcat/Km for the better substrates, such as Z-Aba-Arg-SBzl, and Z-Gly-Arg-SBzl (Aba = .alpha.-aminobutyric acid; Z = benzyloxycarbonyl; SBzl = thiobenzyl ester), were >5 .times. 106 M-1 s-1. The inhibitory potency of arginine fluoralkyl ketones, benzamidine derivs., and substituted isocoumarins contg. basic functional groups was studied with rat skin tryptase, human lung tryptase, human skin tryptase, and bovine trypsin. 1-Naphthoyl-Arg-CF3 was the best arginine fluoroalkyl ketone reversible inhibitor for rat skin tryptase with a Ki of 0.9 .mu.M. 1-(4-Amidinophenyl)-3-(4-phenoxyphenyl)urea showed competitive inhibition against bovine trypsin and rat skin tryptase with Ki values of 2 and 4 .mu.M, resp. Isocoumarin derivs. with isothioureidoalkoxy substituents at the 3-position were potent irreversible inhibitors of these 3 tryptases with kobs/[I] values of 104-105 M-1 s-1. 4-Chloro-3-(2-isothioureido) ethoxy-7-phenylcarbamoylaminoisocoumarin and 7-benzylcarbamoylamino-4-chloro-3-(3-isothioureido)propoxyisocoumarin inactivated trypsin and formed stable trypsin-inhibitor complexes which regained <8% activity upon standing in the pH 7.5 buffer and regained 30-75% activity in the presence of 0.3M NH2OH after 1 day. In contrast, the complexes with rat skin tryptase regained activity rapidly, indicating differences in the inhibition mechanism and active site structures of these related enzymes.

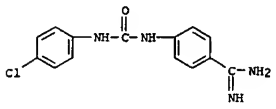
IT **162020-99-7 162021-00-3 162021-02-5**
162021-03-6 162021-04-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors of rat and human tryptases)

RN 162020-99-7 CAPLUS
CN Benzenecarboximidamide, 4-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

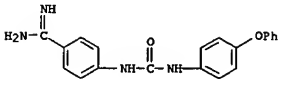


L4 ANSWER 30 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

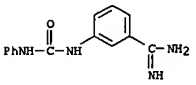
RN 162021-00-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



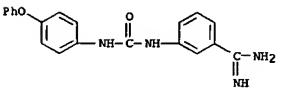
RN 162021-02-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 162021-03-6 CAPLUS
CN Benzenecarboximidamide, 3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

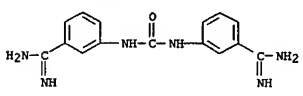


RN 162021-04-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

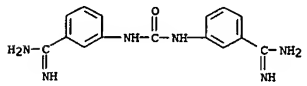
L4 ANSWER 31 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:473074 CAPLUS
DOCUMENT NUMBER: 121:73074
TITLE: Putrescine uptake inhibition by aromatic diamidines in Leishmania infantum promastigotes
AUTHOR(S): Reguera, R.; Balana Fouce, R.; Cubria, J. C.; Alvarez Bujidos, M. L.; Ordonez, D.
CORPORATE SOURCE: Fac. Vet., Univ. Leon, Leon, 24071, Spain
SOURCE: Biochemical Pharmacology (1994), 47(10), 1859-66
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect of a series of arom. diamidines has been tested on Leishmania infantum promastigotes in both culture growth and putrescine uptake. The EC50 values calcd. by means of dose-response curves were 45, 80, 165, 259, and 600 .mu.M for 4',6-diamidino-2-phenylindole (DAPI), dibromo propamidine, pentamidine 2-hydroxy stilbamidine and stilbamidine, resp., although no inhibitory effects on cell growth were found at 1 mM propamidine, phenamidine and amicarbalide. When these compds. were kinetically analyzed for putrescine uptake using Lineweaver-Burk plots, the Ki values reached were: DAPI, 15 .mu..mu.; pentamidine, 3 .mu..mu.; dibromo propamidine, 7 .mu.M; 2-hydroxy stilbamidine, 21 .mu.M; stilbamidine, 20 MM, propamidine, 25 MM; and phenamidine, 95 .mu.M. Amicarbalide, however, was not able to reduce putrescine uptake to a significant extent, even at the highest concn. studied of 1 mM.
IT 3459-96-9, Amicarbalide
RL: BIOL (Biological study)
(Leishmania infantum promastigotes growth inhibition by, structure in relation to)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:400286 CAPLUS
DOCUMENT NUMBER: 119:286
TITLE: Aromatic diamidines are reversible inhibitors of porcine kidney diamine oxidase
AUTHOR(S): Cubria, J. C.; Balana Fouce, R.; Alvarez-Bujidos, M. L.; Negro, A.; Ortiz, A. I.; Ordonez, D.
CORPORATE SOURCE: Fac. Vet., Univ. Leon, Leon, 24071, Spain
SOURCE: Biochemical Pharmacology (1993), 45(6), 1355-7
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The inhibitory ability of arom. diamidines has been studied on porcine kidney diamine oxidase. The reversibility of drug-protein interactions has been tested by means of exhaustive dialysis expts., showing in all cases a reversible binding pattern. Ki Values obtained by means of Lineweaver-Burk plots were: stilbamidine 12 .mu.M, 2-OH-stilbamide 8.5 .mu.M, phenamidine 4 .mu.M, propamidine 8 .mu.M, dibromopropamidine 4.9 .mu.M and amicarbalide 12 .mu.M.
IT 3671-72-5, Amicarbalide isethionate
RL: BIOL (Biological study)
(diamine oxidase reversible inhibition by)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

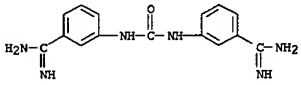
L4 ANSWER 33 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1988:447851 CAPLUS
DOCUMENT NUMBER: 109:47851
TITLE: Cationic antitrypanosomal and other antimicrobial agents in the therapy of experimental Pneumocystis carinii pneumonia
AUTHOR(S): Walzer, Peter D.; Kim, C. Kurtis; Foy, Jilanna; Linke, Michael J.; Cushion, Melanie T.
CORPORATE SOURCE: Coll. Med., Univ. Cincinnati, Cincinnati, OH, 45220, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1988), 32(6), 896-905
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cationic compds. used in the treatment of veterinary African trypanosomiasis have structural properties similar to those of pentamidine, which has been used in the therapy of human trypanosomiasis and infection with P. carinii. The activities of these drugs and other antimicrobial agents were compared in an immunosuppressed rat model of P. carinii pneumonia. Diminazene, imidocarb, amicarbalide, quinapyramine, and isometamidium showed efficacy greater than or equal to that of pentamidine in the therapy of P. carinii infection, whereas ethidium and methylglyoxal bis(guanylhydrazone) were only slightly active against the organism. Diminazene and pentamidine also exhibited comparable efficacy in P. carinii prophylaxis. .alpha.-Difluoromethylornithine (DFMO), a polyamine inhibitor, was ineffective therapy when used alone and did not improve the effectiveness of pentamidine or diminazene. Quinine, quinidine, quinacrine, chlorpromazine, spiramycin, Pentostam, Astiban, dehydroemetine, ampicillin, gentamicin, chloramphenicol, and spectinomycin also showed little or no activity against the organism. Thus, in this model anti-P. carinii activity appears to be a common property of veterinary cationic trypanocidal compds. This should be important in studying structure-activity relationships and in developing new drugs for the treatment of P. carinii infection in humans.

IT **3671-72-5**, Amicarbalide isethionate
RL: BIOL (Biological study)
(Pneumocystis carinii pneumonia therapy with, structure in relation to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

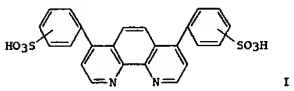


L4 ANSWER 33 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 34 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:491184 CAPLUS
DOCUMENT NUMBER: 105:91184
TITLE: Iontophoretic studies on rat hippocampus with some novel GABA antagonists
AUTHOR(S): Dalkara, Turgay; Saederup, Else; Squires, Richard F.; Krnjevic, Kresimir
CORPORATE SOURCE: Anaesthesia Res. Dep., McGill Univ., Montreal, QC, H3G 1Y6, Can.
SOURCE: Life Sciences (1986), 39(5), 415-22
CODEN: LIFSAX; ISSN: 0024-3205
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

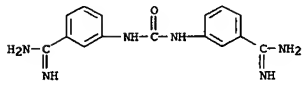
AB Twelve substances which appear to be GABA [56-12-2] antagonists, judging by their ability to reverse the inhibitory effect of GABA on [35S]tert-butylbicyclophosphorothionate([35S]TBPS) binding to rat brain membranes, were tested iontophoretically on population spikes in the rat hippocampus. Eight of them, including 7 which completely reversed the inhibitory action of GABA on [35S]TBPS binding, caused a marked enhancement of population spikes, with slow onset and long duration and they antagonized the inhibition of population spikes by GABA. These effects were similar to those produced by bicuculline [485-49-4]. Electrophysiol., the most potent of the complete reversers were bathophenanthroline disulfonate (I) [28061-20-3] and brucine [357-57-3]. In vitro, amoxapine [14028-44-5] and brucine most effectively reversed the inhibitory action of GABA on 35S-TBPS binding. Of the 5 substances which only partly reversed the inhibitory effect of GABA on [35S]TBPS binding, 4 depressed the population spikes and potentiated the inhibitory action of GABA. The partial reverser, pipazethate [2167-85-3], potently increased the population spikes like the complete reversers. Results are consistent with the existence of several GABA-A receptor types in brain, only some of which are blocked by certain partial reversers.

IT **3671-72-5**
RL: BIOL (Biological study)
(hippocampus elec. activity response to, GABA in relation to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

L4 ANSWER 34 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



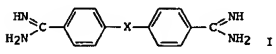
CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 35 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:206932 CAPLUS
DOCUMENT NUMBER: 104:206932
TITLE: Antiprotozoal diamidines
INVENTOR(S): Glazer, Edward A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4546113	A	19851008	US 1983-484803	19830414
US 4624958	A	19861125	US 1985-770328	19850828
US 4732907	A	19880322	US 1986-889540	19860725
PRIORITY APPLN. INFO.:			US 1983-484803	19830414
			US 1985-770328	19850828

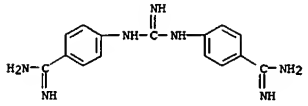
OTHER SOURCE(S): CASREACT 104:206932
GI



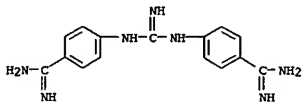
I

AB Eighteen title compds., including bis(amidinophenyl)propenes I (X = CH2CH:CH, CH2CMe:CH), were prepd. Thus, 4-NCC6H4Ac and Me2CO3 were condensed to give 68.6% 4-NCC6H4COCH2CO2Me, which was alkylated by 4-NCC6H4CH2Br to give 53.6% RCOCH(CH2R)CO2Me (R = 4-NCC6H4). Hydrolysis and decarboxylation of the latter gave 71-75% RCOCH2CH2R (R = as given), which was reduced by NaBH4 to give RCH(OH)CH2CH2R. Dehydration of the alc. gave RCH:CHCH2R (II; R = as given), which reacted with EtOH-HCl to give II 2HCl [R = 4-EtOC(:NH)C6H4]). Ammonolysis of the imidate with NH3-EtOH gave I (X = CH:CHCH2; III) as the dihydrochloride. At 50 mg/kg s.c. in lethally infected mice, III gave .gtoreq.80% protection against Trypanosome congolense and Babesia rodhaini.
IT **80498-63-1P 101341-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as protozoacide)
RN 80498-63-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 101341-00-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



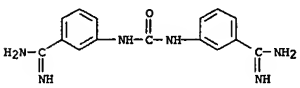
●2 HCl

L4 ANSWER 36 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:180321 CAPLUS
DOCUMENT NUMBER: 104:180321
TITLE: Human seminal antiliquefying agents - a potential approach towards vaginal contraception
AUTHOR(S): Mandal, Arabinda; Bhattacharyya, Asok K.
CORPORATE SOURCE: Coll. Sci., Calcutta Univ., Calcutta, 700019, India
SOURCE: Contraception (1986), 33(1), 31-8
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English

AB One-hundred-one natural and synthetic enzyme inhibitors or inactivators were screened in vitro against the liquefaction property of human ejaculates with a view to develop antiliquefying agents for vaginal contraception. Of those compds., 27 demonstrated no effect, 36 quickened, and 20 delayed the process of liquefaction, whereas 18 agents stopped it completely. The highly effective antiliquefying agents also showed spermicidal properties and coagulated the liq. ejaculates. Compds. having antiliquefying property, together with coagulating and spermicidal activities, will offer a highly promising approach towards vaginal contraception.
IT **94865-38-0**
RL: BIOL (Biological study)
(semen liquefaction inhibition by, from men)
RN 94865-38-0 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

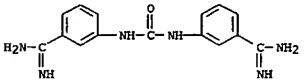


CM 2

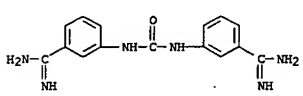
CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 37 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1985:450278 CAPLUS
DOCUMENT NUMBER: 103:50278
TITLE: Inhibition studies of soybean trypsin-like enzyme
AUTHOR(S): Nishikata, Makoto
CORPORATE SOURCE: Sch. Dent., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: Journal of Biochemistry (Tokyo, Japan) (1985), 97(6), 1541-9
CODEN: JOBIAO; ISSN: 0021-924X
DOCUMENT TYPE: Journal
LANGUAGE: English

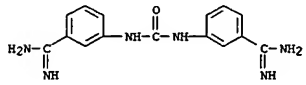
AB The results of inhibition studies of soybean trypsinlike serine proteinase (I) by substrate analogs (derivs. of arginine) suggested that a net neg. charge exists at or near the substrate binding region of the enzyme. On hydrolysis of substrates, this neg. charge seems to repel the products from the substrate-binding region and facilitate the turnover of substrates. From the data on inhibition by various amidines, guanidines, and amines, some information about the structure of the hydrophobic binding pocket of I was obtained. Inactivation of I by the irreversible inhibitors diisopropylfluorophosphate and tosyllysine chloromethyl ketone was decreased by competitive inhibitors, indicating that these irreversible inhibitors bind with residues at the substrate binding region (probably with serine and histidine residues, resp.).
IT **3459-96-9**
RL: BIOL (Biological study)
(trypsinlike serine proteinase of soybean inhibition by, kinetics of)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1985:125142 CAPLUS
DOCUMENT NUMBER: 102:125142
TITLE: A comparison of the efficacy of isometamidium, amicarbalide and diminazene against Babesia canis in dogs and the effect on subsequent immunity
AUTHOR(S): Stewart, C. G.
CORPORATE SOURCE: Fac. Vet. Sci., Univ. Pretoria, Onderstepoort, 0110, S. Afr.
SOURCE: Journal of the South African Veterinary Association (1983), 54(1), 47-51
CODEN: JAVTAP; ISSN: 0038-2809
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Isometamidium chloride [34301-55-8], amicarbalide [3459-96-9] and diminazene diaceturate [908-54-3] were used to treat exptl.-induced canine babesiosis. Relapse parasitemias developed after treatment in all groups of animals. The relapse interval, however, was shorter and more relapses occurred after treatment with amicarbalide than either of the other 2 drugs. Only half of the dogs treated with either isometamidium or diminazene relapsed to infection. Challenge with homologous parasites 62 days after initial infection resulted in severe babesiosis in all 3 animals which had not developed relapse infections. Of the 9 animals which had relapses after treatment, only 1 developed severe babesiosis following homologous challenge.
IT **3459-96-9**
RL: BIOL (Biological study)
(Babesia canis infection treatment with, in dog)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



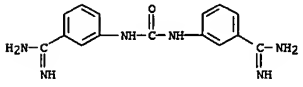
L4 ANSWER 39 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1985:125090 CAPLUS
DOCUMENT NUMBER: 102:125090
TITLE: Stimulation of Rauscher leukemia virus DNA polymerase DNA-directed DNA synthesis by cationic trypanocides and polyamines
AUTHOR(S): Marcus, Stuart L.; Petrylak, Daniel P.; Burchenal, Joseph J.; Bacchi, Cyrus J.
CORPORATE SOURCE: Mem. Sloan-Kettering Cancer Cent., New York, NY, 10021, USA
SOURCE: Cancer Research (1985), 45(1), 112-15
CODEN: CNREA8; ISSN: 0008-5472
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cationic trypanocides stimulated Rauscher leukemia virus (RLV) DNA polymerase [9012-90-2]-catalyzed DNA-directed DNA synthesis at concns. significantly inhibiting eukaryotic DNA polymerases. Such stimulation was negated by polyamines. Kinetic anal. of the stimulation of RLV DNA polymerase by 3 structurally dissimilar cationic trypanocides (Antrycide [3270-78-8], Burroughs-Wellcome Compd. 64A [5611-46-1], and Bayer 1694 [62340-10-7]) suggests that such stimulation is, in part, due to a drug-DNA interaction structure resembling the polyamine-DNA structural complex recognized by the RLV DNA polymerase.
IT **3459-96-9**
RL: BIOL (Biological study)
(DNA-directed DNA formation stimulation by, of Rauscher leukemia virus, structure in relation to)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:543621 CAPLUS
DOCUMENT NUMBER: 101:143621
TITLE: The effect of chemotherapy on Babesia bigemina in the tick vector Boophilus microplus
AUTHOR(S): De Vos, A. J.; Stewart, N. P.; Dalgliesh, R. J.
CORPORATE SOURCE: Anim. Res. Inst., Queensland Dep. Primary Ind., Wacol, 4076, Australia
SOURCE: International Journal for Parasitology (1984), 14(3), 249-52
CODEN: IJPYBT; ISSN: 0020-7519
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Percentages of feeding ticks in which B. bigemina could be detected (infection rates) were detd. following treatment of bovine hosts with each of 4 babesicides. Infection rates were suppressed by imidocarb dipropionate [55750-06-6], quinuronium sulfate [135-14-8] and amicarbalide isethionate [3671-72-5], reaching min. levels 3-4 days after treatment, but imidocarb dihydrochloride [5318-76-3] had comparatively little effect. Total elimination of the parasite from ticks was not achieved. Treatment of tick infested hosts with imidocarb dipropionate or quinuronium sulfate failed to prevent transmission of B. bigemina by transovarian passage or by transfer of adult male ticks. These findings indicate that the use of babesicides for chemotherapy is unlikely to have a significant effect on the rate of transmission of B. bigemina.
IT **3671-72-5**
RL: BIOL (Biological study)
(Babesia bigemina infestation response to, in cattle)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

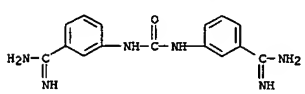
CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

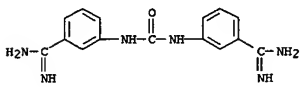
L4 ANSWER 41 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:483594 CAPLUS
DOCUMENT NUMBER: 101:83594
TITLE: Inhibitors of histamine metabolism in vitro and in vivo. Correlations with antitrypanosomal activity
AUTHOR(S): Duch, David S.; Bacchi, Cyrus J.; Edelstein, Mark P.; Nichol, Charles A.
CORPORATE SOURCE: Dep. Med. Biochem., Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA
SOURCE: Biochemical Pharmacology (1984), 33(9), 1547-53
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effects of antimalarial and antitrypanosomal drugs on the activity of histamine N-Me transferase [9029-80-5] and diamine oxidase [9001-53-0] in vitro, as well as diamine oxidn. and histamine [51-45-6] levels in vivo, were examd. Diamidine antitrypanosomal drugs which interfere with polyamine metab. were potent inhibitors both in vitro and in vivo. Antrycide [3270-78-8] and isometamidium [20438-03-3] were the best inhibitors of both enzymes. Ki Values for histamine N-Me transferase were 3 .times. 10-8M for both compds., and the inhibition was competitive for histamine. Antrycide and isometamidium were both non-competitive inhibitors of diamine oxidase, having Ki values of 6 .times. 10-9 M and 3 .times. 10-9 M resp. Isometamidium elevated histamine levels in rat kidney 2-fold and produced a long-term inhibition of putrescine [110-60-1] oxidn. in vivo. Among the compds. examd., only known active antitrypanosomal agents inhibited both histamine N-Me transferase and diamine oxidase in vitro as well as putrescine oxidn. in vivo. These observations suggest that the enzymes acting on histamine and putrescine as substrates can be used to select compds. which interfere with polyamine metab. and that persistence of such compds. in vivo, as indicated by inhibition of putrescine oxidn., correlates with favorable chemotherapeutic properties as antitrypanosomal agents.
IT **3459-96-9**
RL: BIOL (Biological study)
(histamine metab. response to)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 42 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:96216 CAPLUS
DOCUMENT NUMBER: 100:96216
TITLE: Chemotherapy of Babesia divergens in the gerbil, Meriones unguiculatus
AUTHOR(S): Gray, J. S.
CORPORATE SOURCE: Dep. Agric. Zool. Genet., Univ. Coll., Dublin, Ire.
SOURCE: Research in Veterinary Science (1983), 35(3), 318-24
CODEN: RVTSA9; ISSN: 0034-5288
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Surprisingly low doses of 4 babesicides were effective against Babesia divergens in gerbils, and this was due to the involvement of host resistance, which may be of a nonspecific nature. The efficacy of the drugs relative to each other was the same in gerbils as in cattle and this host-parasite system is evidently more suitable for the screening of babesicides than are other rodent babesia systems. The prophylactic dose of imidocarb dipropionate [55750-06-6] required to provide a similar degree of protection in gerbils as in cattle was much higher and was very close to toxic levels. Challenge infections resulted in sterile immunity. Acute babesiosis in gerbils could be cured with all 4 drugs if parasitemias were below approx. 45% and packed cell vols. above 18% at treatment.
IT **3671-72-5**
RL: BIOL (Biological study)
(Babesia divergens infection response to, in gerbils, cattle in relation to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

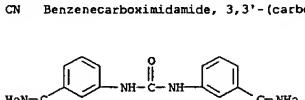


CM 2

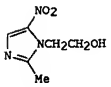
CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 42 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 43 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:46509 CAPLUS
DOCUMENT NUMBER: 98:46509
TITLE: Proteinase inhibitors as antileishmanial agents
AUTHOR(S): Coombs, G. H.; Hart, D. T.; Capaldo, J.
CORPORATE SOURCE: Dep. Zool., Univ. Glasgow, Glasgow, G12 8QQ, UK
SOURCE: Transactions of the Royal Society of Tropical Medicine and Hygiene (1982), 76(5), 660-3
CODEN: TRSTAZ; ISSN: 0035-9203
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Leishmania mexicana mexicana Amastigote proteinase [9001-92-7] activity was largely inhibited by low concns. of leupeptin, antipain [37691-11-5], and 2 epoxysuccinates, compds. known to affect cysteine proteinases. Of these inhibitors, only 2 had leishmanicidal activity. trans-dicyclohexylepoxysuccinate [84315-89-9] At 10 .mu.g/mL inhibited the in vitro transformation of L. m. mexicana amastigotes to promastigotes by greater than 50%. Antipain was a potent antileishmanial agent, which inhibited promastigote growth over 7 days by 50% at 0.5 .mu.g/mL. The no. of amastigotes that transformed in vitro to promastigotes was reduced 78% by antipain at 0.1 .mu.g/mL. Each of the 3 diamidines, investigated (pentamidine isothionate [140-64-7], amicarbilide [**3459-96-9**], and M and B 4596 [4174-73-6]) exhibited marked antileishmanial activity, but only M and B 4596 had any significant effect (36% inhibition at 33 .mu.g/mL) on L. m. mexicana amastigote proteinase activity.
IT **3459-96-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antileishmanial activity of)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 44 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:210476 CAPLUS
DOCUMENT NUMBER: 96:210476
TITLE: Mutagenic activity of some antiprotozoal drugs in the Salmonella typhimurium test by Ames
AUTHOR(S): Jahn, F.
CORPORATE SOURCE: Inst. Pharmakol., Veterinaermed. Univ. Wien, Vienna, Austria
SOURCE: Wiener Tieraerztliche Monatsschrift (1982), 69(1), 19-21
CODEN: WTMOA3; ISSN: 0043-535X
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

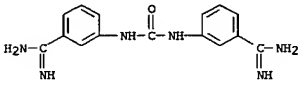


I

AB Of 17 antiprotozoal drugs tested for mutagenicity in a Salmonella typhimurium test only 4 drugs were mutagenic. These 4 drugs were arom. or heterocyclic compds. with 1 or 2 nitro groups as substituents as in metronidazole (I) [443-48-1]. In addn. to their mutagenic potential these drugs were previously shown to be carcinogenic and alter spermatogenesis in exptl. animals.
IT **3671-72-5**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(mutagenicity of, protozoacide in relation to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

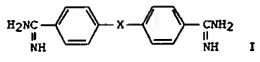


CM 2

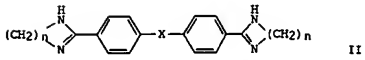
CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 44 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 45 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:135352 CAPLUS
DOCUMENT NUMBER: 96:135352
TITLE: Leishmania donovani, Plasmodium berghei, Trypanosoma rhodesiense: antiprotozoal effects of some amidine types
AUTHOR(S): Steck, Edgar A.; Kinnamon, Kenneth E.; Rane, Dora S.; Hanson, William L.
CORPORATE SOURCE: Div. Exp. Ther., Walter Reed Army Inst. Res., Washington, DC, 20012, USA
SOURCE: Experimental Parasitology (1981), 52(3), 404-13
CODEN: EXPAAA; ISSN: 0014-4894
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

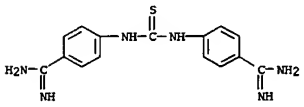


I

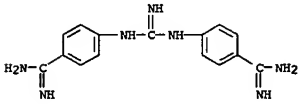


II

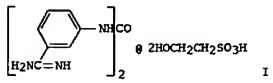
AB A series of 39 diamidines and cyclic congeners I [X = O, O(CH2)5O, S(CH2)5S, OC6H4O, furan, etc.] and II [X = O(CH2)5O, S(CH2)5S, furan, etc.; n = 2 or 3] was investigated for antiprotozoal effects in std. animal models. The test systems employed were the following: L. donovani in hamsters, P. berghei (trophozoite) in mice, and T. rhodesiense in mice. None of the compds. exhibited appreciable antimalaria or antileishmanial activity. One compd., WR 199,385 [2,5-bis(4-guanylphenyl)furan] [73819-26-8] had antitrypanosomal activity in the same range as pentamidine, and was deemed worthy of further study.
IT **80498-62-0 80498-63-1**
RL: PRP (Properties)
(antiprotozoal effect of)
RN 80498-62-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonothioyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 45 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 80498-63-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:110233 CAPLUS
DOCUMENT NUMBER: 96:110233
TITLE: Microchemical identification of drugs with an amidine group. V. Amicarbalide
AUTHOR(S): Yalcindag, O. N.
CORPORATE SOURCE: Refik-Saydam Cent. Inst. Hyg., Ankara, Turk.
SOURCE: Scientia Pharmaceutica (1981), 49(4), 500-2
CODEN: SCPHA4; ISSN: 0036-8709
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

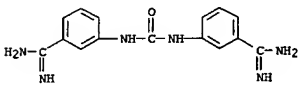


I

AB amicarbalide diisethionate (I) [3671-72-5] can be identified by the cryst. ppts. formed with HAuCl4-NaBr, H2PtCl6-NaBr, CdI2-KI, Dragendorff reagent, K ferricyanide, and 0.1 N iodine soln.
IT **3671-72-5**
RL: PROC (Process)
(identification of, microchem.)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

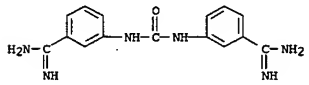


CM 2

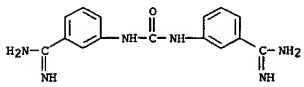
CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 46 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

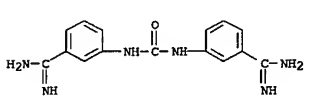
L4 ANSWER 47 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:82491 CAPLUS
DOCUMENT NUMBER: 96:82491
TITLE: Transformation in vitro of Leishmania mexicana amastigotes to promastigotes: nutritional requirements and the effect of drugs
AUTHOR(S): Hart, D. T.; Vickerman, K.; Coombs, G. H.
CORPORATE SOURCE: Dep. Zool., Univ. Glasgow, Glasgow, G12 8QQ, UK
SOURCE: Parasitology (1981), 83(3), 529-41
CODEN: PARAAE; ISSN: 0031-1820
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An in vitro system is described in which >85% of a population of L. mexicana mexicana amastigotes transforms to promastigotes within 48 h. The differentiation process involves 3 morphol. and biochem. distinct intermediates, including a division stage. Cell division is necessary for complete development to promastigotes. Fetal calf serum (FCS) is an essential component of the medium for high percentage transformation to be achieved. One of the important components of the FCS has been identified as nonesterified fatty acids, and these support a relatively high percentage of amastigotes through transformation in the absence of FCS, possibly due to their use as energy substrates. Only small nos. of amastigotes transform to promastigotes if glucose or amino acids are the only energy substrates available. Transformation is inhibited by a no. of metabolic inhibitors including antileishmanial and other antiprotozoal drugs. The stage at which inhibition is apparent varies with the inhibitor. The system described for the transformation in vitro of L. mexicana mexicana amastigotes to promastigotes may be the best method available at present for studying the metab. and drug sensitivity of amastigotes free from possible interference by host macrophage components.
IT **3459-96-9**
RL: BIOL (Biological study)
(Leishmania mexicana differentiation in response to)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



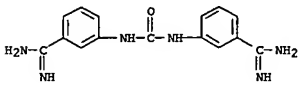
L4 ANSWER 48 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:490931 CAPLUS
DOCUMENT NUMBER: 95:90931
TITLE: Prevention by polyamines of the curative effect of amicarbalide and imidocarb for Trypanosoma brucei infections in mice
AUTHOR(S): Bacchi, Cyrus J.; Nathan, Henry C.; Hutner, Seymour H.; Duch, David S.; Nichol, Charles A.
CORPORATE SOURCE: Biol. Dep., Pace Univ., New York, NY, 10038, USA
SOURCE: Biochemical Pharmacology (1981), 30(8), 883-6
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The curative effects of amicarbalide [**3459-96-9**] (10 or 25 mg/kg, i.p. or s.c.) and imidocarb [27885-92-3] (5 or 10 mg/kg, i.p. or s.c.) on mice infected with T. brucei were blocked by spermidine [124-20-9] (30-300 mg/kg, i.p., 3 days previously) or spermine [71-44-3] (100 mg/kg, i.p.). Putrescine [110-60-1], however was inactive even at 500 mg/kg. In rats in which this blockage was produced, the no. of trypanosomes at death closely paralleled the nos. in infected, untreated controls. The drugs (25-250.mu.M) did not inhibit polyamine formation by intact trypanosomes, but did (at 250.mu.M) partly inhibit spermidine-3H uptake, though not to an extent likely to account for polyamine prevention of therapy. The cationic trypanosomacides may possibly be used as metabolic probes to elucidate the polyamine dependence of growth of such parasites. The formation and function of polyamines in trypanosomes may constitute targets for new antiparasitic drugs.
IT **3459-96-9**
RL: BIOL (Biological study)
(Trypanosoma brucei infection therapy with, polyamines inhibition of)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



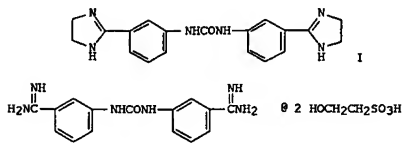
L4 ANSWER 49 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:153160 CAPLUS
DOCUMENT NUMBER: 94:153160
TITLE: Uptake of 3H-purines as an in vitro test to measure viability of Babesia parasites following chemotherapy, irradiation and other treatments
AUTHOR(S): Irvin, A. D.; Young, E. R.; Purnell, R. E.
CORPORATE SOURCE: Agric. Res. Council, Inst. Res. Anim. Dis., Newbury/Berks., UK
SOURCE: Isot. Radiat. Res. Anim. Dis. Their Vectors, Proc. Int. Symp. (1980), Meeting Date 1979, 107-17. IAEA: Vienna, Austria.
CODEN: 45CVAQ
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Babesia rodhaini and Babesia microti from mice, and Babesia divergens and Babesia major from cattle, were maintained in Eagles minimal essential medium to which different radioactive purines and pyrimidines were added. Parasites selectively incorporated several purines, particularly [3H]hypoxanthine, at high levels. Incorporation of [3H]hypoxanthine was directly related to the metabolic activity of the parasites. Treatments which suppressed or abolished metabolic activity proportionately depressed [3H]hypoxanthine uptake. The treatments applied to parasitized cultures included drug therapy, irradn., and storage and growth in different media. These findings could form the basis for simple, rapid, and inexpensive in vitro tests for drug screening of babesicides or assay of stored blood vaccines.
IT **3459-96-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(hypoxanthine transport by Babesia response to)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 50 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:461454 CAPLUS
DOCUMENT NUMBER: 93:61454
TITLE: Negation of trypanocidal drug cures by polyamines
AUTHOR(S): Bacchi, C. J.; Nathan, H. C.; Hutner, S. H.; Duch, D. S.; Nichol, C. A.
CORPORATE SOURCE: Haskins Lab., Pace Univ., New York, NY, 10038, USA
SOURCE: Curr. Chemother. Infect. Dis., Proc. Int. Congr. Chemother., 11th (1980), Meeting Date 1979, Volume 2, 1119-21. Editor(s): Nelson, John D.; Grassi, Carlo. Am. Soc. Microbiol.: Washington, D. C.
CODEN: 43MKAT
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Amicarbalide [3459-96-9], imidocarb [27885-92-3], antrycide [3270-78-8], isometamidium [20438-03-3], pentamidine [100-33-4], or prothidium [14222-46-9] administered to mice infected with trypanosomes cured the infection at a dose of 1-25 mg/kg/day, but simultaneous administration of spermidine [124-20-9] at 300 mg/kg or spermine [71-44-3] at 100 mg/kg negated cures with almost all drugs. Negation of cures was independent of the route of administration.
IT **3459-96-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(trypanosomicidal activity of, polyamines inhibition of)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 51 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:104336 CAPLUS
DOCUMENT NUMBER: 92:104336
TITLE: Curative effects of the antipiroplasma amicarbalide and imidocarb on Trypanosoma brucei infection in mice
AUTHOR(S): Nathan, H. C.; Soto, Kurt V. M.; Moreira, Rocio; Chunosoff, Laura; Hutner, S. H.; Bacchi, C. J.
CORPORATE SOURCE: Haskins Lab., Pace Univ., New York, NY, 10038, USA
SOURCE: Journal of Protozoology (1979), 26(4), 657-60
CODEN: JPROAR; ISSN: 0022-3921
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

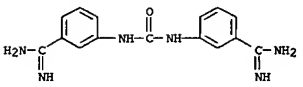
II

AB Imidocarb (I) [27885-92-3] and amicarbalide isethionate (II) [3671-72-5] were active against Trypanosoma brucei mouse infections; both cured infections when doses were administered daily for 3 days 24 h post-inoculation (curative dose I, 10 mg/kg; II, 25 mg/kg). Both agents also cured, when administered 48 and 72 h after challenge with T. brucei, and prolonged the lives of animals 94 h after challenge. The potential of these carbanilides and their precursors, the antitumor phthalanilides, were discussed as lead compds. in chemotherapy of mammalian trypanosomiases.
IT **3671-72-5**
RL: BIOL (Biological study)
(as trypanocide)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

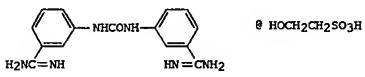
L4 ANSWER 51 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 52 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1979:413851 CAPLUS
DOCUMENT NUMBER: 91:13851
TITLE: Amicarbalide: a therapeutic agent for anaplasmosis
AUTHOR(S): De Vos, A. J.; Barrowman, P. R.; Coetzer, J. A. W.; Kellerman, T. S.
CORPORATE SOURCE: Vet. Res. Inst., Onderstepoort, 0110, S. Afr.
SOURCE: Onderstepoort Journal of Veterinary Research (1978), 45(3), 203-8
CODEN: OJVRAZ; ISSN: 0030-2465
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

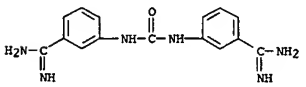


I

AB Amicarbalide isethionate (I) [3671-72-5] (10 mg/kg, s.c.) given twice daily to splenectomized and intact cattle controlled Anaplasma marginale and A. centrale infections, but I at total dosage of >40 mg/kg was toxic to the liver and kidney.
IT **3671-72-5**
RL: BIOL (Biological study)
(Anaplasma infection treatment with, in cattle)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

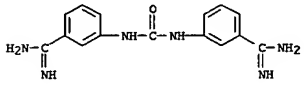
CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

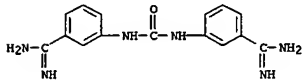
L4 ANSWER 53 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1978:486372 CAPLUS
DOCUMENT NUMBER: 89:86372
TITLE: Inhibition of acetyl choline acetyl hydrolase and acyl choline acyl hydrolase by diphenyldiamidines
AUTHOR(S): Asghar, Syed Shafi; Kammeijer, Arthur; Cormane, Rudy H.
CORPORATE SOURCE: Dep. Dermatol., Univ. Amsterdam, Amsterdam, Neth.
SOURCE: Journal of Molecular Medicine (Shannon, Ireland) (1978), 3(1), 39-47
CODEN: JMMEDM; ISSN: 0377-046X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of amidino compds. was investigated for their inhibitory effects on acetylcholine acetylhydrolase and acylcholine acylhydrolase. Diamidines consisting of 2 amidino Ph residues linked in meta or para position were strong inhibitors of these enzymes, whereas monophenyl monoamidines were ineffective. These results might explain some of the side effects assocd. with the clin. use of these compds.
IT **3459-96-9**
RL: BIOL (Biological study)
(cholinesterase inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 54 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:448455 CAPLUS
DOCUMENT NUMBER: 87:48455
TITLE: Drug-resistant Leptomonas: cross-resistance in trypanocide-resistant clones
AUTHOR(S): Bacchi, C. J.; Lambros, C.; Ellenbogen, B. B.; Penkovsky, L. N.; Sullivan, W.; Eyinna, E. E.; Hutner, S. H.
CORPORATE SOURCE: Haskins Lab., Pace Univ., New York, NY, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1975), 8(6), 688-92
CODEN: AMACCQ; ISSN: 0066-4804
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A Leptomonas of insect origin was highly susceptible to several std. trypanocides and leishmanicides in vitro. Resistance was induced to some of these drugs and clones were isolated from each strain. Cross-resistance patterns of the clones were derived for diamidines, Antrycide (quinapyramine) [20493-41-8], acriflavin [8048-52-0], phenanthridines, and other drugs active against trypanosomes and leishmanias. Clones tested included 2 each that were resistant to acriflavin, Antrycide, Berenil (diminazene aceturate) [908-54-3] and pentamidine [100-33-4] and 1 that was resistant to stilbamidine [122-06-5]. Appreciable cross-resistance was evident for all clones. Differences were obsd. between clones from the same parent strain. Collateral susceptibility towards isometamidium [20438-03-3] and oxophenarsine [306-12-7] was detected in most clone-derived populations. In clones passaged without drug to test for drug fastness, acriflavin and pentamidine clones lost resistance within 10 transfers, whereas Berenil and Antrycide clones retained considerable resistance after 20-30 subcultures without drug. Considerations of differences in life cycles suggest that the clone collection may be useful in screening for agents effective against leishmanias and stercorarian trypanosomes rather than against salivary trypanosomes.
IT **3671-72-5**
RL: PRP (Properties)
(Leptomonas resistance to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

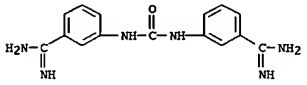


L4 ANSWER 54 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

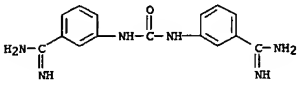
CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 55 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:187434 CAPLUS
DOCUMENT NUMBER: 86:187434
TITLE: Interaction of the B-determinant of the third component of complement with amidino compounds
AUTHOR(S): Asghar, Syed S.; Cormane, R. H.
CORPORATE SOURCE: Dep. Dermatol., Univ. Amsterdam, Amsterdam, Neth.
SOURCE: Immunochemistry (1976), 13(12), 975-8
CODEN: IMCHAZ; ISSN: 0019-2791
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Diamidines consisting of 2 amidinophenyl residues linked in the para position by mol. bridges of varying length (e.g. propamidine) interacted reversibly with the B-determinant of C3 thereby preventing the reaction between C3 and anti-(B-determinant) antiserum. Hemolysis in C3 hemolytic assay was also reversibly blocked by these amidines. The B-determinant of C3 may have a hydrophobic region and anionic binding sites.
IT **3459-96-9**
RL: BIOL (Biological study)
(complement C3 B-determinant interaction with)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



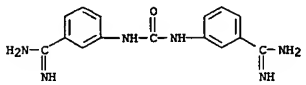
L4 ANSWER 56 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:442850 CAPLUS
DOCUMENT NUMBER: 85:42850
TITLE: Human plasma kallikreins and their inhibition by amidino compounds
AUTHOR(S): Asghar, Syed S.; Meijlink, F. C. P. W.; Pondman, K. W.; Cormane, R. H.
CORPORATE SOURCE: Dep. Dermatol., Univ. Amsterdam, Amsterdam, Neth.
SOURCE: Biochimica et Biophysica Acta (1976), 438(1), 250-64
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Human plasma kallikreins were purified as 3 distinct enzymic entities which hydrolyzed arginine esters and were active in releasing kinin from heated human plasma as measured by guinea pig ileum contraction bioassay. The 3 enzymically active fractions were termed as 19 S, 7 S-I and 7 S-II kallikreins. They represented purifns. of 262-, 2200- and 110-fold, resp. These enzyme activities showed differences in physicochem. and biochem. properties as shown by their elution profile on Sephadex G 200 and DEAE-cellulose columns, affinity for substrates, and susceptibility to inhibition by various protease inhibitors such as trasylol and soya bean trypsin inhibitor. The data suggest that all 3 prepns. were most likely kallikreins. All 3 were inhibited competitively by a series of amidino compds. Diamidines consisting of 2 amidinophenyl residues linked in para position by a mol. bridge were comparatively stronger inhibitors of all 3 than those linked in the meta position and those having single ring structure. The possibility that some of these amidino compds. might prove to be useful for treatment of disease states where the kallikrein-kinin system plays a role is discussed.
IT **3459-96-9**
RL: BIOL (Biological study)
(kallikreins inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 57 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:430019 CAPLUS
DOCUMENT NUMBER: 85:30019
TITLE: Inhibition of human sperm acrosin by synthetic agents
AUTHOR(S): Bhattacharyya, A. K.; Zaneveld, L. J. D.; Dragoje, B. M.; Schumacher, G. F. B.; Travis, J.
CORPORATE SOURCE: Coll. Med., Univ. Illinois, Chicago, IL, USA
SOURCE: Journal of Reproduction and Fertility (1976), 47(1), 97-100
CODEN: JRPFA4; ISSN: 0022-4251
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Twenty-two synthetic proteinase inhibitors were tested for their inhibitory properties towards human acrosin. P-Nitrophenyl-pl-guanidino benzoate (NPGB) was the most effective (Ki value of 1.5 .times. 10-8M), producing a noncompetitive type of inhibition in contrast to all other inhibitors which showed a competitive type of inhibition. The Km for human acrosin on benzoyl arginine Et ester at pH 8.1 was calcd. to be 4.25 .times. 10-5M.
IT **3671-72-5**
RL: BIOL (Biological study)
(acrosin inhibition by)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

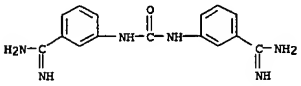
CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

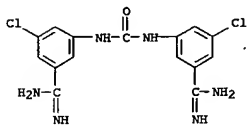
L4 ANSWER 58 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:491191 CAPLUS
DOCUMENT NUMBER: 81:91191
TITLE: Carbanilides containing amidine and imidazoline groups
AUTHOR(S): Piskov, V. B.; Kasperovich, V. P.; Tsvetkov, E. I.; Khval'kovskaya, A. V.; Koblova, I. A.; Poluektov, V. Sh.
CORPORATE SOURCE: Nauchno-Kontrol'n. Inst. Vet. Prep., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1974), 8(6), 17-20
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Redn. of the benz-amidines I [R,R1, R2 = H; R1R2 = (CH2)5; RR1 = CH2CH2; R3 = H, Cl, Br, C(:NH)NH2] gave 58-82% aminobenzamidines II, which reacted with H2NCONH2 to give 36-79% bisamidines III. Reaction of II (R2 = R3 = H, RR1 = CH2CH2) with KOCN gave 72% urea IV. III (R = R1 = R2 = H, R3 = Cl) at 50 .mu.g/ml and 200 .mu.g/ml was a bactericide against Staph.aureus and Escherichia coli, resp. III (R = R1 = R2 = R3 = H; RR1 = CH2CH2, R2 = R3 = H) protected white mice against Babesia iodhaine and Eimeria tenella.
IT **53104-79-3P 53104-80-6P 53104-81-7P**
53104-82-8P 53104-83-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and bactericidal activity of)
RN 53104-79-3 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

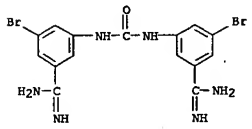
RN 53104-80-6 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[5-chloro-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 58 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



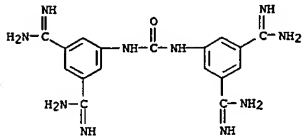
●2 HCl

RN 53104-81-7 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[5-bromo-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

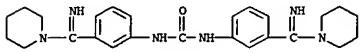
RN 53104-82-8 CAPLUS
CN 1,3-Benzenedicarboximidamide, 5,5'-(carbonyldiimino)bis-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

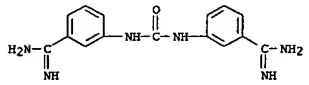
RN 53104-83-9 CAPLUS
CN Piperidine, 1,1'-[carbonylbis(imino-3,1-phenylenecarbonimidoyl)]bis-,

L4 ANSWER 58 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
dihydrochloride (9CI) (CA INDEX NAME)

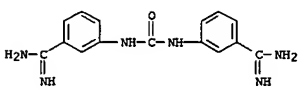


●2 HCl

L4 ANSWER 59 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:513766 CAPLUS
DOCUMENT NUMBER: 79:113766
TITLE: Inhibition of Ci.vin.r, Ci.vin.s and generation of Ci.vin.s by amidino compounds
AUTHOR(S): Asghar, Syed S.; Pondman, K. W.; Cormane, R. H.
CORPORATE SOURCE: Lab. Immunochem., Cent. Lab. Netherlands Red Cross Blood Transfus. Serv., Amsterdam, Neth.
SOURCE: Biochimica et Biophysica Acta (1973), 317(2), 539-48
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Diamidines consisting of 2 amidinophenyl residues linked in the para position by a mol. bridge proved to be the strongest competitive inhibitors of C1s.hivin., whereas those linked in the meta position were the strongest competitive inhibitors of C1r.hivin.. They inhibited the overall generation of C1s.hivin. when added to the system contg. 3 subunits of C1 and Ca2+. Diphenylamidines were more active than single ring amidines. Of all the compds. tested, dibromopropamidine was the most effective inhibitor of C1s.hivin. with Ki = 3 .times. 10-5M and .DELTA.F' = 6.4 kcal/mole, whereas amicarbalide and M and B 4596 were the strongest inhibitors of C1r.hivin. with K1 = 3.5 .times. 10-5M and 3.25 .times. 10-5M and .DELTA.F' = 6.3 and 6.34 kcal/mole, resp. .epsilon.-Aminocaproic acid was also included in this study for comparison purposes and was found to be inert as to its effects on these reactions. The possibility that some of these amidino compds. might be useful for treatment of hereditary angioneurotic edema is discussed.
IT **3459-96-9**
RL: BIOL (Biological study)
(complement C1r and C1s inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

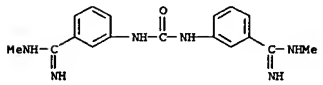


L4 ANSWER 60 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:414923 CAPLUS
DOCUMENT NUMBER: 79:14923
TITLE: Structure-activity relations for the inhibition of plasmin and plasminogen activation by aromatic diamidines and a study of the effect of plasma proteins on the inhibition process
AUTHOR(S): Geratz, J. D.
CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
SOURCE: Thrombosis et Diathesis Haemorrhagica (1973), 29(1), 154-67
CODEN: TDHAAT; ISSN: 0340-5338
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Structure-activity relations for the inhibition of human plasmin were established for a large series of aromatic diamidines. The compounds are reversible competitive inhibitors and block the amidase and fibrinolytic activities of the enzyme. The results confirm pentamidine (4,4'-diamidino-.alpha.,.omega.-diphenoxypentane) as the leading inhibitor (Ki = 3.3.mu.M) and show distinct differences in the inhibitory spectrum of diamidines against plasmin as compared with trypsin, pancreatic kallikrein, and thrombin. Diamidines are potent inhibitors of the streptokinase-dependent activation of human plasminogen and of the activation of bovine plasminogen by the streptokinase-human plasmin activator complex. Pentamidine is again the most powerful inhibitor of these systems. In fibrinolytic assays of plasmin and in plasminogen activation tests the relative strength of diamidines as compared with .epsilon.-aminocaproic acid is greatly influenced by the test conditions. The decisive factor is the presence in the incubation mixtures of lesser or greater amounts of plasma or serum proteins which bring about a fall in the absolute strength of diamidines and an increase in the absolute strength of .epsilon.-aminocaproic acid. In the fibrinolytic assay of plasmin, this modifying effect of added serum is based on a time-dependent interaction with the enzyme, thereby presumably altering its susceptibility to inhibition.
IT **3459-96-9 35872-84-5**
RL: BIOL (Biological study)
(plasmin and plasminogen activation inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



RN 35872-84-5 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl- (9CI) (CA INDEX NAME)

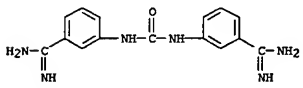
L4 ANSWER 60 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 61 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:535074 CAPLUS
DOCUMENT NUMBER: 77:135074
TITLE: Comparison of the activity of chemotherapeutic preparations in mice inoculated with a strain of Babesia rodhaini
AUTHOR(S): Povarova, L. N.
CORPORATE SOURCE: USSR
SOURCE: Trudy Gosudarstvennogo Nauchno-Kontrol'nogo Instituta Veterinarnykh Preparatov (1969), 16, 349-52
CODEN: TGVPAT; ISSN: 0463-4675
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Among the prepns. tested on mice infected with B. rodhaini, carbazine (I) [92-81-9] (50-100 mg/kg), diamprone [3671-72-5] (25 mg/kg), ICI (50 mg/kg), azidin [908-54-3] (120 mg/kg), and berenil [908-54-3] (120 mg/kg) showed the greatest therapeutic activity. Acaprin [135-14-8] (10 mg/kg), pyroplasmin [135-14-8] (10 mg/kg) pyraldine [12650-36-1] (20 mg/kg), antrycide [20493-41-8] (20 mg/kg), biomycin-HCl [64-72-2] (100 mg/kg), Terramycin [79-57-2] (100 mg/kg), tetracycline [60-54-8] (125 mg/kg), and dibiomycin [1111-27-9] (125 mg/kg) were less effective, and Trypaflavine [8048-52-0] (35 mg/kg) was the least effective.
IT **3671-72-5**
RL: BIOL (Biological study)
(in Babesia rodhaini infection treatment)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

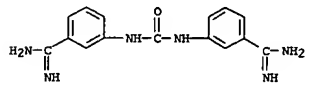
CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

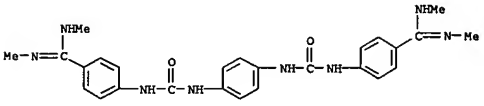
L4 ANSWER 62 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:509301 CAPLUS
DOCUMENT NUMBER: 77:109301
TITLE: Effects of some antiprotozoal diamidines on voluntary muscle
AUTHOR(S): Eyre, P.
CORPORATE SOURCE: Dep. Vet. Pharmacol., Univ. Edinburgh, Edinburgh, UK
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1972), 198(2), 248-55
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The diamine antiprotozoal agents pentamidine (I) [100-33-4], propamidine [104-32-5], diminazene [15687-11-3], and amicarbalide [**3459-96-9**] had in vitro competitive neuromuscular blocking activity in rat and chick muscle prepns. Phenamidine [101-62-2] caused noncompetitive blockade of neuromuscular transmission.
IT **3459-96-9**
RL: BIOL (Biological study)
(neuromuscular blocking by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:461630 CAPLUS
DOCUMENT NUMBER: 77:61630
TITLE: Highly basic compounds for chemotherapy
INVENTOR(S): Hirt, Rudolf; Fischer, Rudolf
PATENT ASSIGNEE(S): Wander, Dr. A., A.-G.
SOURCE: Patentschrift (Switz.), 10 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 520657	A	19720331	CH 1961-520657	19610911
PRIORITY APPLN. INFO.:			CH 1965-6015	19610911

GI For diagram(s), see printed CA Issue.
AB Bisamidino compds. (I), effective tuberculostatic and antileukemic agents in mice, were prepd. by reaction of an alkoxy analog of I (NR1R2 replaced by OR3, where R3 = alkyl) with R2R1NH. About 63 I (n = 0, 1; R = H, Me, Et, CHMe2; R1 = H, Me, Et; R2 = H, C1-4 alkyl, (CH2)3OMe; Z = NH, CH2, or single bond) were prepd.
IT **5300-44-7P 5300-45-8P 5568-19-4P**
25775-30-8P 25775-32-0P 25775-34-2P
25775-76-2P 25787-03-5P 25979-52-6P
27930-62-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 5300-44-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

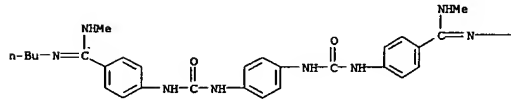


●2 HCl

RN 5300-45-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-butyl-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



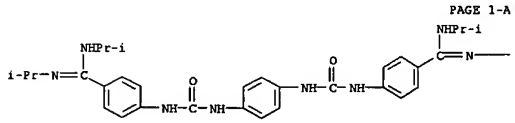
●2 HCl

PAGE 1-B

— Bu-n

RN 5568-19-4 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-bis(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



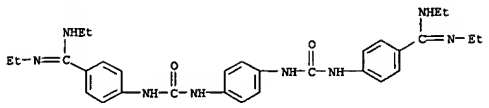
●2 HCl

PAGE 1-B

— Pr-i

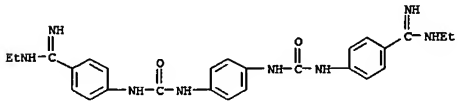
RN 25775-30-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



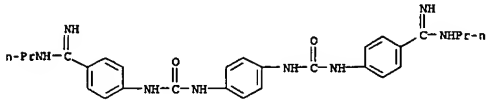
●2 HCl

RN 25775-32-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 25775-34-2 CAPLUS
CN Urea, N,N''-1,4-phenylenebis[N'-[4-[imino(propylamino)methyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

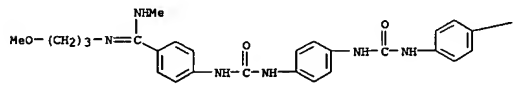


●2 HCl

RN 25775-76-2 CAPLUS
CN Benzenecarboximidamide, 4,4'-[(4-methyl-1,3-phenylene)bis(iminocarbonylimino)]bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

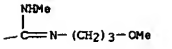
L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

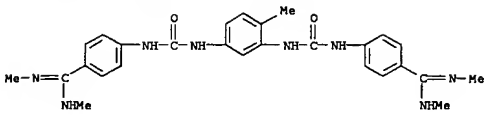


●2 HCl

PAGE 1-B

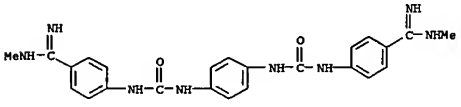


L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



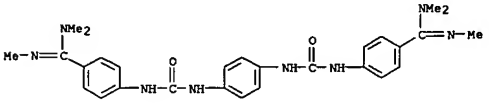
●2 HCl

RN 25787-03-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 25979-52-6 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N',N'-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 27930-62-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-(3-methoxypropyl)-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 64 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:443738 CAPLUS
DOCUMENT NUMBER: 77:43738
TITLE: Effects of protease inhibitors on protein breakdown in Escherichia coli
AUTHOR(S): Prouty, Walter F.; Goldberg, Alfred L.
CORPORATE SOURCE: Dep. Physiol., Harvard Med. Sch., Boston, MA, USA
SOURCE: Journal of Biological Chemistry (1972), 247(10), 3341-52
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

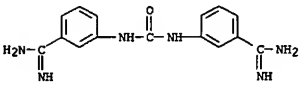
AB A variety of known protease [9001-92-7] inhibitors, including diisopropyl fluorophosphate [55-91-4], sulfonyl fluorides, tosyl lysine chloromethyl ketone [4238-41-9], and aromatic diamidines inhibited protein degradation in E. coli deprived of a C or N source, but did not inhibit protein catabolism in normally growing E. coli cells. This inhibition of protein degradation appears to be a selective effect, presumably resulting from a direct inhibition of the responsible proteolytic enzymes. Thus, at least one of the enzymes responsible for the increased protein breakdown in starving E. coli cells appears to be a serine protease [9001-92-7], possibly similar to trypsin [9002-07-7]. The different effects of the protease inhibitors in growing and starving cells, suggests the existence of at least 2 proteolytic systems in E. coli: 1 found in growing and possibly all cells, and 1 that is specifically activated during starvation and is sensitive to sulfonyl fluorides and aromatic diamidines.

IT 3671-72-5
RL: PRP (Properties)
(protein metabolism by Escherichia coli inhibition by)

RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



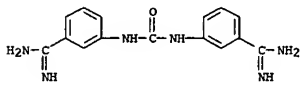
CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 65 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:443165 CAPLUS
DOCUMENT NUMBER: 77:43165
TITLE: Certain aspects of toxicity of an amicarbalide formulation to ponies
AUTHOR(S): Taylor, W. M.; Simpson, C. F.; Martin, Frank Garland; Martin, F. G.
CORPORATE SOURCE: Dep. Vet. Sci., Agric. Res. Cent., Fort Lauderdale, FL, USA
SOURCE: American Journal of Veterinary Research (1972), 33(3), 533-41
CODEN: AJVRAH; ISSN: 0002-9645
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The toxicity of amicarbalide diisethionate (I) [3671-72-5], used in the treatment of veterinary babesiasis, was assessed in uninfected ponies. An i.m. dose totaling 35.2-105.6 mg/kg caused significant dose-related increases of serum glutamic-oxalacetic transaminase [9000-97-9], sorbitol dehydrogenase [9028-21-1], and serum urea N. A dosage recommended for eliminating Babesia caballi infections (a total of 17.6 mg/kg) caused small, but significant, increases in the enzyme levels but did not affect serum urea N. There was peripheral necrosis of liver lobules 40 hr after injection and muscle necrosis at the injection site, which persisted for >24 days.
IT **3671-72-5**
RL: BIOL (Biological study)
(Babesia caballi infestation of horse treatment by, toxicity in relation to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

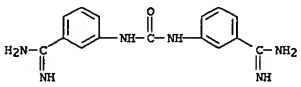


CM 2

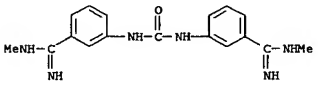
CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 65 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

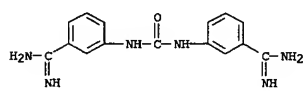
L4 ANSWER 66 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:121647 CAPLUS
DOCUMENT NUMBER: 76:121647
TITLE: Inhibition of the amidase and kininogenase activities of pancreatic kallikrein by aromatic diamidines and an evaluation of diamidines for their in vivo use
AUTHOR(S): Geratz, J. D.; Webster, W. P.
CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1971), 194(2), 359-70
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English
AB All 19 of the aromatic diamidines tested possessed powerful, competitive inhibitory effects on the amidase and kininogenase activities of porcine pancreatic kallikrein. 2,2'-Dibromopropanidine (I) [34415-15-1] and 4,4'-diamidino-1,8-diphenoxyoctane [34415-16-2] were the most active compds. with inhibition consts. of 1.8 and 3.7 .tim. 10-6M, resp.; in the amidase assay. I.v. administration of 1.5 mg I/kg and 4 mg M and B 4596 (2,7-bis(m-amidinophenyldiazoamino)-10-ethyl-9-phenylphenanthridinium chloride-2-HCl) (II) [4174-73-6]/kg to dogs produced severe hypotension which could not be blocked by diphenhydramine [58-73-1] but could be countered by calcium chloride [10043-52-4]. II greatly prolonged the partial thromboplastin time. This anticoagulant effect was due to direct inhibition of several clotting enzymes rather than the release of heparin.
IT **3459-96-9 35872-84-5**
RL: BIOL (Biological study)
(pancreatic kallikriens inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



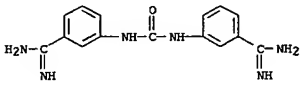
RN 35872-84-5 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 67 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1971:497040 CAPLUS
DOCUMENT NUMBER: 75:97040
TITLE: Inhibition of coagulation and fibrinolysis by aromatic amidino compounds. In vitro and in vivo study
AUTHOR(S): Geratz, J. D.
CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
SOURCE: Thrombosis et Diathesis Haemorrhagica (1971), 25(3), 391-404
CODEN: TDHAAT; ISSN: 0340-5338
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Aromatic diamidines which are potent trypsin inhibitors markedly inhibited the clotting activity of human thrombin and prolonged the prothrombin time and partial thromboplastin time of human plasma. The compds. also blocked the contact activation phase of coagulation. Of 10 compds. tested, the most potent inhibitor was M and B 4596 (2,7-bis(m-amidinophenyldiazoamino)-10-ethyl-9-phenylphenanthridinium chloride 2HCl) (I), and it was followed in potency by pentamidine isethionate (II). II was 10 times more active than .epsilon.-aminocaproic acid in impeding streptokinase-induced lysis of human plasma clots. II was 100-200 times stronger than .epsilon.-aminocaproate in inhibiting activation of bovine plasminogen by activators formed from the interaction between streptokinase and either human plasminogen or human plasma. The prothrombin time and partial thromboplastin time of dog plasma were less susceptible to inhibition by II than the same tests on human plasma. However, clot lysis in the dog system was inhibited by II to a similar degree as in the human system. The i.v. injection of II into dogs prolonged the partial thromboplastin time and clot lysis time.
IT **3459-96-9**
RL: BIOL (Biological study)
(blood coagulation inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



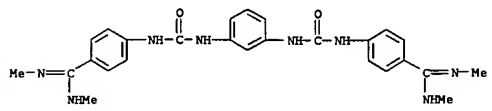
L4 ANSWER 68 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1971:432566 CAPLUS
DOCUMENT NUMBER: 75:32566
TITLE: Inhibition of thrombin, plasmin, and plasminogen activation by amidino compounds
AUTHOR(S): Geratz, J. Dieter
CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
SOURCE: Thrombosis et Diathesis Haemorrhagica (1970), 23(3), 486-99
CODEN: TDHAAT; ISSN: 0340-5338
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Of 12 amidino compds. tested in vitro, pentamidine (I) was the most potent inhibitor of human thrombin, plasmin, and plasminogen activation. Diamidines composed of 2 amidinophenyl residues linked in para or meta position by a mol. bridge were the strongest known inhibitors of the 3 enzyme systems, and they were much more active than amidines with a single-ring structure. In the thrombin clotting test, some amidines caused inhibition while others accelerated the reaction.
IT **3459-96-9**
RL: BIOL (Biological study)
(plasmin and thrombin activation inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:79046 CAPLUS
DOCUMENT NUMBER: 72:79046
TITLE: Tuberculostatic and cancerostatic polybasic ureas
INVENTOR(S): Hirt, Rudolf; Fischer, Rudolf
PATENT ASSIGNEE(S): Wander, Dr. A., A.-G.
SOURCE: Patentschrift (Switz.), 16 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 479557	A	19691015	CH 1961-479557	19610911
PRIORITY APPLN. INFO.:			CH 1965-6014	19610911

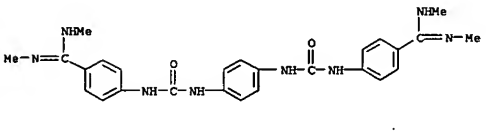
GI For diagram(s), see printed CA Issue.
AB The title compds. and their salts with tuberculostatic and cancerostatic (esp. leukemic) properties were prepd. Thus, 4.86 g p-phenylene diisocyanate and 14.04 g p-(2-imdazolinyl)aniline-2HCl were heated in 70 ml HCONMe2 and 20 ml pyridine; the ppt. which formed was suspended in concd. NH3 and kept 4 hr. Treatment with HCl gave 12 g I.2HCl, m. 325.degree. (decompn.). Similarly were prepd. 54 addnl. compds.
IT **5262-16-8P 5300-44-7P 5300-45-8P**
5568-19-4P 5971-20-0P 25775-30-8P
25775-32-0P 25775-34-2P 25775-76-2P
25787-03-5P 25979-52-6P 27930-62-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 5262-16-8 CAPLUS
CN Urea, 1,1'-m-phenylenebis[3-[p-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)



●2 HCl

RN 5300-44-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

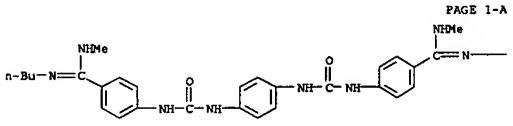
L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

RN 5300-45-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-butyl-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



●2 HCl

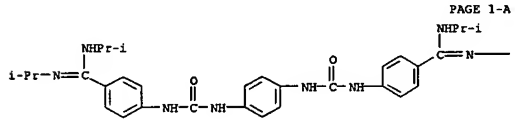
PAGE 1-B

—Bu-n

RN 5568-19-4 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-bis(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

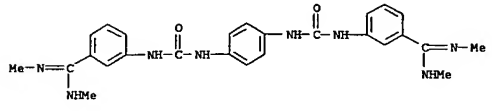


●2 HCl

PAGE 1-B

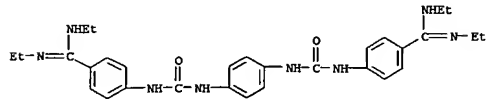
—Pr-i

RN 5971-20-0 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-[m-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (8CI) (CA INDEX NAME)



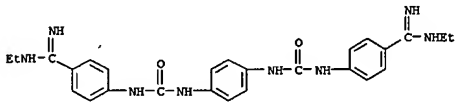
●2 HCl

RN 25775-30-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)



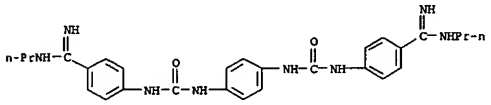
●2 HCl

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 25775-32-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



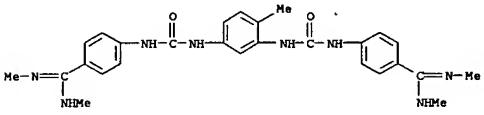
●2 HCl

RN 25775-34-2 CAPLUS
CN Urea, N,N''-1,4-phenylenebis[N'-[4-[imino(propylamino)methyl]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

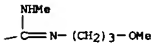
RN 25775-76-2 CAPLUS
CN Benzenecarboximidamide, 4,4'-[(4-methyl-1,3-phenylene)bis(iminocarbonylimino)]bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



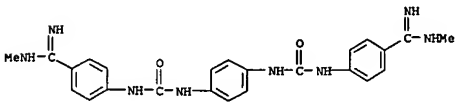
●2 HCl

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B

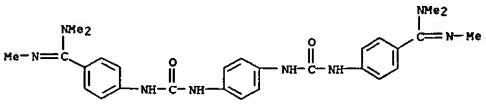


L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 25787-03-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

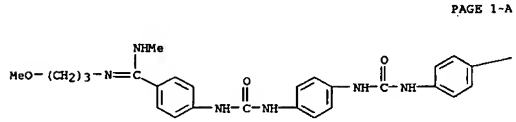
RN 25979-52-6 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N,N'-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

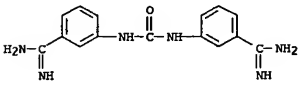
RN 27930-62-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-(3-methoxypropyl)-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

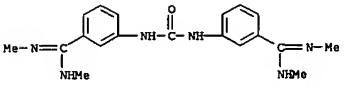


●2 HCl

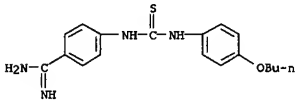
L4 ANSWER 70 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:77292 CAPLUS
DOCUMENT NUMBER: 72:77292
TITLE: Babesicidal effect of basically substituted carbanilides. I. Activity against Babesia rodhaini in mice
AUTHOR(S): Schmidt, Gisela; Hirt, Rudolf; Fischer, Rudolf
CORPORATE SOURCE: Res. Inst., Berne, Switz.
SOURCE: Research in Veterinary Science (1969), 10(6), 530-3
CODEN: RVTSA9; ISSN: 0034-5288
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The babesicidal effect of a large no. of dibasic compds. was tested in exptl. B. rodhaini infection in mice. 3,3'-Bis(2-imidazolin-2-yl)carbanilide, [or 1,3-bis[m (2-imidazolin-2-yl)phenyl]urea], was the most effective.
IT **3459-96-9 27885-91-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(babesicidal activity of)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



RN 27885-91-2 CAPLUS
CN Carbanilide, 3,3'-bis(N,N'-dimethylamidino)- (8CI) (CA INDEX NAME)

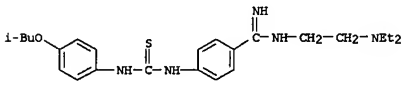


L4 ANSWER 71 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:41258 CAPLUS
DOCUMENT NUMBER: 72:41258
TITLE: Tuberculostatic 1,3-diarylthioureas. I
AUTHOR(S): Winkelmann, Erhardt; Wagner, Wolf H.; Hilmer, Hans
CORPORATE SOURCE: Farbwerke Hoechst A.-G., Frankfurt/M.-Hoechst, Fed. Rep. Ger.
SOURCE: Arzneimittel-Forschung (1969), 19(4), 543-58
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
AB One hundred eighty different Ph substituted thioureas (R1NHCSNH r2) were tested for tuberculostatic activity in vitro and in the mouse. The tables presented indicate that p-BuOC6H4NHCSNHC6H4OBu-m (I) had the greatest activity in vitro (0.1-0.2 .mu.g/ml) while in vivo I was most active at a dosage of 250 mg/kg body wt. when given orally.
IT **27697-73-0 27828-33-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitubercular activity of)
RN 27697-73-0 CAPLUS
CN Carbanilide, 4-amidino-4'-butoxythio-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

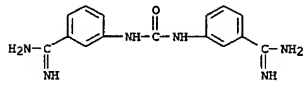
RN 27828-33-7 CAPLUS
CN Carbanilide, 4-[[2-(diethylamino)ethyl]amidino]-4'-isobutoxythio- (8CI) (CA INDEX NAME)



L4 ANSWER 72 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:28467 CAPLUS
DOCUMENT NUMBER: 72:28467
TITLE: Inhibitory effect of aromatic diamidines on trypsin and enterokinase
AUTHOR(S): Geratz, J. Dieter
CORPORATE SOURCE: Sch. of Med., Univ. of North Carolina, Chapel Hill, NC, USA
SOURCE: Experientia (1969), 25(12), 1254-5
CODEN: EXPEAM; ISSN: 0014-4754
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Stilbamidine isethionate (4,4'-stilbenedicarbox-amidine diisethionate), 2-hydroxystilbamidine isethionate, propamidine isethionate [p,p'-(trimethylenedioxy)dibenzamidine bis(.beta.-hydroxyethanesulfonate)], 2,2'-dibromopropamidine isethionate, pentamidine isethionate [p,p'-(pentamethylenedioxy)dibenzamidine bis(.beta.-hydroxyethanesulfonate)], amicarbalide (3,3'-di-amidinocarbanilide diisethionate), and M and B 4596 [2,7-bis(m-amidinophenyldiazoamino)-10-ethyl-9-phenylphenan-thridinium chloride dihydrochloride] were more active inhibitors of bovine trypsin in vitro than were p-aminobenzami dine and 4,4'-diamidinodiphenylamine. 2,2'-Dibromopropamidine was the most active, with a Ki of 7.5 .times. 10-7M. Amicarbalide and M and B 4596 were the only compds. more active than p-aminobenzamidine against porcine enterokinase, with Ki values of 3 .times. 10-5 and 1.1 .times. 10-5M, resp., but none of the above compds. was as active as p-amidinophenylpyruvic acid.
IT **3671-72-5**
RL: BIOL (Biological study)
(enteropeptidase and trypsin inhibition by, kinetics of)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

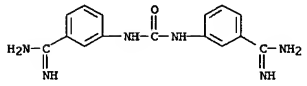
CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 72 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 73 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1967:480969 CAPLUS
DOCUMENT NUMBER: 67:80969
TITLE: Some pharmacodynamic effects of the babesicidal agents quinuronium and amicarbalide
AUTHOR(S): Eyre, P.; Dick, Roy
CORPORATE SOURCE: Sch. Net. Studies, Edinburgh, UK
SOURCE: Journal of Pharmacy and Pharmacology (1967), 19(8), 509-19
CODEN: JPPMAB; ISSN: 0022-3573
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The i.v. injection of a therapeutic dose of quinuronium methosulfate (1 mg./kg.) causes a fall in blood pressure in sheep, which is partly prevented by mepyramine and abolished by atropine. Larger doses of quinuronium cause more marked hypotension and inhibition of respiratory movement, which are not affected by atropine. Quinuronium strongly increases the amplitude of contraction of the isolated rabbit heart. This effect is not antagonized by atropine or mepyramine. Contractions of plain muscle in the guinea pig and sheep, and hypersecretion of gastric acid in the rat and of saliva in the sheep were all produced by quinuronium. The responses to acetylcholine were potentiated by quinuronium, an effect which was abolished by atropine. Amicarbalide isethionate by comparison was weakly active. The drug causes no change in blood pressure, smooth muscle contraction, or salivary secretion, but stimulates gastric secretion and partially inhibits the actions of acetylcholine in these preparations.
IT **3671-72-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacology of)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



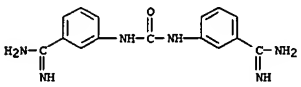
CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 74 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1966:432898 CAPLUS
DOCUMENT NUMBER: 65:32898
ORIGINAL REFERENCE NO.: 65:6144e-g
TITLE: The anticholinesterase activity of the babesicidal agents, quinuronium and amicarbalide, and the influence of pyridine 2-aldoxime methiodide
AUTHOR(S): Eyre, P.
CORPORATE SOURCE: Univ. Edinburgh, UK
SOURCE: Research in Veterinary Science (1966), 7(2), 161-7
CODEN: RVTSA9; ISSN: 0034-5288
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In the blood of 9 species in vitro, quinuronium was a potent inhibitor of circulating cholinesterases in all species, whereas amicarbalide was much less active. In the sheep quinuronium caused .apprx.40% inhibition of cholinesterase and recovery of activity took place over a period of 24-48 hrs. Eserine produced profound but transient inhibition and amicarbalide had a very small effect. Pyridine 2-aldoxime methiodide (2-PAM) failed to protect the enzyme from inhibition by quinuronium or eserine but temporarily relieved the inhibition produced by the organophosphorus compd., octamethylpyrophosphoramide (OMPA). During all expts. in vivo (in sheep) atropinization (1 mg. per kg.) was used, and it was concluded that atropine provided the best antidote to quinuronium poisoning and the 2-PAM did not appear to alleviate circulating cholinesterase activity which had been inhibited by quinuronium. 16 references.
IT **3671-72-5**, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidinocarbanilide (2:1)
(cholinesterase inhibition by, uranium and)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

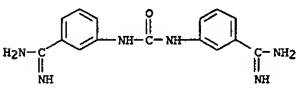
CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 74 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 75 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1966:424071 CAPLUS
DOCUMENT NUMBER: 65:24071
ORIGINAL REFERENCE NO.: 65:4500b-c
TITLE: The effects in sheep of quinuronium and amicarbalide and the influence of atropine, pyridine-2-aldoxime methiodide (2-PAM), adrenaline, and mepyramine
AUTHOR(S): Eyre, P.
CORPORATE SOURCE: Roy. (Dick) School of Vet. Studies, Edinburgh, UK
SOURCE: Veterinary Record (1966), 78(18), 627-9
CODEN: VETRAX; ISSN: 0042-4900
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The toxic effects of quinuronium sulfate (1 mg./kg.) and amicarbalide isethionate (10 mg./kg.) on Blackface ewes were compared before and after administration of atropine sulfate (1 mg./kg.), pyridine-2-aldoxime methiodide (20 mg./kg.), mepyramine maleate (2 mg./kg.), or adrenaline tartrate (0.2 mg./kg.). Mepyramine maleate and adrenaline tartrate were effective antidotes, whereas pyridine-2-aldoxime methiodide was completely ineffective. Atropine sulfate was the best antagonist to quinuronium sulfate poisoning in sheep.
IT **3671-72-5**, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidinocarbanilide (2:1)
(poisoning, in sheep, effect of adrenaline, atropine and mepyramine on)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



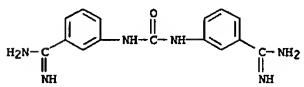
CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 76 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1966:54729 CAPLUS
DOCUMENT NUMBER: 64:54729
ORIGINAL REFERENCE NO.: 64:10271g-h
TITLE: Release of tissue histamine by the babesicidal agents, quinuronium and amicarbalide
AUTHOR(S): Eyre, P.
CORPORATE SOURCE: Roy. (Dick) School Vet. Studies, Univ. Edinburgh., UK
SOURCE: Journal of Pharmacy and Pharmacology (1966), 18(1), 33-7
CODEN: JPPMAB; ISSN: 0022-3573
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Since the toxic effects differ greatly, the release of histamine caused by quinuronium sulfate (I) or amicarbalide (II) was compared with the release of histamine caused by Compound 48/80 (III) in rats, mice, and sheep. In perfused rat hindquarters, III, I, and II caused the release of significant quantities of histamine while in isolated sheep diaphragm, I and III did, and II did not, cause the release of histamine. In whole mice, I and III were about equally active, while II failed to release any histamine. In whole rats, I and II released comparable amts. of histamine which were less than the amt. released by III, but I was more toxic than II. The greater toxicity of I apparently depended on factors other than the release of histamine.
IT **3671-72-5**, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidinocarbanilide (2:1)
(toxicity of, histamine release and)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L4 ANSWER 77 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1966:27590 CAPLUS
DOCUMENT NUMBER: 64:27590
ORIGINAL REFERENCE NO.: 64:5102e-h,5103a-h,5104a-h,5105a-e
TITLE: Polybasic compounds
PATENT ASSIGNEE(S): Dr. A. Wander A.-G.
SOURCE: 35 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1007334		19651013	GB	
PRIORITY APPLN. INFO.:			CH	19601014

GI For diagram(s), see printed CA Issue.

AB The prepn. of I and their salts was reported. They have pharmacol. actions and are chemotherapeutic agents, esp. tuberculostatics and for the treatment of cancer, esp. leukemia. Thus, 6 g. 2-(p-aminophenyl)imidazoline (II) dihydrochloride in 80 mL. HCONMe2 and 10 mL. abs. pyridine was mixed with 2.3 g. terephthalic acid chloride and kept 4 h. to give 2.6 g. 4,4'-di-(2-imidazolin-2-yl)terephthalanilide, m. >350.degree.; hydrochloride m. >400.degree.. 4-Amino-4'-(2-imidazolin-2-yl)benzanilide (12 g.), obtained by condensation of 2-(p-aminophenyl)imidazoline and p-H2NC6H4CO2H, was dissolved as the acetate in 100 mL. HCONMe2. After the addn. of 50 g. NaOAc, COCl2 was passed in until the diazo reaction was neg. The resultant 4,4'-bis-[p-(2-imidazolin-2-yl)phenylcarbamoyl]carbanilide was liberated by NaOH soln. and converted to 7 g. dihydrochloride, m. 360.degree. (decompn.). p-Phenylenediisocyanate (III) (4.86 g.) and 14.04 g. 2-(p-aminophenyl)imidazoline-2 HCl was heated in 70 mL. HCONMe2 and 20 mL. C5H5N, and the ppt. suspended in concd. NH3 soln. and allowed to stand 4 h. The free base was dissolved in hot dil. HOAc and treated with aq. NaCl to give 12 g. 1,1'-p-phenylenebis[3-[p-(2-imidazolin-2-yl)phenyl]urea] dihydrochloride, m. 325.degree. (decompn.). III (2 g.) and 8 g. m-(N,N'-dimethylamidino)aniline dihydrochloride in 40 mL. HCONMe2 and 10 mL. C5H5N was heated for 1 h. on a steam bath and allowed to stand overnight. Addn. of 15% HCl to the filtered soln. gave 6.8 g. 1,1'-p-phenylenebis [3-[m-(N,N'-dimethylamidino)phenyl]urea]dihydrochloride m. 265.degree. (decompn.). Cyclohexylcarbodiimide (40 mmol) was added to a mixt. of 10 mmol p-phenylenediacrylic acid and 22 mmol p-amino-phenylimidazoline dihydrochloride in 100 mL. HCONMe2 and 100 mL. C5H5N and the mixt. heated on a water bath until the product sepd. (about 8 h.) to give 98% 4',4''-di-2-imidazolin-2-yl-p-benzenediacrylanilide, m. >300.degree.. m-Aminobenzoyl-p'-cyano aniline and p-cyanophenyl isocyanate gave 4-cyano-3'-[(p-cyanophenyl)carbamoyl]-carbanilide, 4 g. of which were suspended in 50 mL. HCONMe2 and 10 mL. C5H5N. H2S was passed for 30 min. to give 5 g. 4-thioamido-3'-[(p-thioamidophenyl)carbamoyl]-carbanilide (IV), m. 242.degree.. IV heated for 2 h. with 30 g. NH2CH2CH2NH2 on a steam bath gave 4.5 g. 4-(2-imidazolin-2-yl)-3'-[(p-2-imidazolin-2-ylphenyl)carbamoyl]carbanilide, m. 295.degree. (decompn.). II (32 g.) in 500 mL. 50% aq. acetone was treated dropwise with 7.5 mL. CSCl2 at room temp. After stirring further for 1.5 h. the ppt. was worked up to give 15 g. 4,4'-di(2-imidazolin-2-yl)thiocarbanilide, m. 173-5.degree.. II hydrochloride (20 g.) suspended in 100 mL. HCONMe2 and 100 mL. C5H5N was mixed with 10 g. 3-isothiocyanatobenzoyl chloride and warmed 2 h. on a steam bath to give 13.5 g. 4'-(2-imidazolin-2-yl)-3- [p-(2-imidazolin-2-yl)phenylcarbamoyl] thiocarbanilide dihydrochloride m. 275-80.degree.. 4',4'''-Di-2-imidazolin-2-ylterephthalanilide (V) dihydrochloride (10 g.) suspended in 130 mL. C5H5N was refluxed with 9 g. P2S5 for 4 h. to give 8.5 g. 4',4'''-di-2-imid- azolin-2-yldithioterephthalanilide monophosphate, m. 330.degree. (decompn.). Trituration with cold 2N NaOH gave free base. V (5 g.) in 100 mL. H2O was treated with 10% excess levulinic acid. After 8 h. addn. of acetone to the filtered soln. gave the solid levulinate which started to decomp. at 300.degree.. 2-Chloro-4',4''-di-2-imidazolin-2-ylterephthalanilide (3 g.) in 60 mL. H2O with mol. equivs. of lactic acid gave a clear stable soln. of lactate. The soln. made isotonic with glucose is suitable for injection. 4',4'''-Di-2-imidazolin-2-yl-2-nitroterephthalanilide (3 g.) in 60 mL. H2O was treated with 10% excess glycolic acid. Addn. of iso-PrOH gave a solid glycolate (decompn. at 300.degree.). Glutamic acid (100% excess) added to 4 g. 4',4'''-di-2-imidazolin-2-ylisophthalanilide in 80 mL. H2O gave a clear stable soln. suitable for injection. The following compds. were also prepd. (m.p. given): 4,4'-di-2-imidazolin-2-ylcarbanilide dihydrochloride, 360.degree. (decompn.); 3,3'-di-2-imidazolin-2-ylcarbanilide dihydrochloride, 370.degree. (decompn.); 2,2'-di-2-imidazolin-2-ylcarbanilide dihydrochloride, 370.degree. (decompn.); 3,3',5,5'-tetra-2-imidazolin- 2-ylcarbanilide tetrahydrochloride, 320.degree. (decompn.); 1,1',4,4'-tetra-2-imidazolin-2-ylcarbanilide tetrahydrochloride, 290.degree. (decompn.); 4',4'''-di-2-imidazolin-2-ylterephthalanilide diformate, >400.degree.; 4',4'''-di-2-imidazolin-2-ylterephthalanilide diacetate, >360.degree.; 4',4'''-di-2-imidazolin-2-yl-2-nitroterephthalanilide dihydrochloride, 340.degree. (decompn.); 2-amino-4'-4'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 350.degree.(decompn.); 2-chloro-4',4'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 350.degree. (decompn.); 2,5-dichloro-4',4'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 350.degree.; 3',3''-dichloro-4',4'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 295.degree. (decompn.); 4',4'''-di-2-imidazolin-2-yl-m-terephthaloluidide diformate, 380.degree. (decompn.); 3',3'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 340.degree.; 3',3'''-di-2-imidazolin-2-yl-2-nitroterephthalanilide dihydrochloride, 315.degree. (decompn.); 2-amino-3',3'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 350.degree. (decompn.); 2-acetylamino-3',3'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 280.degree. (decompn.); 2-chloro-3',3'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride 360.degree. (decompn.); 2',2'''-di-2-imidazolin-2-ylterephthalanilide dihydrochloride, 350.degree. (decompn.); 4',4'''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 400.degree.; 4',4'''-di-2-imidazolin-2-ylisophthalanilide diformate, 360.degree. (decompn.); 5-chloro-4',4'-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 340.degree.; 4',4'''-di-2-imidazolin-2 yl-5 nitroisophthalanilide dihydrochloride, 296.degree. (decompn.); 5-amino-4',4'''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 300.degree. (decompn.); 5-bromo-4',4'''-di-2-imidazolin-2-ylisophthalanilide diformate, 260.degree. (decompn.); 4-ethoxy-4',4'''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 280.degree. (decompn.); 3',3'''-dichloro-4',4'''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 255.degree.; 3',3'''-di-2-imidazolin-2-ylisophthalanilide

L4 ANSWER 77 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
dihydrochloride, 310.degree.; 5-chloro-3',3'''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 260.degree.; 4',4'''-di-2-imidazolin-2-yl-1,4-naphthalenedicarboxanilide dihydrochloride, 350.degree. (decompn.); 3',3'''-di-2-imidazolin-2-yl-1,4-naphthalenedicarboxanilide dihydrochloride, 345.degree. (decompn.); 4',4'''-di-2-imidazolin-2-yl-1,5-naphthalenedicarboxanilide dihydrochloride, 360.degree. (decompn.); 3',3'''-di-2-imidazolin-2-yl-1,5-naphthalenedicarboxanilide dihydrochloride, 340.degree. (decompn.); 4',4'''-di-2-imidazolin-2-yl-2,7-naphthalenedicarboxanilide dihydrochloride, 282.degree.; 3',3'''-di-2-imidazolin-2-yl-2,7-naphthalenedicarboxanilide dihydrochloride, 365.degree. (decompn.); 4',4'''-di-2-imidazolin-2-yl-2,6-naphthalenedicarboxyanilide dihydrochloride, 360.degree. (decompn.); 3',3'''-di-2-imidazolin-2-yl-2,6-naphthalenedicarboxanilide dihydrochloride, 240.degree.; 4''',4'''''-di-2-imidazolin-2-yl-4,4'-diphenyldicarboxanilide dihydrochloride, 390.degree. (decompn.); 3'',3'''-di-2-imidazolin-2-yl-4,4'-diphenyldicarboxanilide dihydrochloride, 330.degree. (decompn.); .alpha.,.alpha.'-di-2-imidazolin-2-yl-p-terephthaloluidide dihydrochloride, 320.degree. (decompn.); .alpha.,.alpha.'-di-2-imidazolin-2-yl-p-isophthaloluidide dihydrochloride, 210.degree.; 4',4'''-bis(2-imidazolin-2-ylamino)terephthalanilide dihydrochloride, 320.degree. (decompn.); 4',4'''-bis(2-imidazolin-2-yl-amino)isophthalanilide dihydrochloride, 340.degree. (decompn.); 4',4'''-bis(2-imidazolin-2-yl-amino)-5-nitroisophthalanilide dihydrochloride, 240.degree.; 3',3'',5',5'''-tetra-2-imidazolin-2-ylterephthalanilide tetrahydrochloride, 250/285.degree.; 4',4'''-diamidinoterephthalanilide dihydrochloride, 365.degree. (decompn.); 4',4'''-bis(N'-methylamidino)terephthalanilide dihydrochloride, 365.degree. (decompn.); 4',4'''-bis(N,N'-dimethylamidino)terephthalanilide dihydrochloride, 380.degree. (decompn.); 4',4'''-bis(N-ethyl-N'-methylamidino)terephthalanilide dihydrochloride, 348.degree. (decompn.); 4',4'''-bis(N-propyl-N'-methylamidino)terephthalanilide dihydrochloride, 345.degree. (decompn.); 4',4'''-bis(N-propyl-N'-methylamidino)terephthalanilide dihydrochloride, 346.degree.; 4',4'''-bis(N-butyl-N'-methylamidino)terephthalanilide dihydrochloride, 325.degree.; 4',4'''-bis(N,N'-diisopropylamidino)terephthalanilide dihydrochloride, 340.degree. (decompn.); 4',4'''-bis-(N'-methyl-N-phenylamidino)terephthalanilide, vitrified at 130.degree.; 4',4'''-bis(4-methyl-2-imidazolin-2-yl)terephthalanilide dihydrochloride, from 340.degree. brown coloration; 4',4'''-bis(1,4,5,6-tetrahydro-2-pyrimidinyl)terephthalanilide dihydrochloride, 410.degree. (decompn.); 4',4'''-bis(3a,4,5,6, 7,7a-hexahydro-2-benzimidazolyl)terephthalanilide dihydrochloride, 360.degree. (decompn.); 4',4'''-bis-[N-(3-methoxypropyl)-N'-methylamidino]terephthalanilide dihydrochloride, 208-10.degree.; 2-chloro-4',4'''-bis(N'-methylamidino)terephthalanilide dihydrochloride hydrate, .apprx.3000.degree. (decompn.) (sic); 2-chloro-4',4'''-bis(N,N'-dimethylamidino)terephthalanilide dihydrochloride, >300.degree. (decompn.); 4',4'''-bis(N,N'-dimethylamidino)-m-terephthaloluidide, 270.degree. (decompn.); 2-chloro-4',4'''-bis(N,N'-dimethylamidino)-m-terephthalanisidide dihydrochloride, 308-12.degree.; 3',3''-diamidinoterephthalanilide dihydrochloride, 375.degree. (decompn.); 3',3'''-bis(N'-methylamidino)terephthalanilide dihydrochloride, becomes vitreous at 230.degree.; 260.degree. (decompn.); 3',3'''-bis(N,N'-dimethylamidino)terephthalanilide dihydrochloride, 320.degree. (decompn.); 3',3'''-bis(1,4,5,6-tetrahydro-2-pyrimidinyl)terephthalanilide dihydrochloride, 400.degree. (decompn.); 4',4'''-diamidinoisophthalanilide dihydrochloride, 330.degree. (decompn.); 4',4'''-bis(N,N'-dimethylamidino)isophthalanilide dihydrochloride, 355-62.degree. (decompn.); 4',4'''-bis(4-methyl-2-imidazolin-2-yl)isophthalanilide dihydrochloride, 265.degree. (vitreous); 4',4'''-bis(3a,4,5,6,7,7a-hexahydro-2-benzimidazolyl)isophthalanilide dihydrochloride, 310.degree.; 3',3'''-diamidinoisophthalanilide dihydrochloride, 242.degree.; 3',3'''-bis(N,N'-dimethylamidino)isophthalanilide dihydrochloride, 140.degree./220.degree. (decompn.); .alpha.,.alpha.'-diamidino-p-terephthaloluidide dihydrochloride, 352.degree. (decompn.); .alpha.,.alpha.-diamidino-p-isophthalotoluidide dihydrochloride, 210.degree. (decompn.); .alpha.,.alpha.'-bis(N'-methylamidino)-p-isophthaloluidide, 260.degree. (decompn.); 4',4'''-di-2-imidazolin-2-yl-3,5-pyridinedicarboxanilide dihydrochloride, .apprx.310.degree. (decompn.); 4',4'''-di-2-imidazolin-2-yl-2,5-pyridinedicarboxanilide dihydrochloride, .apprx.315.degree. (decompn.); 1,1'-p-phenylenebis [3-(p-2-imidazolin-2-ylaminophenyl)urea] dihydrochloride, 362.degree. (decompn.); 1,1'-p-phenylenebis[3-[p-(4-methyl-2-imidazolin-2-yl)phenyl]urea] dihydrochloride, 290.degree. (decompn.); 1,1'-p-phenylenebis[3-[p-(N,N'-dimethylamidino)phenyl]urea] dihydrochloride, >300.degree. (decompn.); 1,1'-p-phenylenebis[3-[p-(N,N'-butyl-N-methylamidino)phenyl]urea] dihydrochloride, 295.degree. (decompn.); 1,1'-p-phenylenebis[3-[p-(N,N'-diisopropylamidinophenyl]urea] dihydrochloride, 245.degree. (decompn.); 1,1'-p-phenylenebis [3-[p-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl]urea] dihydrochloride, 365.degree. (decompn.); 1,1'-p-phenylenebis[3-[p-(N'-methyl-N-phenylamidino)phenyl]urea] dihydrochloride, 235.degree. (decompn.); 1,1'-p-phenylenebis[3-(p-2-imidazolin-2-ylphenyl)urea] dihydrochloride, 255.degree. (decompn.); 1,1'-p-phenylenebis[3-(3,5-di-2-imidazolin-2-ylphenyl)urea], 220.degree. (decompn.); 1,1'-m-phenylenebis[3-(p-2-imidazolin-2-ylphenyl)urea]dihydrochloride, 285.degree. (decompn.); 1,1'-m-phenylenebis[3-(p-N,N'-dimethylamidinophenyl)urea]dihydrochloride, 220.degree. (decompn.); 1,1'-m-phenylenebis[3-(m-2-imidazolin-2-ylphenyl)urea]dihydrochloride, 275.degree. (decompn.); 1,1'-m-phenylenebis[3-(3,5-di-2-imidazolin-2-ylphenyl)urea]tetrahydrochloride, 295.degree. (decompn.); 1,1'-(3,3'-dimethyl-4,4'-biphenylylene)bis[3-(p-2-imidazolin-2-ylphenyl)urea], 205.degree. (decompn.); 4',4'''-di-2-imidazolin-2-yl-3,3'''-dimethoxy-4,4'''-dicarbanilide dihydrochloride, 302.degree.; 1,1'-(3,3'-dimethyl-4,4'-biphenylylene)bis[3-(m-2-imidazolin-2-ylphenyl)urea], 250.degree. (decompn.); 1,1'-(3,3'-dimethoxy-4,4'-biphenylylene)bis[3-(m-2-imidazolin-2-ylphenyl)urea], 195.degree. (decompn.); 4',4'',4'''-tri-2-imidazolin-2-yl trimesanilide trihydrochloride, 300.degree.; 3',3'',3'''-tri-2-imidazolin-2-yltrimesanilide trihydrochloride, 285.degree.; 4,4'''-azobis[4'-(2-imidazolin-2-yl)benzanilide] diformate, 400.degree. (decompn.); 4,4'''-azobis[3'-(2-imidazolin-2-yl)benzanilide] diformate, 395.degree. (decompn.); 4,4'-bis[(m-2-imidazolin-2-ylphenyl)carbonyl]carbanilide dihydrochloride, 300.degree. (decompn.); 3,3'-[(p-2-imidazolin-2-ylphenyl)carbamoyl]carbanilide dihydrochloride, 300.degree. (decompn.); 3,3'-bis[(m-2-imidazolin-2-ylphenyl)carbamoyl]carbanilide dihydrochloride, 280.degree. (decompn.); 3,3'-bis[(3,5-di-2-imidazolin-2-ylphenyl)carbamoyl]carbanilide tetrahydrochloride, 330.degree. (decompn.); 4,4'-bis[(3,5-di-2-imidazolin-2-ylphenyl)carbamoyl]adipanilide tetrahydrochloride, 360.degree. (decompn.); 1,1'-p-phenylenebis[3-(p-[(3,5-di-2-imidazolin-2-ylphenyl)carbamoyl]phenyl)urea], .apprx.370.degree.; 2,2'''-terephthaloyldiiminobis(4',4'''-di-2-imidazolin-2-ylterephthalanilide), 380.degree.; 2,2'''-terephthaloyldiiminobis(4',4'''-di-2-imidazolin-2-yl-adipanilide)tetrahydrochloride, 320.degree. (decompn.); 1,1'-p-phenylenebis[3-(2,5-bis-p-2-imidazolin-2-ylphenyl)carbamoyl]phenyl)urea], 330.degree. (decompn.); 4',4'''-bis(N-cyclohexyl-N'-methylamidino)terephthalanilide dihydrate, 250.degree.; 4',4'''-bis(N-benzyl-N'-methylamidino)terephthalanilide dihydrochloride hydrate, 315.degree. (decompn.); 4',4'''-bis(N'-methyl-N-phenethylamidino)terephthalanilide dihydrochloride hydrate, 318.degree.;

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4',4''-di-2-imidazolin-2-ylmaleanilide (or -fumaranilide) dihydrochloride, 350.degree. (decompn.); 3',3''-di-2-imidazolin-2-yl-fumaranilide (or -maleanilide) dihydrochloride, 265.degree. (decompn.); 3,5-bis[3-(p-2-imidazolin-2-ylphenyl)ureido]benzoic acid dihydrochloride, 265-70.degree.; 1,1'-[5-(methylcarbamo-yl)-m-phenylene]bis [3-(p-2-imidazolin-2-ylphenyl)urea]dihydrochloride, 284.degree. (decompn.); 3,5-bis[3-(m-2-imidazolin-2-yl-phenyl)ureido]benzoic acid dihydrochloride, 240-50.degree.; 1,1'-[5-(phenylcarbamoyl)-m-phenylene]bis[3-(m-2-imidazolin-2-yl-phenyl)urea]dihydrochloride, 255.degree.; 4'-(2-imidazolin-2-yl)-4-[p-2-imidazolin-2-ylphenyl]carbamoyl]cinnamanilide dihydrochloride hydrate, >300.degree.; 4'-(N,N'-dimethylamidino)-4-[p-(N,N'-dimethylamidino)phenylcarbamoyl]cinnamanilide dihydrochloride hydrate, >300.degree.; 4'-(methylamidino)-4-[[p-(methylamidino)phenyl]carbamoyl]cinnamanilide dihydrochloride sesquihydrate, >300.degree.; 4',4'''-bis(N'-methylamidino)-p-benzenediacrylanilide dihydrochloride dihydrate, >300.degree.; 4',4'''-bis(N,N-dimethylamidino)-p-benzenediacrylanilide dihydrochloride, >300.degree.; 4',4'''-di-2-imidazolin-2-yloxanilide, 330.degree. (decompn.); 1,1'-[5-(dimethylcarbamoyl)-m-phenylene]bis[3-(p-2-imidazolin-2-yl-phenyl)urea]dihydrochloride, 292.degree. (decompn.); 3',3''-di-2-imidazolin-2-yl-p-benzenediacrylanilide dihydrochloride dihydrate, 300.degree. (decompn.); 1,1'-[5-(phenylcarbamoyl)-m-phenylene]bis-[3-(p-2-imidazolin-2-ylphenyl)urea]dihydrochloride, 284.degree. (decompn.); 1,1'-m-phenylenebis[3-(2,5-bis[(p-2-imidazolin-2-yl-phenyl)carbamoyl]phenyl)urea] tetrahydrochloride, 320.degree. (decompn.); 1,1'-[5-(dimethylcarbamoyl)-m-phenylene]bis[3-(m-2-imidazolin-2-ylphenyl)urea] dihydrochloride, 265.degree. (decompn.); 1,1'-[5-(methylcarbamoyl)-m-phenylene]bis[3-(m-2-imidazolin-2-ylphenyl)urea] dihydrochloride, 265.degree. (decompn.); 4-(2-imidazolin-2-yl)-4'-(1,4,5,6-tetrahydro-2-pyrimidinyl)carbanilide dihydrochloride, 360.degree. (decompn.); 4-(2-imidazolin-2-yl)-4'-[4(or 5)-methyl-2-imidazolin-2-yl]carbanilide dihydrochloride, 325.degree. (decompn.); 4,4'''-vinylenebis[3'-(2-imidazolin-2-yl)carbanilide], 330.degree. (decompn.); 3'-(2-imidazolin-2-yl)-4-[(m-2-imidazolin-2-ylphenyl)carbamoyl] cinnamanilide dihydrochloride dihydrate, 300.degree.; 4''',4'''-bis(N,N'-dimethylamidino)-4,4'-stilbenedicarboxanilide dihydrochloride sesquihydrate, >300.degree. (decompn.); 3',3'''-bis(N,N'-dimethylamidino)-p-benzenediacrylanilide dihydrochloride hydrate, >300.degree. (decompn.); 3',3''-dihydroxy-4',4'''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 290.degree.; 4',4'''-bis-(N-cyclohexyl-N,N'-dimethylamidino)terephthalanilide dihydrochloride trihydrate, 310.degree.; 4',4'''-bis(N-cyclohexyl-N,N'-dimethylamidino)isophthalanilide dihydrochloride dihydrate, 280.degree.; 4',4'''-bis(N-benzyl-N,N'-dimethylamidino)terephthalanilide dihydrochloride hydrate, 286.degree.; 1,1'-p-phenylenebis[3-[p-(N-cyclohexyl-N'-methylamidino)phenyl]urea] dihydrochloride hemihydrate, 286.degree.; 2,5-bis[(p-2-imidazolin-2-ylphenyl)carbamoyl]terephthalic acid pentahydrate, >360.degree.; 1,1'-p-phenylenebis[3-(p-(N-benzyl-N'-methylamidino)phenyl]urea] dihydrochloride hemihydrate, 280.degree. (decompn.); 4',4'''-bis(N,N'-dimethylamidino)fumaranilide dihydrochloride, 320.degree. (decompn.); 2-hydroxy-4',4'''-di-2-imidazolin-2-ylisophthalanilide, >350.degree. (decompn.).

IT **5262-16-8**, Urea, 1,1'-m-phenylenebis[3-[p-(N,N'-dimethylamidino)phenyl]-, dihydrochloride **5300-44-7**, Urea, 1,1'-p-phenylenebis[3-[p-(N,N'-dimethylamidino)phenyl]-, dihydrochloride

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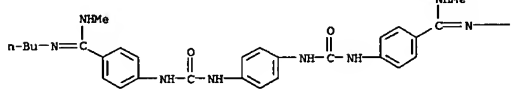
PAGE 1-A



●2 HCl

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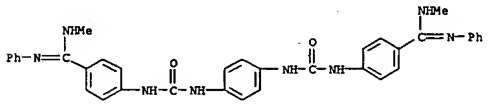
—Bu-n

RN 5300-46-9 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-[p-(N-methyl-N'-phenylamidino)phenyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)



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RN 5306-21-8 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-[p-(N-cyclohexyl-N'-methylamidino)phenyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

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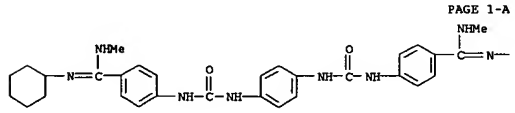

●2 HCl

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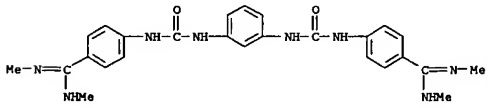
5300-45-8, Urea, 1,1'-p-phenylenebis[3-[p-(N-butyl-N'-methylamidino)phenyl]-, dihydrochloride **5300-46-9**, Urea, 1,1'-p-phenylenebis[3-[p-(N-methyl-N'-phenylamidino)phenyl]-, dihydrochloride **5306-21-8**, Urea, 1,1'-p-phenylenebis[3-[p-(N-cyclohexyl-N'-methylamidino)phenyl]-, dihydrochloride **5306-23-0**, Urea, 1,1'-p-phenylenebis[3-[p-(N-benzyl-N'-methylamidino)phenyl]-, dihydrochloride **5568-19-4**, Urea, 1,1'-p-phenylenebis[3-[p-(N,N'-diisopropylamidino)phenyl]-, dihydrochloride **5971-20-0**, Urea, 1,1'-p-phenylenebis[3-[m-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (prepn. of)

RN 5262-16-8 CAPLUS
CN Urea, 1,1'-m-phenylenebis[3-[p-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)



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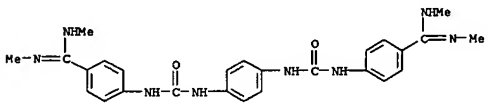
RN 5300-44-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 5300-45-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N-butyl-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

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RN 5306-23-0 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-[p-(N-benzyl-N'-methylamidino)phenyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

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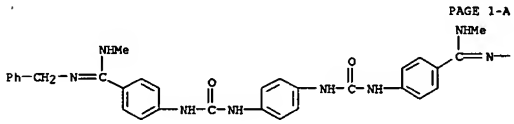

●2 HCl

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—CH_2—Ph

RN 5568-19-4 CAPLUS
CN Benzenecarboximidamide, 4,4'-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-bis(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

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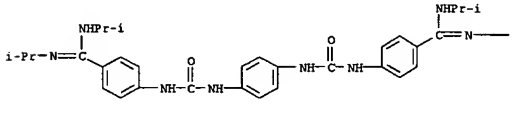

●2 HCl

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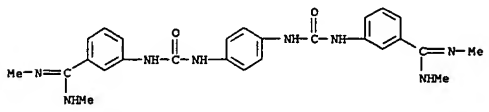
—Pr-i

RN 5971-20-0 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-[m-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (8CI) (CA INDEX NAME)



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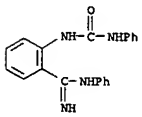
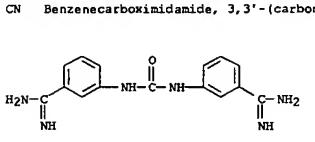
L4 ANSWER 78 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1965:488913 CAPLUS
DOCUMENT NUMBER: 63:88913
ORIGINAL REFERENCE NO.: 63:16347f-h
TITLE: Synthesis of 2-anilino-3-aryl-4-quinazolones
AUTHOR(S): Dyreek, Wojciech; Lucka-Sobstel, Barbara
CORPORATE SOURCE: Med. Acad., Cracow, Pol.
SOURCE: Dissertationes Pharmaceuticae (1965), 17(2), 195-203
CODEN: DIPHAH; ISSN: 0301-1615
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI For diagram(s), see printed CA Issue.
AB The title compds. were prepd. by combined methods of Clark and Wagner (CA 38, 20362) and of Klosa (CA 56, 2449g). Isatoic anhydride was used as a starting material from which appropriate anthranilic acid anilides and toluides were obtained by the method of Mehner (J. Prakt. Chem. 63(2), 283(1901). These, in turn, condensed readily with PhNCO to give the following I (Rand m.p. given): Ph (II), 21,5-16.degree.; o-MeC6H4 (III), 218.degree.; p-MeC6H4 (IV), 210-11.degree.; m-MeC6H4 (V), 200-1.degree.; p-ClC6H4 (VI), 212-13.degree.; NHCONHPh (VII), 185.degree.. VII was obtained in the reaction of anthranilic acid hydrazide with 2 mols. PhNCO. IIVI formed the following VIII on cyclization with POCl3 in toluene [R, m.p., deriv(s)., and m.p. deriv(s), given]: Ph (IX), 283-5.degree., hydrochloride, 279-80.degree., picrate, 276-8.degree.; o-MeC6H4, 259-61.degree., hydrochloride, 283-4.degree.; m-MeC5H4, 302-4.degree., hydrochloride, 280-2.degree.; p-MeC6H4, 241-3.degree., hydrochloride, 281-2.degree.; p-ClC6H4, did not melt up to 320.degree., hydrochloride, 190-2.degree.; H, 260-2.degree.. The yields of these derivs. were 25-35%. Acid hydrolysis of IX gave 2-hydroxy-6-phenyl-4-quinazolone, which was identical with an authentic sample. The structures of VIII were confirmed by detn. of their uv spectra.
IT **4145-22-6**, Carbanilide, 2-(phenylamidino)-
(prepn. of)
RN 4145-22-6 CAPLUS
CN Carbanilide, 2-(phenylamidino)- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 79 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1965:471612 CAPLUS
DOCUMENT NUMBER: 63:71612
ORIGINAL REFERENCE NO.: 63:13134e-f
TITLE: Synthesis of the new preparation uramidine
AUTHOR(S): Drusvyatskaya, S. K.; Mashinskaya, N. I.
SOURCE: Tr. Gos. Nauchn.-Kontrol'n. Inst. Vet. Preparatov (1964), 12, 353-6
From: Ref. Zh., Khim. 1965, Abstr. No. 10N194.
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB m,m'-Diamidinodiphenylurea (I) (uramidine) is an active pyroplasmocidal prepn. for treating infestation diseases (hemosporidiasis, trypanosomiasis). The reaction of 4-CH3C6H4SO2Cl with aq. NH4OH yielded p-toluenesulfonamide (II), yield 78-80%. The reaction of p-nitrobenzoic acid (III), II, and PCl5 yielded III nitrile, yield 98-9%, m. 114-16.degree. (from alc.). The optimum temp. of the reaction was 200 8.degree.. A mixt. of III and abs. alc. satd. with HCl (gas) was left to stand 3-4 days at 20.degree., the ppt. was sepd. off and dried in a vacuum desiccator: yield 80% 3-O2NC6H4C(:NH)OC2H5 (IV), m.p. 120-2.degree.. IV was added to a soln. of abs. alc., satd. with NH3, to a concn. of 13-14% and after 48 hrs. m-nitrobenzamidine (V) was filtered off; yield 85-90%, m.p. 240-2.degree.. V was reduced to m-aminobenzamidine (VI), yielded 80-5%, hydrochloride m.p. 255-60.degree.. A mixt. of VI and a calcd. amt. of urea was dissolved in H2O, boiled, the ppt. was filtered off, the filtrate was boiled again and the ppt. was filtered off. The operation was repeated 4 times to obtain I.
IT **3459-96-9**, Carbanilide, 3,3'-diamidino-
(prepn. of)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

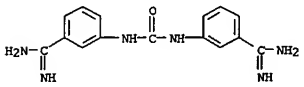


L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1962:73329 CAPLUS
DOCUMENT NUMBER: 56:73329
ORIGINAL REFERENCE NO.: 56:14174c-h
TITLE: Diamidines
INVENTOR(S): Berg, Samuel Sidney
PATENT ASSIGNEE(S): May & Baker Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 888965		19581215	GB	19590824
US 3143461		1964	US	

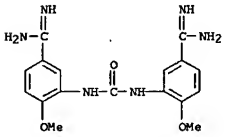
AB Diamidines useful against protozoan diseases were prepd. m-H2NC6H4CN (50 g.) in anhyd. pyridine was treated with C12CO (15 cc.) in anhyd. toluene (100 cc.) 10 min. with stirring. The soln. was heated 0.5 hr. on steam, cooled, added to 2 l. H2O, the ppt. filtered off, and washed to give N,N'-bis(m-cyanophenyl)urea (I), m. 205-6.degree. (MeOH). I (42 g.) in anhyd. CHCl3 (70 cc.) was satd. with anhyd. HCl at 0-5.degree. set aside 1 week, filtered, and dried to give 72 g. imino ether HCl salt of I. This product was added to satd. anhyd. ethanolic NH3 (720 cc.), the suspension heated at 55-60.degree. 6 hrs., cooled, and filtered to give 3,3'-diamidinodiphenylurea dihydrochloride (II), m. 286.degree. (decompn.). The iminoether HCl salt of I (90 g.) was dissolved in icewater (900 cc.) and the soln. basified at 0-10.degree. with 2N NaOH in the presence of 500 cc. CHCl3. The CHCl3 ext. was sepd., washed with satd. aq. NaCl, dried, concd. in vacuo to give a gum (79.2 g.), which was dissolved in 792 cc. EtOH. HOCH2CH2SO2ONH4 (60 g.) in 120 cc. H2O was added, the mixt. heated to 60.degree. 8 hrs., cooled, and filtered to give 3,3'-diamidinodiphenylurea diisethionate (III), m. 209.degree., decompd. at 256.degree. (MeOH-acetone). The method used to produce I was employed [using m-aminobenzamidine monohydrochloride (IV)] to give II.1.5H2O, decompd. at 286.degree.. IV (3.45 g.) and 1.4 g. 3,5-dimethylpyrazole-1-carboxamide (prepd. according to Scott, et al., CA 53, 3780g) in 7 cc. .beta.-ethoxyethanol was refluxed 5 hrs., cooled, and filtered to give II. 1.5H2O, decompd. at 286.degree.. The method used to produce I was employed (using 3-amino-4-methoxybenzonitrile, prepd. according to Blanksma and Petrii, CA 42, 148g) to give N,N'-bis(3-cyano-6-methoxyphenyl)urea, m. 315-16.degree., subsequently converted to 3,3'-diamidino-6,6'-dimethoxydipbenylurea dihydrochloride-H2O, decompd. at 285-6.degree.. Reduced Fe (25 g.) was slowly added to a boiling soln. of 25 g. 4-chloro-3-nitrobenzonitrile (prepd. according to Le Fevre and Turner, CA 21, 2681) in 380 cc. 50% HOAc. The mixt. was heated by steam 15 min., filtered hot, extd. with boiling 50% HOAc, the exts. added to H2O, and cooled to give 3-amino-4-chlorobenzonitrile (V), m. 93-4.degree.. V was treated by the method used to produce I to give N,N'-bis-(6-chloro-3-cyanophenyl)urea, decompd. at 330.degree., converted to 3,3'-diamidino-6,6'-dichlorodiphenylurea-2HCl.H2O, decompd. at 280-2.degree.. The iminoether HCl salt of I (20 g.) was similarly treated as for III except that MeNH2.HCl (6.6 g.) was added in place of ammonium isethionate to give 3,3'-bis(N-methylamidino)diphenylurea-2HCl.1.5H2O, decompd. from 210.degree.. m. 273-4.degree.. Similarly prepd. were 3,3'-bis(N-ethylamidino)diphenylurea-2HCl.H2O, decompd. at 302-5.degree., and 3,3'-bis(N,N-dimethylamidino)diphenylurea dihydrobromide hydrate, decompd. at 300-2.degree..
IT **53104-79-3**, Carbanilide, 3,3'-diamidino-, dihydrochloride
93726-99-9, Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-
93899-67-3, Carbanilide, 5,5'-diamidino-2,2'-dichloro-,

L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
dihydrochloride **94823-77-5**, Carbanilide, 3,3'-bis(methylamidino)-, dihydrochloride **94865-38-0**, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidinocarbanilide **97765-31-6**, Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide
(prepn. of)
RN 53104-79-3 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

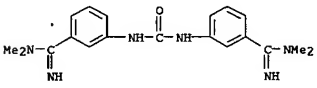
RN 93726-99-9 CAPLUS
CN Carbanilide, 5,5'-diamidino-2,2'-dimethoxy- (7CI) (CA INDEX NAME)



RN 93899-67-3 CAPLUS
CN Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride (7CI) (CA INDEX NAME)

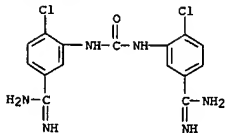
L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C2 H6 O4 S

RN 97765-31-6 CAPLUS
CN Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide (7CI) (CA INDEX NAME)



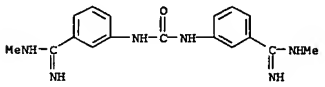
●2 HBr

L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

RN 94823-77-5 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

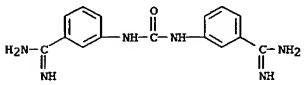


●2 HCl

RN 94865-38-0 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



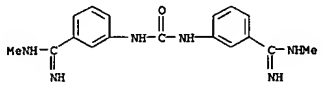
CM 2

CRN 107-36-8

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1962:66714 CAPLUS
DOCUMENT NUMBER: 56:66714
ORIGINAL REFERENCE NO.: 56:12797e-i,12798a-b
TITLE: Search for chemotherapeutic amidines. XIX. 3,3'-Diamidinocarbanilide and its congeners
AUTHOR(S): Berg, S. S.
CORPORATE SOURCE: May and Baker Ltd., Dagenham, UK
SOURCE: Journal of the Chemical Society, Abstracts (1961) 5097-101
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

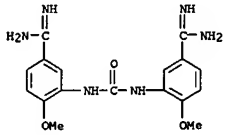
AB cf. CA 55, 16523h. The prepn. of 3,3'-diamidinocarbanilide diisethionate (I), a new babesicidal drug, was described. Modification of the structure of I produced compds. of lower activity. COCl2 (1 mol) in 450 mL. anhyd. PhMe added in 0.5 h. to 1.9 mol of the appropriate aminobenzonitrile in 925 mL. anhyd. C5H5N, the temp. kept at 30.degree. by ice, stirred 0.5 h. at 95-100.degree., cooled, added to 5.3l. ice H2O, and the ppt. collected gave the corresponding 3,3'-dicyanocarbanilide. The following results were obtained (6,6'-disubstituents of 3,3'-dicyanocarbanilide, % yield, crystn. solvent, cryst. form, and m.p. given): H, 77, alc., pink prisms, 205-6.degree.; Cl, 43.5, Me2NCHO, needles, 330.degree. (decompn.); OMe, 84.8, Me2NCHO, yellow needles, 315-16.degree.. m-Aminobenzonitrile (II) (23.6 g.) and 10.6 g. CNBr in 100 mL. alc. refluxed overnight, 4 vols. Et2O added, the solid collected, and ground with 2N NaOH gave 15.2 g. N,N'-bis(m-cyanophenyl)guanidine, m. 162-3.degree.. II (3.5 g.) and 0.95 g. CSCl2 gave 1.8 g. 3,3'-dicyanothiocarbanilide, pink prisms, m. 163.degree. (alc.-EtOAc). m-Acetamidobenzonitrile (23 g.) added to 30.1 g. PCl5 and 288 mL. C6H6, the mixt. refluxed 0.25 h., evapd., 432 mL. C6H6 added, 17.3 g. II in 144 mL. C6H6 slowly added to the refluxing soln. of the imidoyl chloride, the solid collected after refluxing a further 3 h., and crystd. gave 24.4 g. N,N'-bis(m-cyanophenyl)acetamidine, prisms, m. 186-8.degree.. The following diamidines, [2,5-R [NR1R2C(:NH)] C6H3]2Y.2HX.xH2O, were thus obtained (Y, R, R1, R2, X, x, alc. and solvent for imidate prepn., crystn. solvent, cryst. form, decompn. point, and % yield given): NHCONH, H, H, H, Cl, 1, alc.-CHCl3, MeOH-COMe2, needles, 286.degree., 79; NHCONH, H, H, H, C2H5O4S (I), 0, alc.-CHCl3, MeOH-COMe2, needles, 256.degree., 78; NHCONH, H, Me, H, Cl, 1.5, alc.-CHCl3, 3N HCl, needles, 273-4.degree., 62; NHCONH, H, Et, H, Br, 1, alc.CHCl3, MeOH-COMe2, prisms, 302-5.degree., 32; NHCONH, H, Me, Me, Br, 1.75, alc.-CHCl3, aq. NaBr, needles, 300-2.degree., 48.6; NHCONH, Cl, H, H, Cl, 1, HO(CH2)2OEt, 2N HCl, prisms, 280-2.degree., 21; NHCONH, OMe, H, H, Cl, 1, HO(CH2)2OEt, N HCl, needles, 285-6.degree., 39.5; NHC(:NH)NH, H, H, H, Cl, 2, HO(CH2)2OEt, MeOH-EtOAc, -, 254.degree., 55.6; N:CMeNH, H, H, H, Cl, 0.5, alc.-CHCl3, MeOH-COMe2, -, 357.degree., 37.5. m-Aminobenzamidine-HCl (III) (3.65 g.) in 15 mL. C5H5N treated at 5-10.degree. with 0.75 mL. COCl2 in PhMe, heated 0.5 h., cooled to 25.degree., and the product crystd. from 2N HCl gave 0.24 g. 3,3'-diamidinocarbanilide-2HCl.H2O (IV), in. 286.degree. (decompn.). III (3.45 g.) and 1.4 g. 3,5-dimethylpyrazole-1-carboxamide refluxed 5 h. with 7 mL. 2-ethoxyethanol gave 0.35 g. IV. 3,3'-Dicyanothiocarbanilide (1.3 g.) in 13 mL. CHCl3 contg. 2 mL. alc. satd. at 0.degree. with HCl and left 7 days afforded a gum. The dicyano compd. (1.5 g.) and 1.8 g. ammonium benzenesulfonate heated at 150.degree. gave much decompn. III (2.2 g.) and 1 g. 3,5-dimethylpyrazole-1-thiocarboxamide in 10 mL. EtOCH2CH2OH refluxed 5 h. gave 2 g. m-aminobenzamidine-HBr, m. 72.degree.. IV (20 g.), 7 g. cyanamide, and 53 mL. alc. refluxed overnight gave 12.8 g. 3,3'-diguanidinocarbanilide-2HCl.0.5H2O, gray prisms, m. 270-2.degree. (decompn.), m-Aminodimethylaniline (31.3 g.) and 7 g. CO(NH2)2 fused 2 h.

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
at 148-52.degree. gave 14.8 g. 3,3'-bis(dimethylamino)carbanilide (V), m. 260-2.degree.. V with Me2SO4 in PhNO2 gave the dimethosulfate-2H2O as plates, m. 214-16.degree. (decompn.) (MeOH).
IT 94823-77-5, Carbanilide, 3,3'-bis(methylamidino)-, dihydrochloride
(activity against Babesia rodhaini in mice)
RN 94823-77-5 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

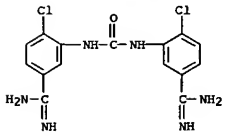
IT 94823-78-6, Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-, dihydrochloride
(activity against Babesia rodliani in mice)
RN 94823-78-6 CAPLUS
CN Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

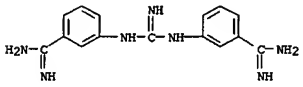
IT 53104-79-3, Carbanilide, 3,3'-diamidino-, dihydrochloride
(and derivs., as pharmaceuticals)
RN 53104-79-3 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



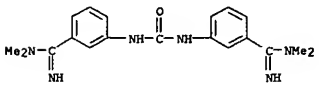
●2 HCl

RN 97317-84-5 CAPLUS
CN Guanidine, 1,3-bis(m-amidinophenyl)-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

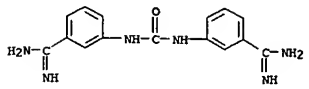
RN 97765-31-6 CAPLUS
CN Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide (7CI) (CA INDEX NAME)



●2 HBr

RN 97980-41-1 CAPLUS
CN Acetamidine, N,N'-bis(m-amidinophenyl)-, hydrochloride (7CI) (CA INDEX NAME)

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

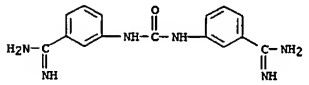


●2 HCl

IT 94865-38-0, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidinocarbanilide
(new babesicidal drug)
RN 94865-38-0 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

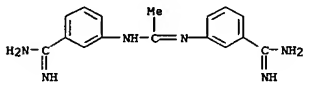


CM 2

CRN 107-36-8
CMF C2 H6 O4 S

IT 93899-67-3, Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride 97317-84-5, Guanidine, 1,3-bis(m-amidinophenyl)-, hydrochloride 97765-31-6, Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide 97980-41-1, Acetamidine, N,N'-bis(m-amidinophenyl)-, hydrochloride
(prepn. of)
RN 93899-67-3 CAPLUS
CN Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride (7CI) (CA INDEX NAME)

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



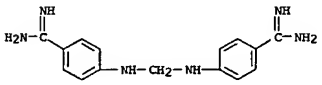
●x HCl

L4 ANSWER 82 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1961:43097 CAPLUS
DOCUMENT NUMBER: 55:43097
ORIGINAL REFERENCE NO.: 55:8344c-i,8345a-b
TITLE: Search for chemotherapeutic amidines. XVII. .alpha.,.omega.-Bis(p-amidinoanilino)alkanes
AUTHOR(S): Berg, S. S.
CORPORATE SOURCE: Northern Polytechnic, London
SOURCE: Journal of the Chemical Society, Abstracts (1960) 5172-6
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. CA 55, 5521e. The title compds. and the piperazine deriv., 1,4-bis(p-amidinophenyl)piperazine (I) were described. They had no significant trypanocidal activity. p-Aminobenzamidine-HCl (8.5 g.) in 50 ml. alc. and 2 ml. 40% HCHO refluxed 0.5 hr. gave 4.3 g. bis(p-amidinoanilino)methane-2HCl, m. 236-8.degree. (MeOH-Me2CO). CuCN (6.8 g.) and 10 ml. C5H5N heated to 120-30.degree., 9.25 g. 1,2-bis(p-bromoanilino)ethane added, the temp. raised to 215-20.degree., the C5H5N distd., the melt stirred at 195-200.degree. 3 hrs., added to 20 g. KCN in 50 ml. H2O (the oil sepd. and hardened), the liquor poured off, the mass ground with 2N HCl to give 14.5 g. solid, this sublimed, and the yellow sublimate (300-10.degree./0.1 mm.) (0.45 g.) crystd. gave 0.33 g. 1,2-bis(p-cyanoanilino)ethane (II), m. 205-6.degree. (AcOH). p-Aminobenzonitrile (100 g.), 142 g. NaHCO3, 160 g. C2H4Br2, and 400 ml. EtOCH2CH2OH refluxed 18 hrs., the mixt. cooled to 10.degree., the insol. material removed, the filtrate dild. with H2O, and the brown granular solid collected. p-Aminobenzonitrile (51 g.) was recovered from the mother liquors. The brown solid crystd. gave 18 g. product, sublimed to afford 9 g. II. The 1st filtered product afforded 6.6 g. 1,4-bis(p-cyanophenyl)piperazine (III), yellow needles, m. 275-7.degree. (anisole). p-Aminobenzonitrile (5 g.), 4.25 g. anhyd. Na2CO3, and 7.1 g. C2H4Br2 refluxed 3 hrs. at 150-5.degree., cooled, filtered, and the solid crystd. gave 1.4 g. III. II (27.5 g.) in 650 ml. EtOCH2CH2OH at 0-5.degree. satd. with HCl, left 10 days and the mixt. treated with 390 ml. satd. alc. NH3 at 55-60.degree. gave 7.5 g. 1,2-bis(p-aminoanilino)ethane-2HCl (IV), plates, m. 353.degree. (decompn.) IV (7.5 g.) in 800 ml. H2O treated at 10-15.degree. with 50% NaOH gave 6.4 g. product, which suspended in 80 ml. MeOH with methanesulfonic acid gave 7.1 g. 1,2-bis(p-amidinoanilino)ethane di(methanesulfonate), m. 301-2.degree. (MeOH). III (8.4 g.) in 150 ml. EtOCH2CH2OH satd. at 0.5.degree. with HCl gave I.2HCl. I.2HCl (6 g.) in 750 ml. H2O basified and the base treated with 20 ml. 2N isethionic acid gave 5.5 g. I diisethionate, yellow needles, m. 328.degree. (decompn.) (MeOH). p-Aminobenzonitrile (12 g.) in 100 ml. 2N HCl and 150 ml. H2O stirred 1 hr. with 12 ml. 1,1,3,3-tetraethoxypropane, the 15 g. solid washed, and a soln. in 300 ml. 96% aq. C5H5N treated with 300 ml. H2O gave 11 g. 1-(p-cyanoanilino)-3-(p-cyanophenylimino)-1-propene, m. 227-9.degree.. p-Aminobenzonitrile (11.8 g.), 5.2 ml. 1,3-dibromopropane, 8.4 g. NaHCO3, and 50 ml. EtOCH2CH2OH refluxed overnight gave 3 g. 1,3-bis(p-cyanoanilino)propane (V), m. 159-61.degree. (aq. alc.). 1-(p-Cyanoanilino)-3-(p-cyanophenylimino)-1-propene (11 g.) in 700 ml. HCONMe2 hydrogenated at room temp. with 1.6 g. PtO2 1.5 hrs. gave 4.15 g. V. 1,3-Bis(p-cyanoanilino)propane (10 g.) in 200 ml. alc. satd. with HCl at 0-5.degree. and the diimidoester-2HCl which sepd. during 1 week (14.1 g.) dissolved in 100 ml. refluxing H2O and 30

L4 ANSWER 82 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
ml. satd. NaCl gave 5.8 g. 1,3-bis(p-amidoanilino)propane-2HCl, yellow plates, m. 316-18.degree. (decompn.). Na glutaconic aldehyde-2H2O (1.55 g.) in 50 ml. H2O added at 80-90.degree. to 2.36 g. p-aminobenzonitrile in 20 ml. 2N H2SO4 and 120 ml. H2O, the mixt. stirred a further 10 min., and filtered gave 2.5 g. 1-(p-cyanoanilino)-5-(p-cyanophenylimino)-1,3-pentadiene, m. 140-4.degree. (decompn.), which (2.4 g.) in 100 ml. HCONMe2 reduced at 30-5.degree. over 0.24 g. PtO2, the ppt. filtered off, washed, and extd. with CHCl3 gave 1.8 g. brown solid, m. 160-70.degree.. Attempts to purify this product were unsuccessful. The aq. dimethylformamide filtrate gave 0.2 g. p-aminobenzonitrile. p-Aminobenzonitrile (94.4 g.), 97.6 g. hexamethylene dibromide, 67.2 g. NaHCO3, 400 ml. EtOCH2CH2OH, and a crystal of iodine refluxed 24 hrs., the solvent evapd., the residual oil cooled, stirred with 2 l. 2N HCl, extd. with CHCl3, and the solvent removed gave 16 g. 1,6-bis(p-cyanoanilino)hexane (VI), prismatic needles, m. 165-7.degree. (AcOH). Similarly, 15 g. VI in 180 ml. EtOCH2CH2OH satd. at 0-5.degree. with HCl gave the di-HCl salt, converted to 5.2 g. 1,6-bis(p-amidinoanilino)hexane diisethionate, prisms, m. 238-40.degree. (H2O and MeOH).

IT **109446-25-5**, Benzamidine, 4,4'-(methylenediimino)di-, dihydrochloride
(prepn. of)
RN 109446-25-5 CAPLUS
CN Benzamidine, 4,4'-(methylenediimino)di-, dihydrochloride (6CI) (CA INDEX NAME)



●2 HCl

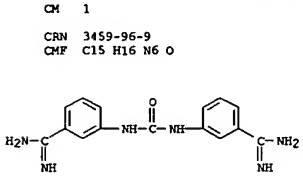
L4 ANSWER 83 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1960:104776 CAPLUS
DOCUMENT NUMBER: 54:104776
ORIGINAL REFERENCE NO.: 54:19971c-d
TITLE: Chemotherapy of experimental babesiasis in mice and splenectomized calves
AUTHOR(S): Lucas, J. M. S.
CORPORATE SOURCE: May & Baker, Ltd., Dagenham, UK
SOURCE: Research Vet. Sci. (1960), 1, 218-25
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Compds. against Babesia rodhaini in mice were screened and the activity of a new compd., 3,3'-diamidino- carbanilide diisothionate, or M&B 5062A (I), against this parasite compared with that of compds. commonly used in the treatment of babesiasis. Infection produced in splenectomized calves by the inoculation of Babesia aivergens was treated with a no. of compds.; I showed good activity at a dose of 5 mg./kg. and was tolerated by calves at a dose of 40 mg./kg. 21 references.

IT **3671-72-5**, Carbanilide, 3,3'-diamidino-, diisethionate
(in Babesia rodhaini infection treatment)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

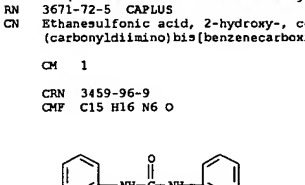
L4 ANSWER 84 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1960:98824 CAPLUS
DOCUMENT NUMBER: 54:98824
ORIGINAL REFERENCE NO.: 54:18783h-i
TITLE: 3,3'-Diamidinocarbanilide: A new drug active against babesial infections
AUTHOR(S): Ashley, J. N.; Berg, S. S.; Lucas, J. M. S.
CORPORATE SOURCE: May and Baker, Ltd., Essex, UK
SOURCE: Nature (London, United Kingdom) (1960), 185, 461
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB 3,3'-Diamidinocarbanilide diisethionate (I) given subcutaneously to splenectized calves infected with Babesia divergenus at dosages ranging from 3 to 40 mg./kg. body wt. reduced the parasitemia within 24 hrs., with complete clearing within 3 days. Hemoglobinuria was cleared in 24 hrs. with doses of 5 mg./kg. or higher. The L.D.50 of I in mice was found to be 120 mg./kg., as compared to 4 mg./kg. for quinoronium sulfate (II). Max. subcutaneous dose levels tolerated in splenectomized calves were 40 mg./kg. and 4 mg./kg. for I and II, resp. Toxic effects assocd. with II, commonly used in B. divergens infections, do not appear at therapeutic levels of I.

IT **3671-72-5**, Carbanilide, 3,3'-diamidino-, diisethionate
(in treatment of Babesia divergenus infection)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



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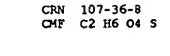

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=> s l4 and protoz?
L5          10 L4 AND PROTOZ?

=> d ibib abs hitstr tot
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L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:492708 CAPLUS
DOCUMENT NUMBER: 139:69058
TITLE: Preparation of N-amidinophenyl-N'-sulfamoylphenylureas and related compounds for the treatment of protozoal diseases and as inhibitors of intracellular protein degradation pathways
INVENTOR(S): Aschenbrenner, Andrea; Fuchs, Katharina Aulinger; Dormeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Jurgen; Krauss, Rolf; Leban, Johan; Pegoraro, Stefano; Saeb, Wael; Wolf, Kristina
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 20,683.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

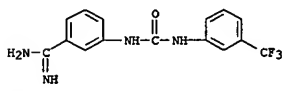
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003119876	A1	20030626	US 2002-83008	20020226
DE 10109204	A1	20020919	DE 2001-10109204	20010226
US 2002165236	A1	20021107	US 2001-20683	20011212

PRIORITY APPLN. INFO.: DE 2001-10109204 A 20010226
US 2001-20683 A2 20011212
OTHER SOURCE(S): MARPAT 139:69058

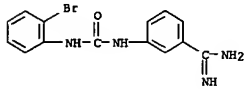
AB R1R2ANHYNHBR3R4R5R6 [Y = CO, CS, C:NH, CO2, SO2; A, B = aryl optionally contg. .gtoreq.1 S, O, N, wherein the N is optionally substituted with R', and/or the heteroatom S is optionally bonded to :O, :O2; R' = H, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl (unsatd.) cyclopentyl, cyclohexyl, (hetero)aryl; R1 = C(NRaRb)NRcRd; Ra, Rc = H, O2CR' OH, hydroxyalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl, (unsatd.) cyclopentyl, cyclohexyl, aryl, heteroaryl; Rb = null, Ra, Rc; Rd = H, CORe (CH2)nRf; Re = H, alkoxy, alkylthio, halo, haloalkyl, haloalkoxy, hydroxyalkyl, hydroxyalkylamino, alkyl, (hetero)aryl, amino, aminoalkyl, alkylamino; Rf = H, hydroxyalkyl, alkyl, allyl, amino, alkylamino, morpholino, 2-tetrahydrofuryl, N-pyrrolidino, 3-pyridyl, Ph, PhCH2, biphenyl, heterocyclyl, NRaRb; n = 0-3; RaRd = 5-6 membered (unsatd.) heterocyclyl contg. 0-3 R"; R" = H, alkoxy, alkylthio, aminoalkyl, halo, CO2R', CR'O, haloalkyl, haloalkoxy, NO2, CN, hydroxyalkyl, alkyl, (hetero)aryl, amino, alkylamino, aminoalkyl, O; R2 = H, halo, alkoxy, alkylthio, CO2R', CR'O, haloalkyl, haloalkyloxy, NO2, CN, OH, hydroxyalkyl, alkyl, acyl, amino, alkylamino, aminoalkyl; R3 = H, halo, haloalkyl, NO2, CN, alkyl, aryl; R4 = H, group capable of hydrogen bond formation except for R1; R5 = H, R4; R6 = H, R2], were prepd. Thus, 1,1-thiocarbonyldiimidazole in MeNO2 at 4.degree. was treated dropwise with Me triflate; the reaction was stirred for 30 min at 4.degree. then 4-amino-N-benzylbenzenesulfonamide in DMA was added dropwise. The reaction was stirred for 2.5 h at rt, then 3-aminobenzamidine dihydrochloride and DIEA in DMA were added followed by stirring for 16 h at rt to give 15% 3-[3-(4-benzylsulfamoylphenyl)thioureido]benzamidine. Several title compds. showed activity against Plasmodium falciparum Dd2 with IC50<1 .mu.M.

IT 455899-89-5P 455899-90-8P 455899-91-9P

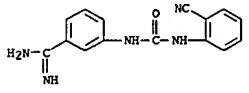
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



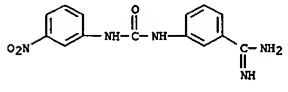
RN 455899-91-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[(2-bromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-92-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[(2-cyanophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-93-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[(3-nitrophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-95-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
455899-92-0P 455899-93-1P 455899-95-3P
455899-96-4P 455899-97-5P 455899-98-6P
455899-99-7P 455900-00-2P 455900-01-3P
455900-02-4P 455900-03-5P 455900-08-0P
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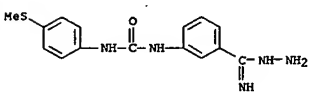
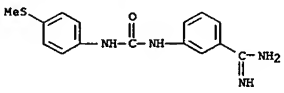
455900-97-7P 455900-98-8P 455900-99-9P
455901-01-6P 548783-59-1P 548783-60-4P
548783-61-5P 548784-24-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amidinophenylsulfamoylphenylureas and related compds. for the treatment of protozoal diseases and as inhibitors of intracellular protein degrdn. pathways)

RN 455899-89-5 CAPLUS
CN Benzenecarboximidic acid, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]-, hydrazide (9CI) (CA INDEX NAME)

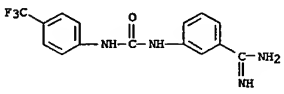


RN 455899-90-8 CAPLUS

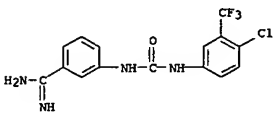
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455899-96-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-97-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-98-6 CAPLUS
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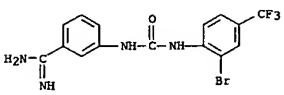
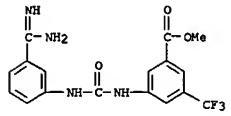
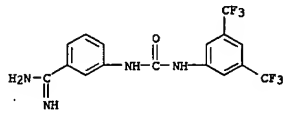


RN 455899-99-7 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

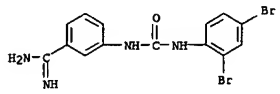
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-00-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-01-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2,4-dibromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-02-4 CAPLUS
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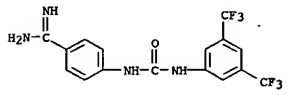
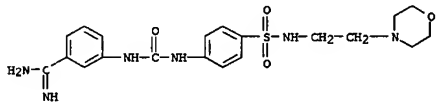
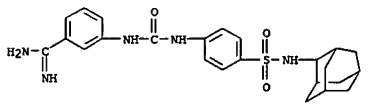


RN 455900-03-5 CAPLUS
CN Benzoic acid, 3-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

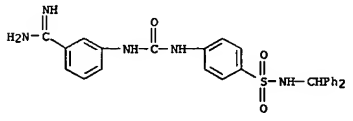
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



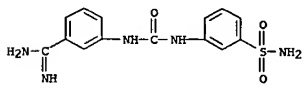
RN 455900-12-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(tricyclo[3.3.1.13,7]dec-2-ylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-13-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(diphenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

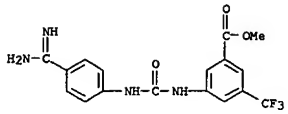


RN 455900-14-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(aminosulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

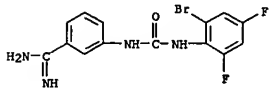


RN 455900-15-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

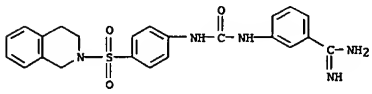
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



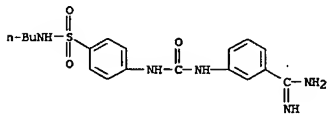
RN 455900-08-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-bromo-4,6-difluorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-09-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

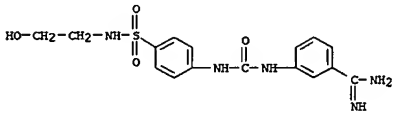


RN 455900-10-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(butylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

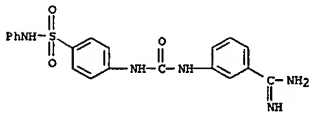


RN 455900-11-5 CAPLUS

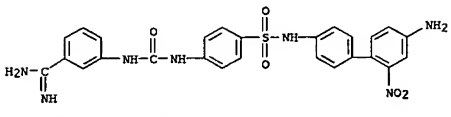
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



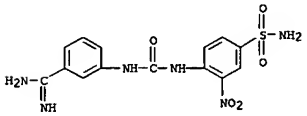
RN 455900-16-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(phenylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-17-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(4'-amino-2'-nitro[1,1'-biphenyl]-4-yl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

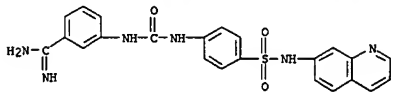


RN 455900-18-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)-2-nitrophenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

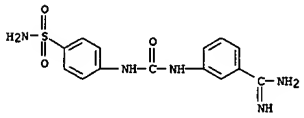


RN 455900-19-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(7-quinolinylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-20-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-21-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

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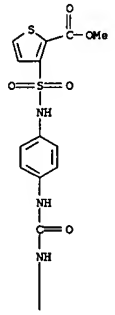

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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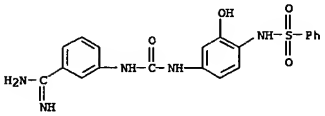
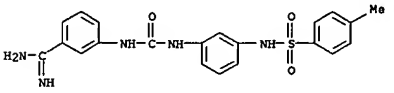
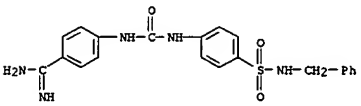
RN 455900-22-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-hydroxy-4-[(phenylsulfonyl)amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



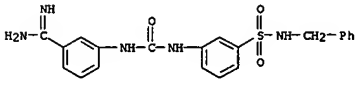
RN 455900-23-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



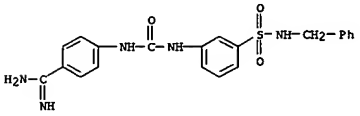
RN 455900-24-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



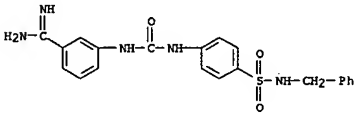
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-25-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



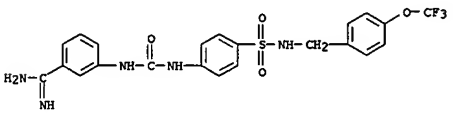
RN 455900-26-2 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-27-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

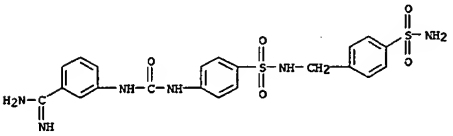


RN 455900-28-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

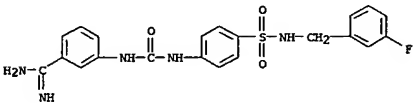


RN 455900-29-5 CAPLUS

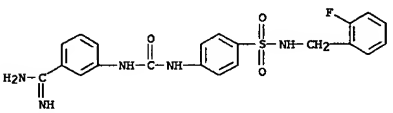
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



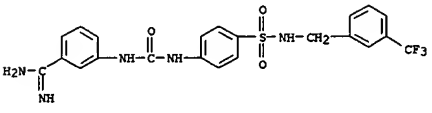
RN 455900-30-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



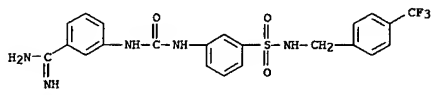
RN 455900-31-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



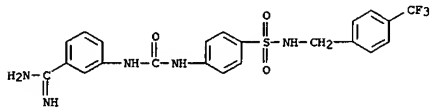
RN 455900-32-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



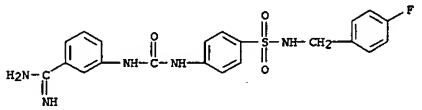
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-33-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-34-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

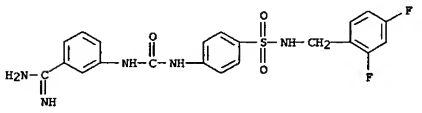


RN 455900-35-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

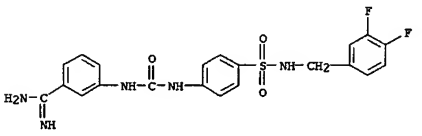


RN 455900-36-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

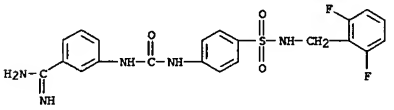
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



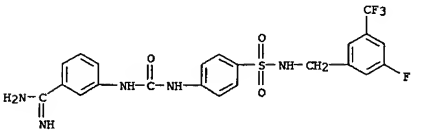
RN 455900-40-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



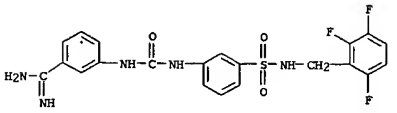
RN 455900-41-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



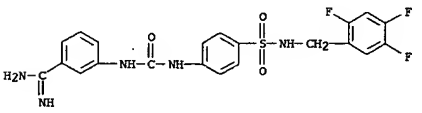
RN 455900-42-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



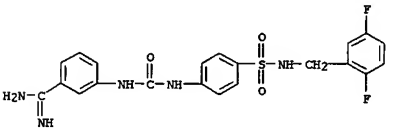
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-37-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

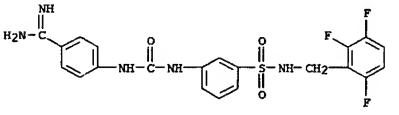


RN 455900-38-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,5-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

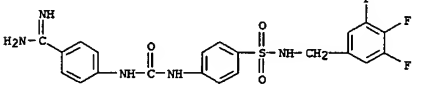


RN 455900-39-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

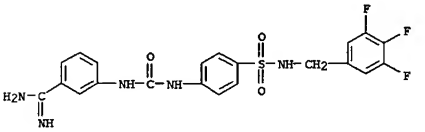
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-43-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-44-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

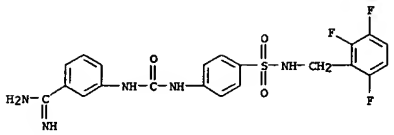


RN 455900-45-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

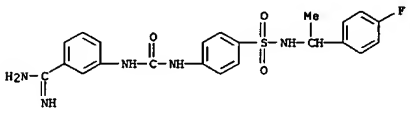


RN 455900-46-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

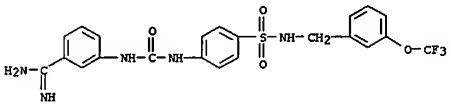
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-47-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[1-(4-fluorophenyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

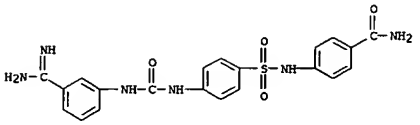


RN 455900-48-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

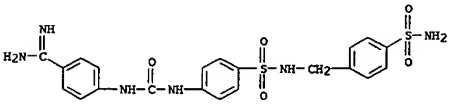


RN 455900-50-2 CAPLUS
CN Benzamide, 4-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

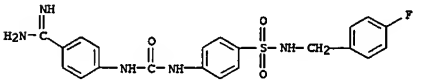
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



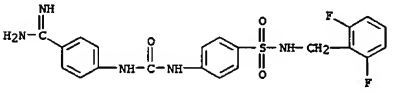
RN 455900-51-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-52-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

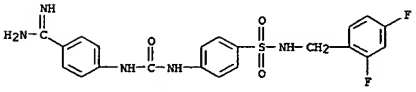


RN 455900-53-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

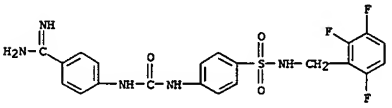


RN 455900-54-6 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

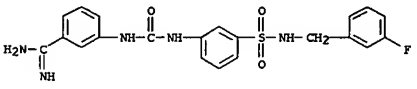
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



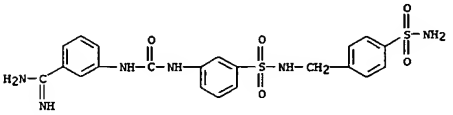
RN 455900-55-7 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-57-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

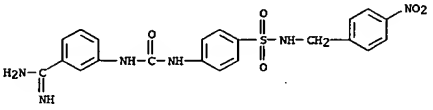


RN 455900-58-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

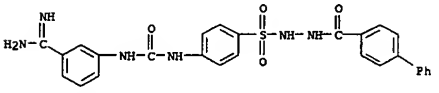


RN 455900-59-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(4-nitrophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

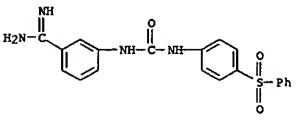
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



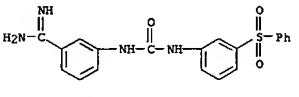
RN 455900-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 455900-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

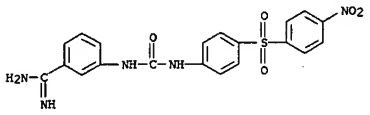


RN 455900-62-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

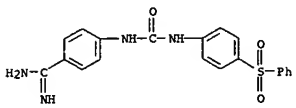


RN 455900-63-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

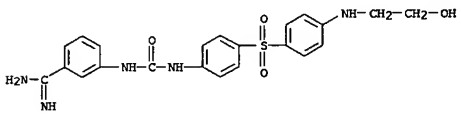
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



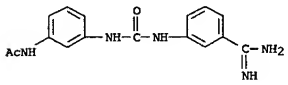
RN 455900-64-8 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



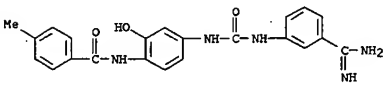
RN 455900-65-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



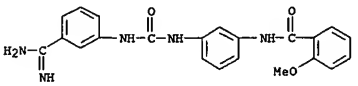
RN 455900-66-0 CAPLUS
CN Acetamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



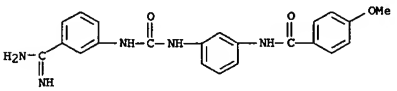
RN 455900-67-1 CAPLUS
CN Benzamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-2-hydroxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)



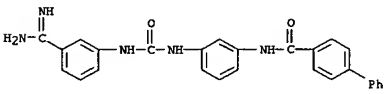
RN 455900-68-2 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 455900-69-3 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 455900-70-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 455900-71-7 CAPLUS
CN [3,5'-Biisoxazole]-4'-carboxamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-3',5-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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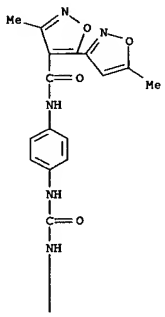

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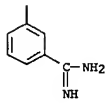
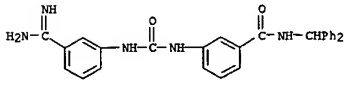
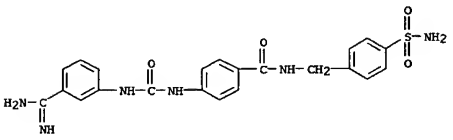


RN 455900-72-8 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)

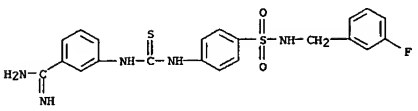


RN 455900-73-9 CAPLUS
CN Benzamide, 4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-[[4-(aminosulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

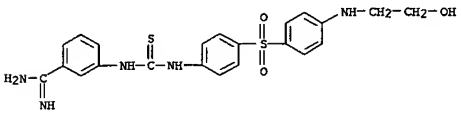
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



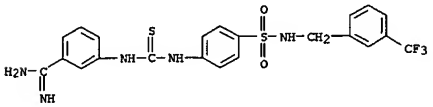
RN 455900-74-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-76-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

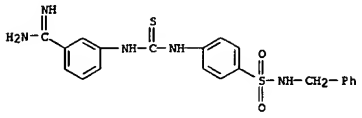


RN 455900-77-3 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

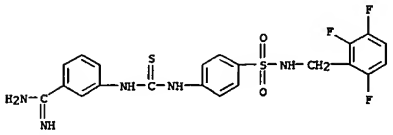


RN 455900-78-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

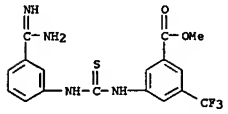
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-79-5 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)

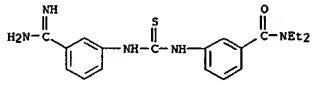


RN 455900-80-8 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

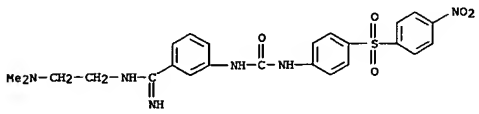


RN 455900-81-9 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

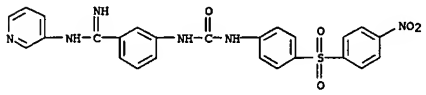
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-82-0 CAPLUS
CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

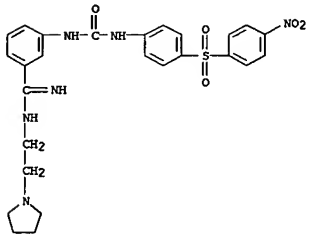


RN 455900-83-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

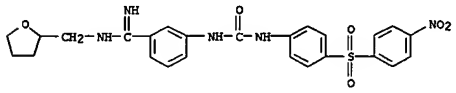


RN 455900-84-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

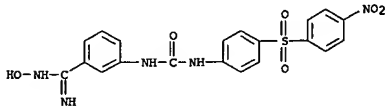
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-85-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

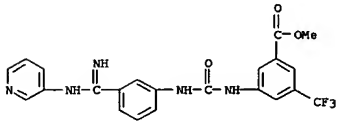


RN 455900-86-4 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

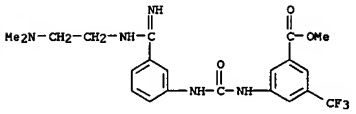


RN 455900-87-5 CAPLUS
CN Benzoic acid, 3-[[[[3-[imino(3-pyridinylamino)methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

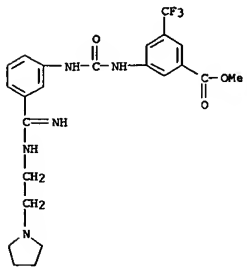
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-88-6 CAPLUS
CN Benzoic acid, 3-[[[[3-[[[2-(dimethylamino)ethyl]amino]iminomethyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

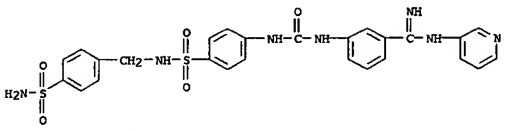


RN 455900-89-7 CAPLUS
CN Benzoic acid, 3-[[[[3-[imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



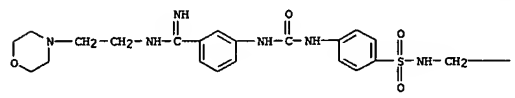
RN 455900-90-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

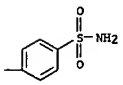


RN 455900-91-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

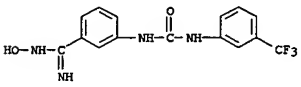
PAGE 1-A



PAGE 1-B



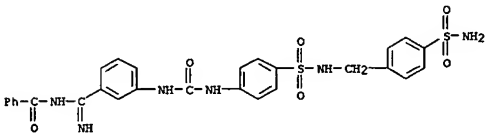
RN 455900-93-3 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



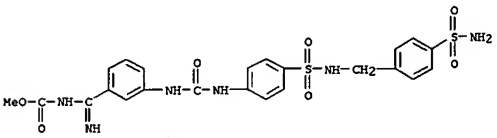
RN 455900-94-4 CAPLUS
CN Benzenecarboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

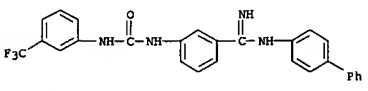
RN 455900-98-8 CAPLUS
CN Benzamide, N-[[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]- (9CI) (CA INDEX NAME)



RN 455900-99-9 CAPLUS
CN Carbamic acid, [[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)

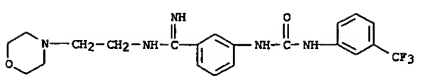


RN 455901-01-6 CAPLUS
CN Benzenecarboximidamide, N-[1,1'-biphenyl]-4-yl-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

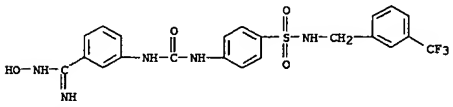


RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-95-5 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-96-6 CAPLUS
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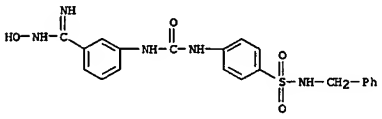
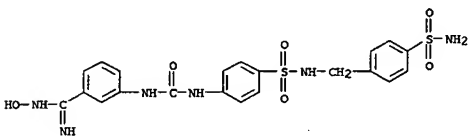
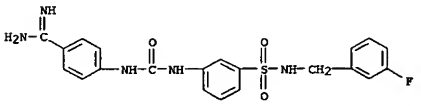


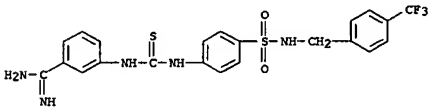
RN 455900-97-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



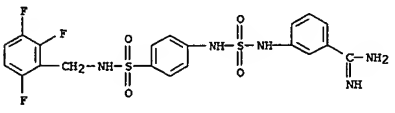
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 548783-60-4 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)



RN 548783-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 548784-24-3 CAPLUS
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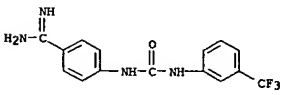

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:695938 CAPLUS
DOCUMENT NUMBER: 137:216781
TITLE: Derivatives of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments
INVENTOR(S): Aschenbrenner, Andrea; Aulinger Fuchs, Katharina; Dormeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Juergen; Krauss, Rolf; Leban, Johan; Pegoraro, Stefano; Saeb, Wael; Wolf, Kristina
PATENT ASSIGNEE(S): 4SC A.-G., Germany
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070467	A1	20020912	WO 2002-EP2040	20020226
WO 2002070467	B1	20030116		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10109204	A1	20020919	DE 2001-10109204	20010226
US 2002165236	A1	20021107	US 2001-20683	20011212

PRIORITY APPLN. INFO.: DE 2001-10109204 A 20010226
US 2001-20683 A 20011212
OTHER SOURCE(S): MARPAT 137:216781
AB Title compds. were prepd. for use in the treatment of protozoal diseases and of diseases where the inhibition of intracellular protein-degrdn. pathways is of benefit. Thus, 3-NCC6H4NCO was treated with 4-O2NC6H4SO2C6H4NH2-4 to give 3-NCC6H4NHCONHC6H4(SO2C6H4NO2-4)-4 which was subjected to methanolysis and treated with NH3-MeOH to give 3-H2NC(:NH)NHC6H4NHCONHC6H4(SO2C6H4NO2-4)-4 (I). I had IC50 <1 .mu.M against Plasmodium falciparum Dd2 and caused 75-90% inhibition of human 20S proteasome at 5 .mu.M.
IT 455899-89-5P 455899-90-8P 455899-91-9P
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L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
455900-30-8P 455900-31-9P 455900-32-0P
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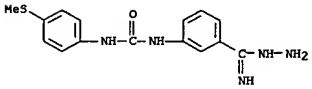
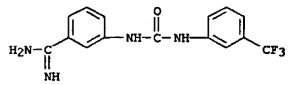
455900-97-7P 455901-01-6P 548783-59-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)
RN 455899-89-5 CAPLUS
CN Benzenecarboximidic acid, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]-, hydrazide (9CI) (CA INDEX NAME)

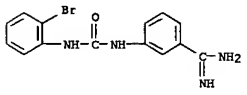


RN 455899-90-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

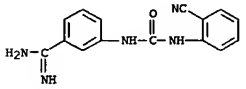


RN 455899-91-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-bromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

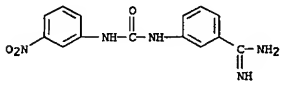
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455899-92-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-cyanophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-93-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[(3-nitrophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-95-3 CAPLUS
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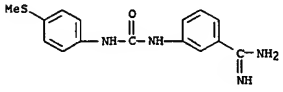
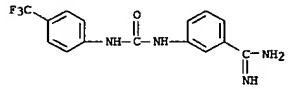
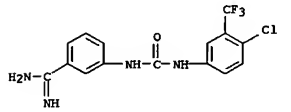


RN 455899-96-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

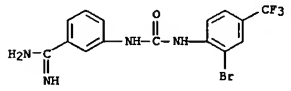
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



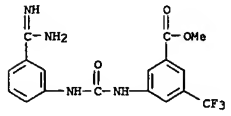
RN 455899-97-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-98-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[2-bromo-4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

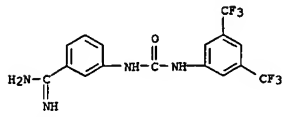


RN 455899-99-7 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

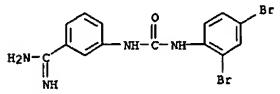


RN 455900-00-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

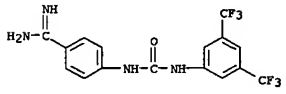
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



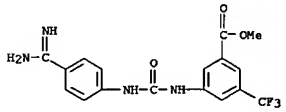
RN 455900-01-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[(2,4-dibromophenyl)amino]carbonyl]amino]-
(9CI) (CA INDEX NAME)



RN 455900-02-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3,5-bis(trifluoromethyl)phenyl]amino]carbony
l]amino]- (9CI) (CA INDEX NAME)

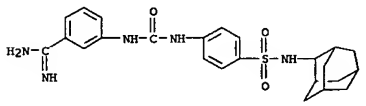


RN 455900-03-5 CAPLUS
CN Benzoic acid, 3-[[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-5-
(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

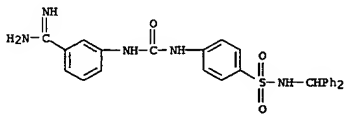


RN 455900-08-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[(2-bromo-4,6-difluorophenyl)amino]carbonyl]am
ino]- (9CI) (CA INDEX NAME)

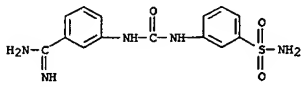
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
ylamino)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



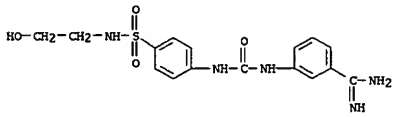
RN 455900-13-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(diphenylmethyl)amino]sulfonyl]phenyl]am
ino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-14-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(aminosulfonyl)phenyl]amino]carbonyl]amino
]- (9CI) (CA INDEX NAME)

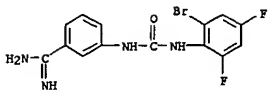


RN 455900-15-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]am
ino]carbonyl]amino]- (9CI) (CA INDEX NAME)

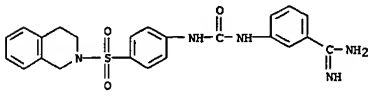


RN 455900-16-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(phenylamino)sulfonyl]phenyl]amino]carbon
yl]amino]- (9CI) (CA INDEX NAME)

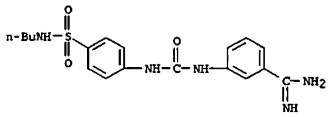
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



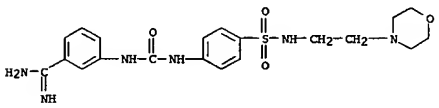
RN 455900-09-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(3,4-dihydro-2(1H)-
isoquinolinyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX
NAME)



RN 455900-10-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(butylamino)sulfonyl]phenyl]amino]carbony
l]amino]- (9CI) (CA INDEX NAME)

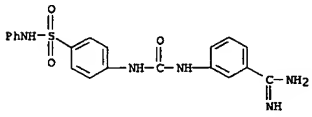


RN 455900-11-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[2-(4-morpholinyl)ethyl]amino]sulfonyl]p
henyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

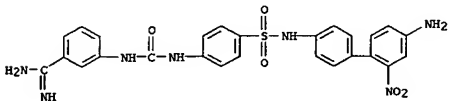


RN 455900-12-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(tricyclo[3.3.1.13,7]dec-2-

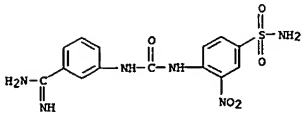
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



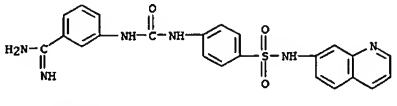
RN 455900-17-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(4'-amino-2'-nitro[1,1'-biphenyl]-4-
yl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-18-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)-2-
nitrophenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

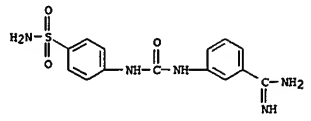


RN 455900-19-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(7-quinolinylamino)sulfonyl]phenyl]amino]
carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-20-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(aminosulfonyl)phenyl]amino]carbonyl]amino
]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-21-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

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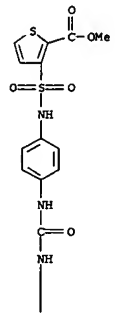

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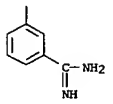
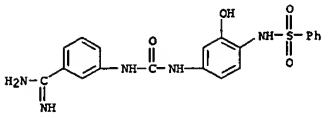
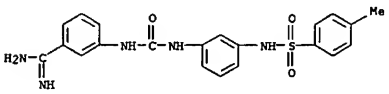


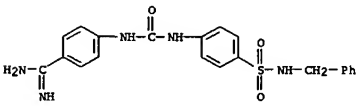
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-22-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-hydroxy-4-[(phenylsulfonyl)amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



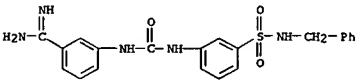
RN 455900-23-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



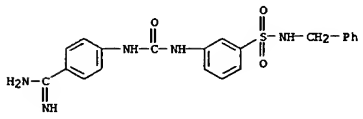
RN 455900-24-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



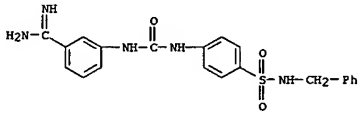
RN 455900-25-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



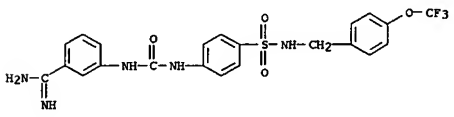
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-26-2 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-27-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

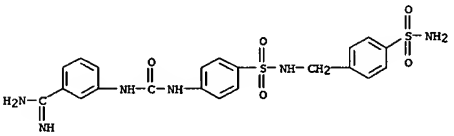


RN 455900-28-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

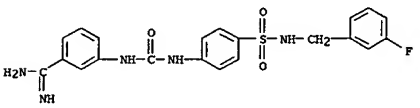


RN 455900-29-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

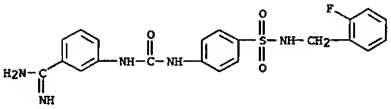
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



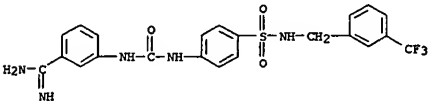
RN 455900-30-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-31-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

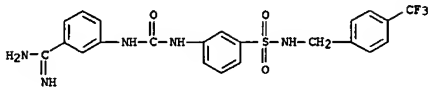


RN 455900-32-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

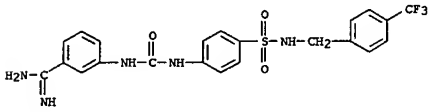


RN 455900-33-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

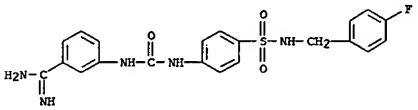
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



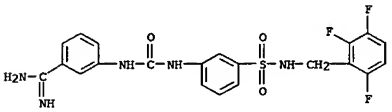
RN 455900-34-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



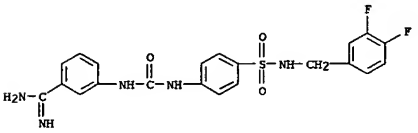
RN 455900-35-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



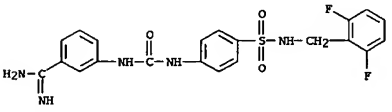
RN 455900-36-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



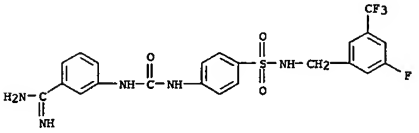
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



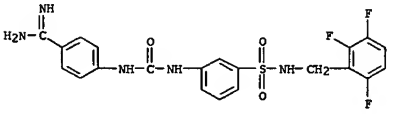
RN 455900-41-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-42-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

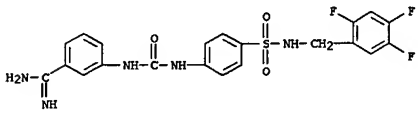


RN 455900-43-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

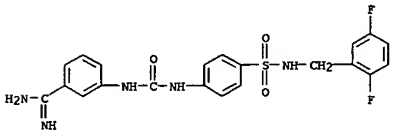


L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

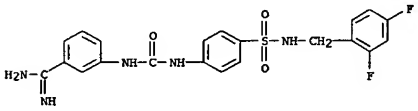
RN 455900-37-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-38-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,5-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



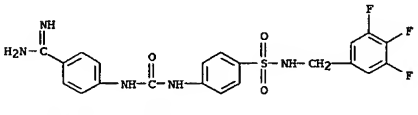
RN 455900-39-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



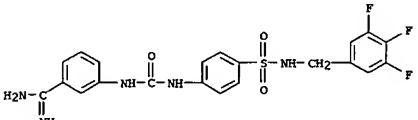
RN 455900-40-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

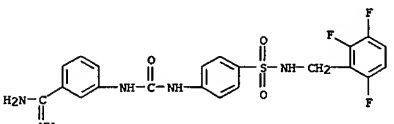
RN 455900-44-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-45-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

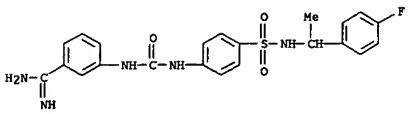


RN 455900-46-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

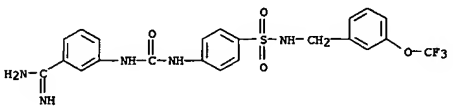


RN 455900-47-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[1-(4-fluorophenyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

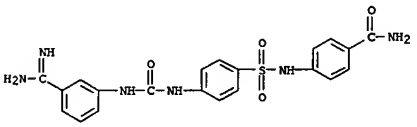
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



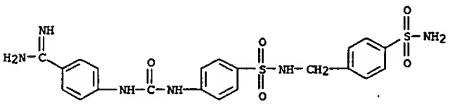
RN 455900-48-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[3-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



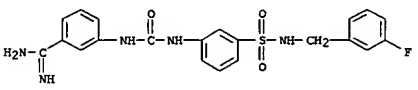
RN 455900-50-2 CAPLUS
CN Benzamide, 4-[[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



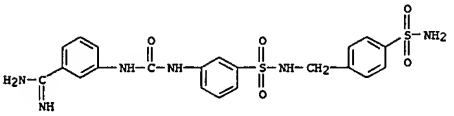
RN 455900-51-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



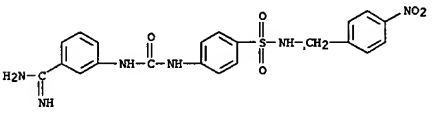
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
henyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



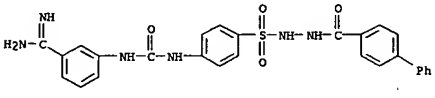
RN 455900-58-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-59-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(4-nitrophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



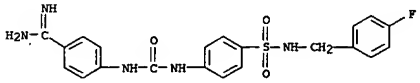
RN 455900-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]sulfonyl]hydrazide (9CI) (CA INDEX NAME)



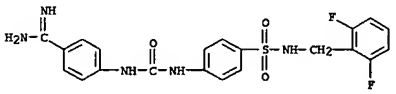
RN 455900-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

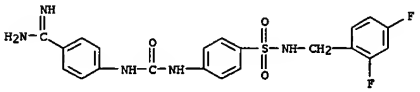
RN 455900-52-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



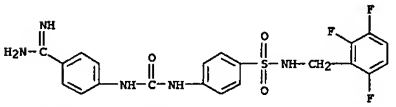
RN 455900-53-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-54-6 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

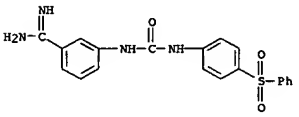


RN 455900-55-7 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

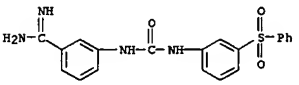


RN 455900-57-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]p

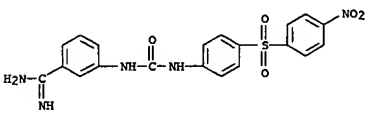
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



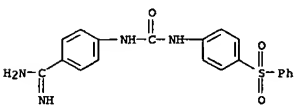
RN 455900-62-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-63-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

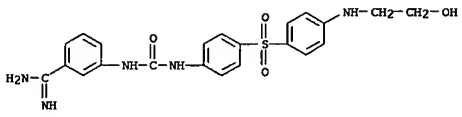


RN 455900-64-8 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

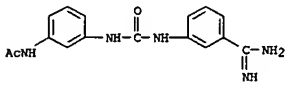


RN 455900-65-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

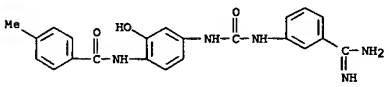
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



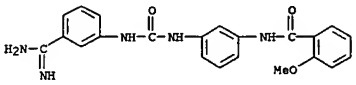
RN 455900-66-0 CAPLUS
CN Acetamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 455900-67-1 CAPLUS
CN Benzamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-2-hydroxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 455900-68-2 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 455900-69-3 CAPLUS
CN Benzamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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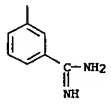
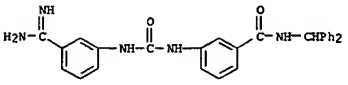
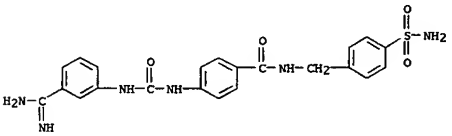


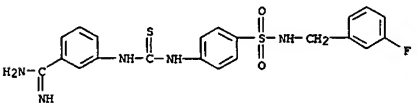
RN 455900-72-8 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)



RN 455900-73-9 CAPLUS
CN Benzamide, 4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-[[4-(aminosulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 455900-74-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-76-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

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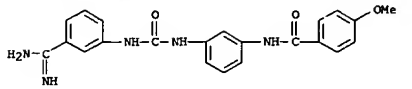
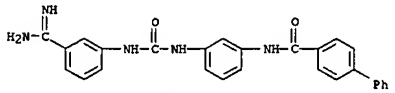
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-70-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 455900-71-7 CAPLUS
CN [3,5'-Biisoxazole]-4'-carboxamide, N-[4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl]-3',5-dimethyl- (9CI) (CA INDEX NAME)

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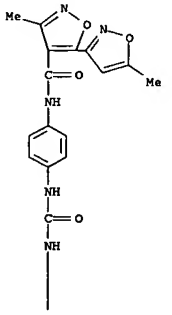
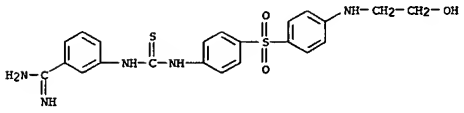
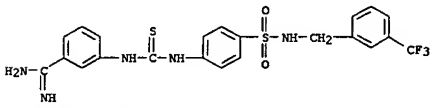


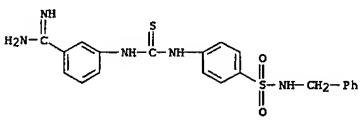
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



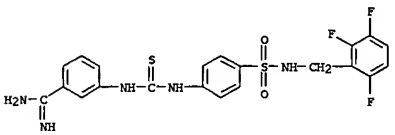
RN 455900-77-3 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-78-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)



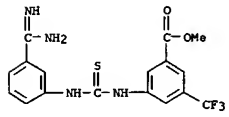
RN 455900-79-5 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)



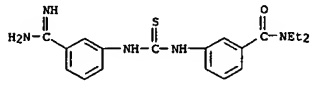
RN 455900-80-8 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-5-

10/09/2003

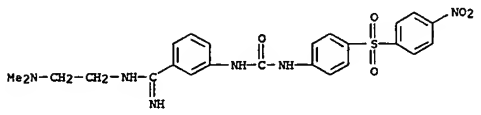
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



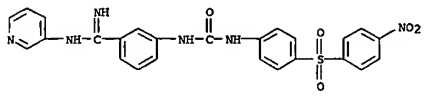
RN 455900-81-9 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 455900-82-0 CAPLUS
CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

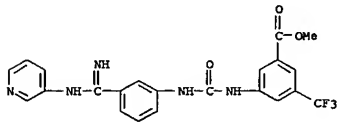


RN 455900-83-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

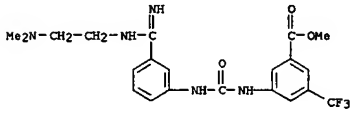


RN 455900-84-2 CAPLUS

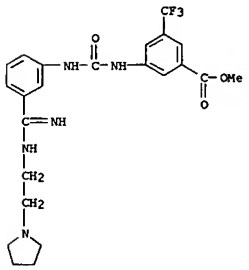
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-88-6 CAPLUS
CN Benzoic acid, 3-[[[[3-[[[2-(dimethylamino)ethyl]amino]iminomethyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

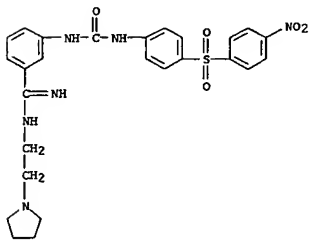


RN 455900-89-7 CAPLUS
CN Benzoic acid, 3-[[[[3-[imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

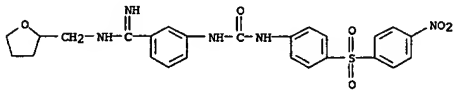


RN 455900-90-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

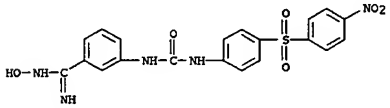
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 455900-85-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

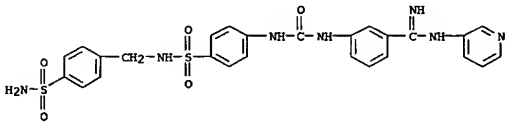


RN 455900-86-4 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



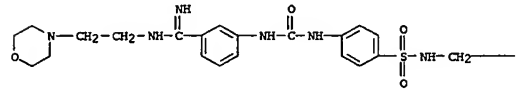
RN 455900-87-5 CAPLUS
CN Benzoic acid, 3-[[[[3-[imino(3-pyridinylamino)methyl]phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

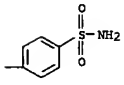


RN 455900-91-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

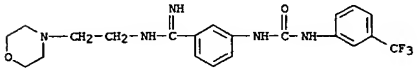
PAGE 1-A



PAGE 1-B

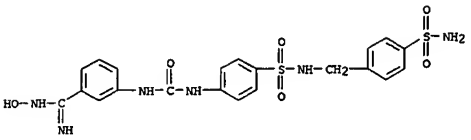


RN 455900-94-4 CAPLUS
CN Benzenecarboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

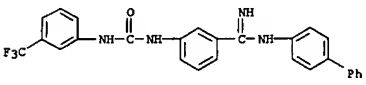


RN 455900-97-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

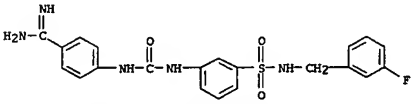
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455901-01-6 CAPLUS
CN Benzenecarboximidamide, N-[1,1'-biphenyl]-4-yl-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



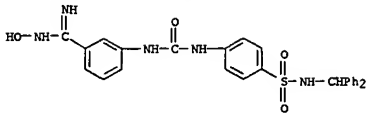
RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



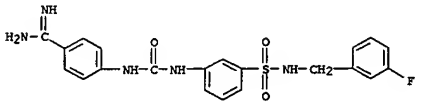
IT **455901-19-6P 548783-59-1P 548783-60-4P 548783-61-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)

RN 455901-19-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[(diphenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

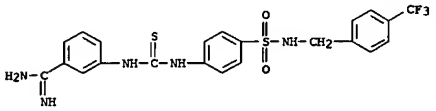
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



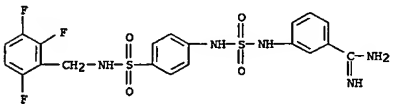
RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[[[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 548783-60-4 CAPLUS
CN Benzenecarboximidamide, 3-[(thioxo[[4-[[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]methyl]amino]- (9CI) (CA INDEX NAME)



RN 548783-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]sulfonyl]amino]- (9CI) (CA INDEX NAME)

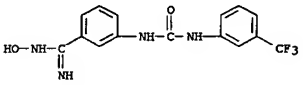


IT **455900-93-3P 455900-95-5P 455900-96-6P**

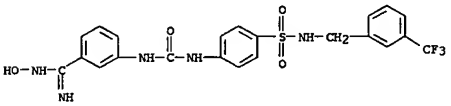
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

455900-98-8P 455900-99-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)

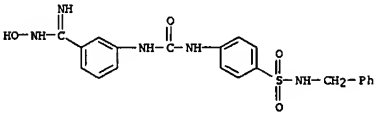
RN 455900-93-3 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-95-5 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

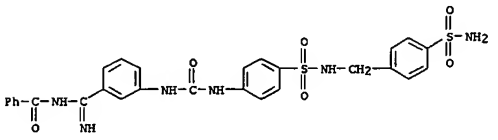


RN 455900-96-6 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-3-[[[[4-[[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

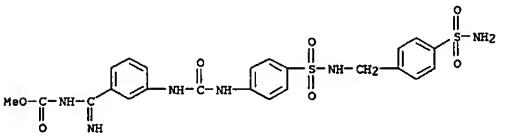


RN 455900-98-8 CAPLUS
CN Benzamide, N-[[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

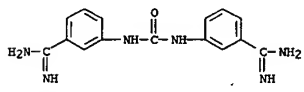


RN 455900-99-9 CAPLUS
CN Carbamic acid, [[3-[[[[4-[[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]phenyl]iminomethyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:306124 CAPLUS
DOCUMENT NUMBER: 131:124978
TITLE: Leishmania infantum promastigotes: effects of diamidines on DNA synthesis and non-protein thiol contents
AUTHOR(S): Azas, N.; Di Giorgio, C.; Gasquet, M.; Delmas, F.; Timon-David, P.
CORPORATE SOURCE: Laboratoire de Parasitologie, Faculte de Pharmacie, Marsellie, 13385, Fr.
SOURCE: Medical Science Research (1999), 27(3), 149-152
CODEN: MSCREJ; ISSN: 0269-8951
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We have compared the antiproliferative activity of eight diamidines and two inhibitors of polyamine synthesis on Leishmania infantum promastigotes to their action on the cell cycle and non-protein thiol contents. As expected, both diamidines and polyamine synthesis inhibitors induced an exponential dose-related decrease in growth, a concomitant fall in non-protein thiol contents and a significant inhibition of DNA synthesis. However, in contrast to the inhibitors of polyamine synthesis, which reduced the percentages of cells in the S phase of the cell cycle only at high concns., diamidines inhibited DNA synthesis at infinitesimal concns. There was also a strong correlation between the S-phase decline and inhibition of growth. This suggests that DNA synthesis inhibition due to diamidine treatment could not be considered as a side-effect resulting from polyamine depletion, but may be the principal mechanism of diamidine antiproliferative activity in Leishmania promastigotes.
IT **3459-96-9**, Amicarbalide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of antileishmanial diamidines on DNA synthesis and non-protein thiol contents)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

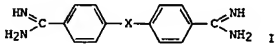


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:206932 CAPLUS
DOCUMENT NUMBER: 104:206932
TITLE: Antiprotozoal diamidines
INVENTOR(S): Glazer, Edward A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

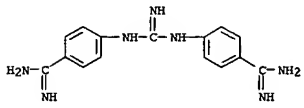
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4546113	A	19851008	US 1983-484803	19830414
US 4624958	A	19861125	US 1985-770328	19850828
US 4732907	A	19880322	US 1986-889540	19860725
PRIORITY APPLN. INFO.:			US 1983-484803	19830414
			US 1985-770328	19850828

OTHER SOURCE(S): CASREACT 104:206932
GI

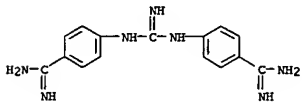


AB Eighteen title compds., including bis(amidinophenyl)propenes I (X = CH2CH:CH, CH2CMe:CH), were prepd. Thus, 4-NCC6H4Ac and Me2CO3 were condensed to give 68.6% 4-NCC6H4COCH2CO2Me, which was alkylated by 4-NCC6H4CH2Br to give 53.6% RCOCH(CH2R)CO2Me (R = 4-NCC6H4). Hydrolysis and decarboxylation of the latter gave 71-75% RCOCH2CH2R (R = as given), which was reduced by NaBH4 to give RCH(OH)CH2CH2R. Dehydration of the alc. gave RCH:CHCH2R (II; R = as given), which reacted with EtOH-HCl to give II 2HCl [R = 4-EtOC(:NH)C6H4]). Ammonolysis of the imidate with NH3-EtOH gave I (X = CH:CHCH2; III) as the dihydrochloride. At 50 mg/kg s.c. in lethally infected mice, III gave .gtoreq.80% protection against Trypanosome congolense and Babesia rodhaini.
IT **80498-63-1P 101341-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as protozoacide)
RN 80498-63-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 101341-00-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)

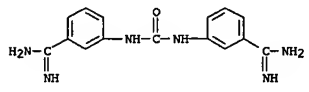


●2 HCl

L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:543621 CAPLUS
DOCUMENT NUMBER: 101:143621
TITLE: The effect of chemotherapy on Babesia bigemina in the tick vector Boophilus microplus
AUTHOR(S): De Vos, A. J.; Stewart, N. P.; Dalgliesh, R. J.
CORPORATE SOURCE: Anim. Res. Inst., Queensland Dep. Primary Ind., Wacol, 4076, Australia
SOURCE: International Journal for Parasitology (1984), 14(3), 249-52
CODEN: IJPYBT; ISSN: 0020-7519
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Percentages of feeding ticks in which B. bigemina could be detected (infection rates) were detd. following treatment of bovine hosts with each of 4 babesicides. Infection rates were suppressed by imidocarb dipropionate [55750-06-6], quinuronium sulfate [135-14-8] and amicarbalide isethionate [**3671-72-5**], reaching min. levels 3-4 days after treatment, but imidocarb dihydrochloride [5318-76-3] had comparatively little effect. Total elimination of the parasite from ticks was not achieved. Treatment of tick infested hosts with imidocarb dipropionate or quinuronium sulfate failed to prevent transmission of B. bigemina by transovarian passage or by transfer of adult male ticks. These findings indicate that the use of babesicides for chemotherapy is unlikely to have a significant effect on the rate of transmission of B. bigemina.
IT **3671-72-5**
RL: BIOL (Biological study)
(Babesia bigemina infestation response to, in cattle)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



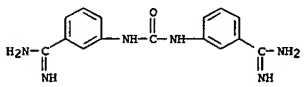
CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:96216 CAPLUS
DOCUMENT NUMBER: 100:96216
TITLE: Chemotherapy of Babesia divergens in the gerbil, Meriones unguiculatus
AUTHOR(S): Gray, J. S.
CORPORATE SOURCE: Dep. Agric. Zool. Genet., Univ. Coll., Dublin, Ire.
SOURCE: Research in Veterinary Science (1983), 35(3), 318-24
CODEN: RVTSA9; ISSN: 0034-5288
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Surprisingly low doses of 4 babesicides were effective against Babesia divergens in gerbils, and this was due to the involvement of host resistance, which may be of a nonspecific nature. The efficacy of the drugs relative to each other was the same in gerbils as in cattle and this host-parasite system is evidently more suitable for the screening of babesicides than are other rodent babesia systems. The prophylactic dose of imidocarb dipropionate [55750-06-6] required to provide a similar degree of protection in gerbils as in cattle was much higher and was very close to toxic levels. Challenge infections resulted in sterile immunity. Acute babesiosis in gerbils could be cured with all 4 drugs if parasitemias were below approx. 45% and packed cell vols. above 18% at treatment.
IT **3671-72-5**
RL: BIOL (Biological study)
(Babesia divergens infection response to, in gerbils, cattle in relation to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

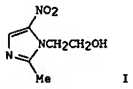


CM 2

CRN 107-36-8
CMF C2 H6 O4 S

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:210476 CAPLUS
DOCUMENT NUMBER: 96:210476
TITLE: Mutagenic activity of some antiprotozoal drugs in the Salmonella typhimurium test by Ames
AUTHOR(S): Jahn, F.
CORPORATE SOURCE: Inst. Pharmakol., Veterinaermed. Univ. Wien, Vienna, Austria
SOURCE: Wiener Tieraerztliche Monatsschrift (1982), 69(1), 19-21
CODEN: WTMOA3; ISSN: 0043-535X
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

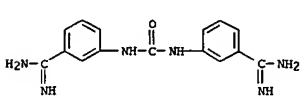


I

AB Of 17 antiprotozoal drugs tested for mutagenicity in a Salmonella typhimurium test only 4 drugs were mutagenic. These 4 drugs were arom. or heterocyclic compds. with 1 or 2 nitro groups as substituents as in metronidazole (I) [443-48-1]. In addn. to their mutagenic potential these drugs were previously shown to be carcinogenic and alter spermatogenesis in exptl. animals.
IT **3671-72-5**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(mutagenicity of, protozoacide in relation to)
RN 3671-72-5 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

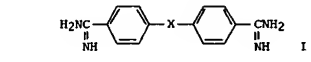


CM 2

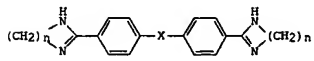
CRN 107-36-8
CMF C2 H6 O4 S

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:135352 CAPLUS
DOCUMENT NUMBER: 96:135352
TITLE: Leishmania donovani, Plasmodium berghei, Trypanosoma rhodesiense: antiprotozoal effects of some amidine types
AUTHOR(S): Steck, Edgar A.; Kinnamon, Kenneth E.; Rane, Dora S.; Hanson, William L.
CORPORATE SOURCE: Div. Exp. Ther., Walter Reed Army Inst. Res., Washington, DC, 20012, USA
SOURCE: Experimental Parasitology (1981), 52(3), 404-13
CODEN: EXPAAA; ISSN: 0014-4894
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

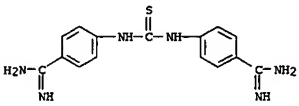


I

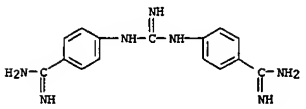


II

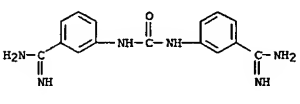
AB A series of 39 diamidines and cyclic congeners I [X = O, O(CH2)5O, S(CH2)5S, OC6H4O, furan, etc.] and II [X = O(CH2)5O, S(CH2)5S, furan, etc.; n = 2 or 3] was investigated for antiprotozoal effects in std. animal models. The test systems employed were the following: L. donovani in hamsters, P. berghei (trophozoite) in mice, and T. rhodesiense in mice. None of the compds. exhibited appreciable antimalaria or antileishmanial activity. One compd., WR 199,385 [2,5-bis(4-guanylphenyl)furan] [73819-26-8] had antitrypanosomal activity in the same range as pentamidine, and was deemed worthy of further study.
IT **80498-62-0 80498-63-1**
RL: PRP (Properties)
(antiprotozoal effect of)
RN 80498-62-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonothioyldiimino)bis- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 80498-63-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:82491 CAPLUS
DOCUMENT NUMBER: 96:82491
TITLE: Transformation in vitro of Leishmania mexicana amastigotes to promastigotes: nutritional requirements and the effect of drugs
AUTHOR(S): Hart, D. T.; Vickerman, K.; Coombs, G. H.
CORPORATE SOURCE: Dep. Zool., Univ. Glasgow, Glasgow, G12 8QQ, UK
SOURCE: Parasitology (1981), 83(3), 529-41
CODEN: PARAAE; ISSN: 0031-1820
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An in vitro system is described in which >85% of a population of L. mexicana mexicana amastigotes transforms to promastigotes within 48 h. The differentiation process involves 3 morphol. and biochem. distinct intermediates, including a division stage. Cell division is necessary for complete development to promastigotes. Fetal calf serum (FCS) is an essential component of the medium for high percentage transformation to be achieved. One of the important components of the FCS has been identified as nonesterified fatty acids, and these support a relatively high percentage of amastigotes through transformation in the absence of FCS, possibly due to their use as energy substrates. Only small nos. of amastigotes transform to promastigotes if glucose or amino acids are the only energy substrates available. Transformation is inhibited by a no. of metabolic inhibitors including antileishmanial and other antiprotozoal drugs. The stage at which inhibition is apparent varies with the inhibitor. The system described for the transformation in vitro of L. mexicana mexicana amastigotes to promastigotes may be the best method available at present for studying the metab. and drug sensitivity of amastigotes free from possible interference by host macrophage components.
IT **3459-96-9**
RL: BIOL (Biological study)
(Leishmania mexicana differentiation in response to)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

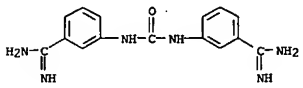


L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1962:73329 CAPLUS
DOCUMENT NUMBER: 56:73329
ORIGINAL REFERENCE NO.: 56:14174c-h
TITLE: Diamidines
INVENTOR(S): Berg, Samuel Sidney
PATENT ASSIGNEE(S): May & Baker Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 888965		19581215	GB	19590824
US 3143461		1964	US	

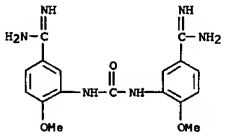
AB Diamidines useful against protozoan diseases were prepd. m-H2NC6H4CN (50 g.) in anhyd. pyridine was treated with C12CO (15 cc.) in anhyd. toluene (100 cc.) 10 min. with stirring. The soln. was heated 0.5 hr. on steam, cooled, added to 2 l. H2O, the ppt. filtered off, and washed to give N,N'-bis(m-cyanophenyl)urea (I), m. 205-6.degree. (MeOH). I (42 g.) in anhyd. CHCl3 (70 cc.) was satd. with anhyd. HCl at 0-5.degree. set aside 1 week, filtered, and dried to give 72 g. imino ether HCl salt of I. This product was added to satd. anhyd. ethanolic NH3 (720 cc.), the suspension heated at 55-60.degree. 6 hrs., cooled, and filtered to give 3,3'-diamidinodiphenylurea dihydrochloride (II), m. 286.degree. (decompn.). The iminoether HCl salt of I (90 g.) was dissolved in icewater (900 cc.) and the soln. basified at 0-10.degree. with 2N NaOH in the presence of 500 cc. CHCl3. The CHCl3 ext. was sepd., washed with satd. aq. NaCl, dried, concd. in vacuo to give a gum (79.2 g.), which was dissolved in 792 cc. EtOH. HOCH2CH2SO2ONH4 (60 g.) in 120 cc. H2O was added, the mixt. heated to 60.degree. 8 hrs., cooled, and filtered to give 3,3'-diamidinodiphenylurea diisethionate (III), m. 209.degree., decompd. at 256.degree. (MeOH-acetone). The method used to produce I was employed (using m-aminobenzamidine monohydrochloride (IV)] to give II.1.5H2O, decompd. at 286.degree.. IV (3.45 g.) and 1.4 g. 3,5-dimethylpyrazole-1-carboxamide (prepd. according to Scott, et al., CA 53, 3780g) in 7 cc. .beta.-ethoxyethanol was refluxed 5 hrs., cooled, and filtered to give II. 1.5H2O, decompd. at 286.degree.. The method used to produce I was employed (using 3-amino-4-methoxybenzonitrile, prepd. according to Blanksma and Petrii, CA 42, 148g) to give N,N'-bis(3-cyano-6-methoxyphenyl)urea, m. 315-16.degree., subsequently converted to 3,3'-diamidino-6,6'-dimethoxydiphenylurea dihydrochloride-H2O, decompd. at 285-6.degree.. Reduced Fe (25 g.) was slowly added to a boiling soln. of 25 g. 4-chloro-3-nitrobenzonitrile (prepd. according to Le Fevre and Turner, CA 21, 2681) in 380 cc. 50% HOAc. The mixt. was heated by steam 15 min., filtered hot, extd. with boiling 50% HOAc, the exts. added to H2O, and cooled to give 3-amino-4-chlorobenzonitrile (V), m. 93-4.degree.. V was treated by the method used to produce I to give N,N'-bis-(6-chloro-3-cyanophenyl)urea, decompd. at 330.degree., converted to 3,3'- diamidino-6,6'-dichlorodiphenylurea-2HCl.H2O, decompd. at 280-2.degree.. The iminoether HCl salt of I (20 g.) was similarly treated as for III except that MeNH2.HCl (6.6 g.) was added in place of ammonium isethionate to give 3,3'-bis(N-methylamidino)diphenylurea-2HCl.1.5H2O, decompd. from 210.degree.. m. 273-4.degree.. Similarly prepd. were 3,3'-bis(N-ethylamidino)diphenylurea-2HCl.H2O, decompd. at 302-5.degree., and 3,3'-bis(N,N-dimethylamidino)diphenylurea dihydrobromide hydrate, decompd. at 300-2.degree..
IT **53104-79-3**, Carbanilide, 3,3'-diamidino-, dihydrochloride
93726-99-9, Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
93899-67-3, Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride 94823-77-5, Carbanilide, 3,3'-bis(methylamidino)-, dihydrochloride 94865-38-0, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidinocarbanilide 97765-31-6, Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide
(prepn. of)
RN 53104-79-3 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 93726-99-9 CAPLUS
CN Carbanilide, 5,5'-diamidino-2,2'-dimethoxy- (7CI) (CA INDEX NAME)



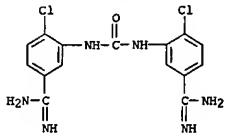
RN 93899-67-3 CAPLUS
CN Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride (7CI) (CA INDEX NAME)

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C2 H6 O4 S

RN 97765-31-6 CAPLUS
CN Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide (7CI) (CA INDEX NAME)

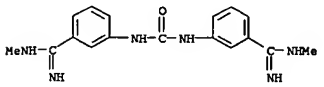
●2 HBr

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

RN 94823-77-5 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

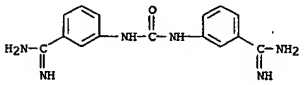


●2 HCl

RN 94865-38-0 CAPLUS
CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8